

10536687

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NEWS	2	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	3	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	4	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	5	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	6	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	7	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	8	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	9	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	10	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	11	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	12	JUN 25	CA/CAPplus and USPAT databases updated with IPC reclassification data
NEWS	13	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS	14	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS	15	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS	16	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS	17	JUL 28	CA/CAPplus patent coverage enhanced
NEWS	18	JUL 28	EPFULL enhanced with additional legal status information from the epline Register
NEWS	19	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS	20	JUL 28	STN Viewer performance improved
NEWS	21	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS	22	AUG 13	CA/CAPplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS	23	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS	24	AUG 15	CAPplus currency for Korean patents enhanced
NEWS	25	AUG 25	CA/CAPplus, CASREACT, and IFI and USPAT databases enhanced for more flexible patent number searching
NEWS	26	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence

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information
NEWS 27 SEP 18 Support for STN Express, Versions 6.01 and earlier,
to be discontinued
NEWS 28 SEP 25 CA/CAPLUS current-awareness alert options enhanced
to accommodate supplemental CAS indexing of
exemplified prophetic substances
NEWS 29 SEP 26 WPIDS, WPINDEX, and WPIX coverage of Chinese and
and Korean patents enhanced
NEWS 30 SEP 29 IFICLS enhanced with new super search field
NEWS 31 SEP 29 EMBASE and EMBAL enhanced with new search and
display fields
NEWS 32 SEP 30 CAS patent coverage enhanced to include exemplified
prophetic substances identified in new Japanese-
language patents

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 16:42:15 ON 03 OCT 2008

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STRUCTURE FILE UPDATES: 2 OCT 2008 HIGHEST RN 1056546-78-1

DICTIONARY FILE UPDATES: 2 OCT 2008 HIGHEST RN 1056546-78-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

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L1 STRUCTURE UPLOADED

=> s lk1

L2 30 LK1

=> s l1

SAMPLE SEARCH INITIATED 16:46:59 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1509 TO ITERATE

100.0% PROCESSED 1509 ITERATIONS

21 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 27850 TO 32510

PROJECTED ANSWERS: 146 TO 694

L3 21 SEA SSS SAM L1

=> s l1 full

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DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 16:47:03 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 30821 TO ITERATE

100.0% PROCESSED 30821 ITERATIONS

367 ANSWERS

SEARCH TIME: 00.00.01

L4 367 SEA SSS FUL L1

=> file hcaplus

COST IN U.S. DOLLARS

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186.94

FILE 'HCAPLUS' ENTERED AT 16:47:13 ON 03 OCT 2008

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FILE COVERS 1907 - 3 Oct 2008 VOL 149 ISS 15
FILE LAST UPDATED: 2 Oct 2008 (20081002/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 14

L5 37 L4

=> s 15 and gravestock, m?/au

86 GRAVESTOCK, M?/AU

L6 6 L5 AND GRAVESTOCK, M?/AU

=> d 16, ibib abs hitstr, 1-6

L6 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:954100 HCAPLUS

DOCUMENT NUMBER: 147:479761

TITLE: Novel Substituted (Pyridin-3-yl)phenyloxazolidinones:
Antibacterial Agents with Reduced Activity against
Monoamine Oxidase A and Increased Solubility
AUTHOR(S): Reck, Folkert; Zhou, Fei; Eyermann, Charles J.; Kern,
Gunther; Carcanague, Dan; Ioannidis, Georgine;
Illingworth, Ruth; Poon, Grace; Gravestock,
Michael B.

CORPORATE SOURCE: AstraZeneca Discovery, AstraZeneca R&D Boston,
Waltham, MA, 02451, USA

SOURCE: Journal of Medicinal Chemistry (2007), 50(20),
4868-4881

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

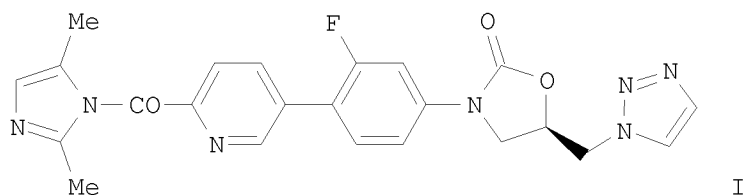
LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:479761

GI

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AB Oxazolidinones represent a new and promising class of antibacterial agents. Current research in this area is mainly concentrated on improving the safety profile and the antibacterial spectrum. Oxazolidinones bearing a (pyridin-3-yl)phenyl moiety (e.g., 3) generally show improved antibacterial activity compared to linezolid but suffer from potent monoamine oxidase A (MAO-A) inhibition and low solubility. We now disclose the finding that new analogs of 3 with acyclic substituents on the pyridyl moiety exhibit excellent activity against Gram-pos. pathogens, including linezolid-resistant *Streptococcus pneumoniae*. Generally, more bulky substituents yielded significantly reduced MAO-A inhibition relative to the unsubstituted compound 3. The MAO-A SAR can be rationalized on the basis of docking studies using a MAO-A/MAO-B homol. model. Solubility was enhanced with incorporation of polar groups. One optimized analog, compound 13(I), showed low clearance in the rat and efficacy against *S. pneumoniae* in a mouse pneumonia model.

IT 870694-12-5P 870694-13-6P 870694-25-0P
870694-35-2P 870761-58-3P 870761-61-8P
870761-77-6P 870807-38-8P 953781-74-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

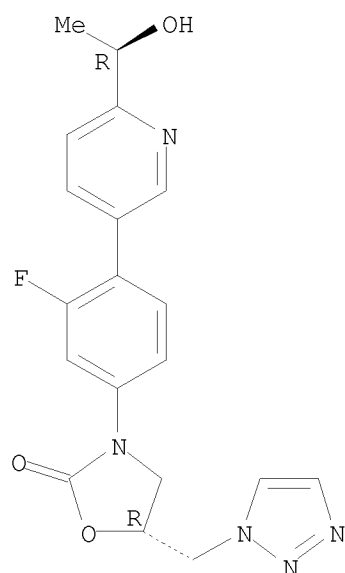
(Novel Substituted (Pyridin-3-yl)phenyloxazolidinones: Antibacterial Agents with Reduced Activity against Monoamine Oxidase A and Increased Solubility)

RN 870694-12-5 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[(1R)-1-hydroxyethyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

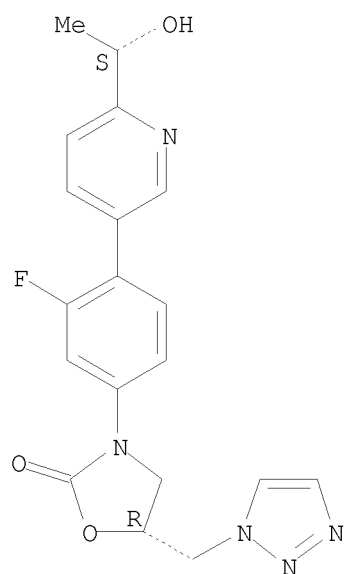
10536687



RN 870694-13-6 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[(1S)-1-hydroxyethyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



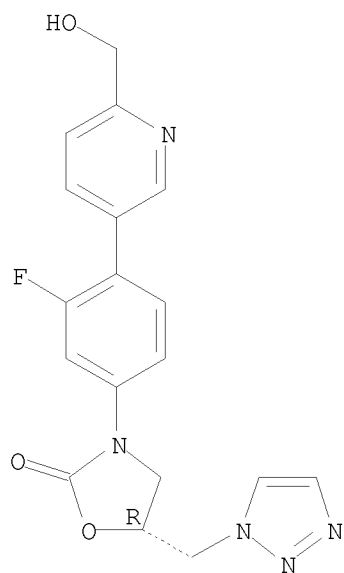
RN 870694-25-0 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(hydroxymethyl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

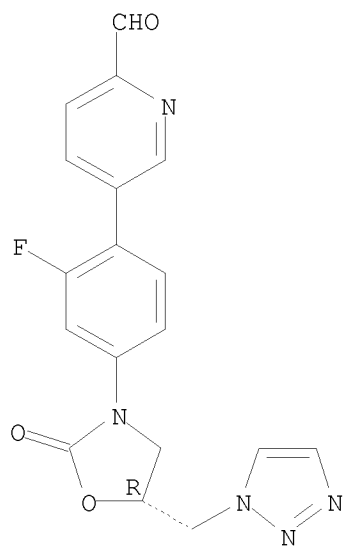
10536687



RN 870694-35-2 HCAPLUS

CN 2-Pyridinecarboxaldehyde, 5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



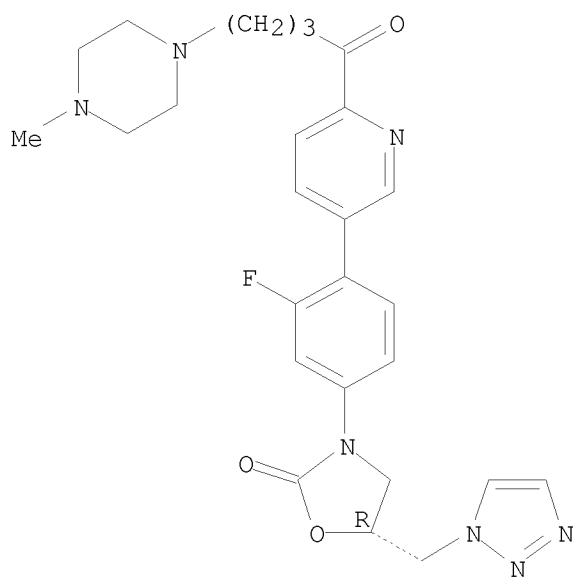
RN 870761-58-3 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[4-(4-methyl-1-piperazinyl)-1-oxobutyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

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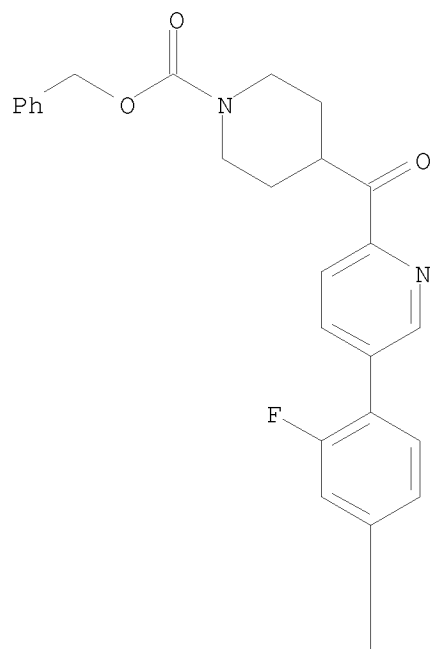


RN 870761-61-8 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]carbonyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.

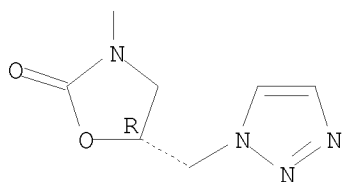
PAGE 1-A



Updated Search

10536687

PAGE 2-A

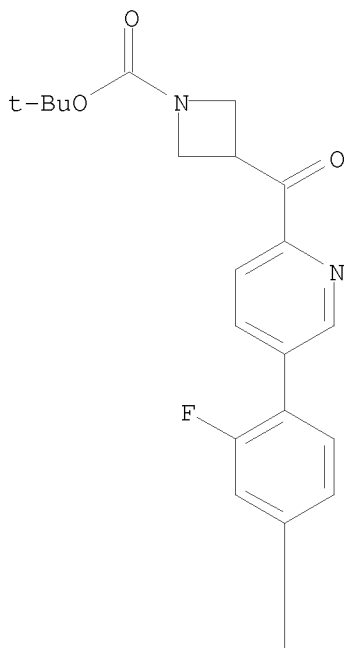


RN 870761-77-6 HCAPLUS

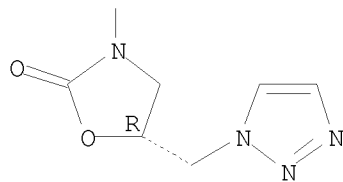
CN 1-Azetidinecarboxylic acid, 3-[[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



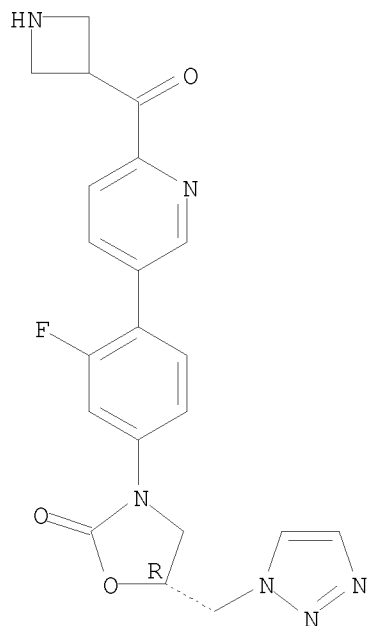
Updated Search

10536687

RN 870807-38-8 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[6-(3-azetidinylicarbonyl)-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



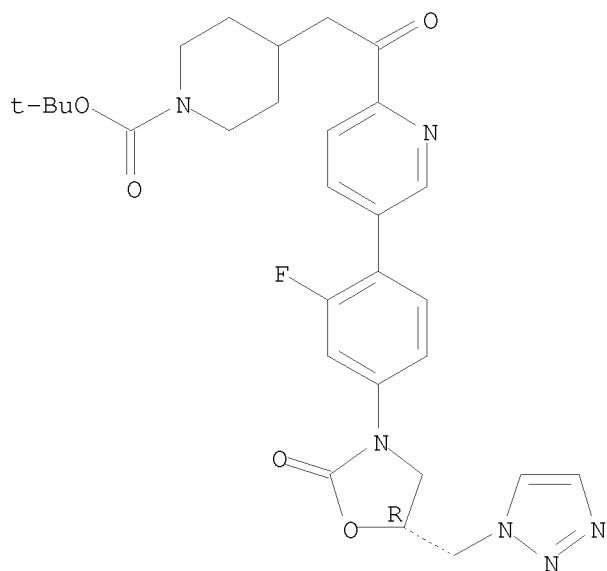
RN 953781-74-3 HCAPLUS

CN 1-Piperidinecarboxylic acid, 4-[2-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

10536687



IT 870694-07-8P 870694-40-9P 870714-90-2P
870751-81-8P 870751-82-9P 870751-83-0P
870761-53-8P 870761-56-1P 870761-57-2P
870761-59-4P 870761-62-9P 870761-65-2P
870761-66-3P 870807-32-2P 870807-33-3P
870807-34-4P 870807-35-5P 870807-36-6P
870807-37-7P 953781-64-1P 953781-73-2P
953781-75-4P 953781-78-7P 953781-79-8P
953781-80-1P 953781-82-3P 953781-85-6P
953781-99-2P 953782-01-9P 953782-04-2P
953782-07-5P 953782-09-7P 953782-12-2P
953782-14-4P 953782-15-5P 953782-16-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(Novel Substituted (Pyridin-3-yl)phenyloxazolidinones: Antibacterial
Agents with Reduced Activity against Monoamine Oxidase A and Increased
Solubility)

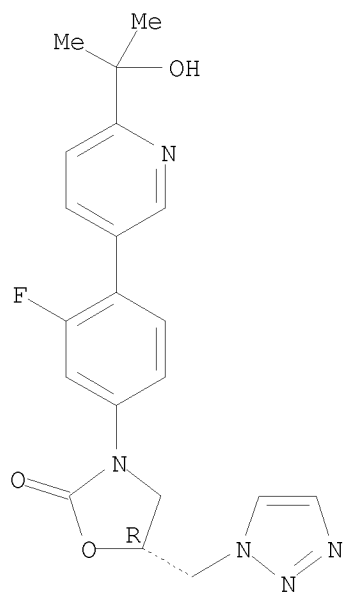
RN 870694-07-8 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(1-hydroxy-1-methylethyl)-3-
pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

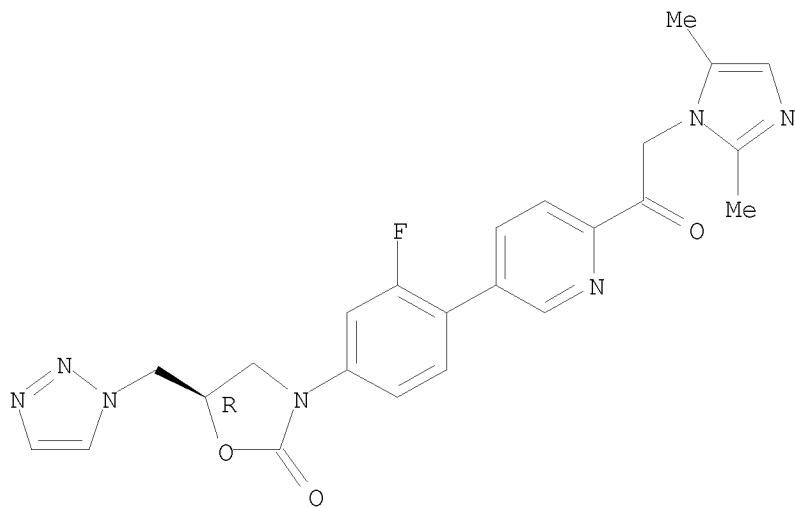
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RN 870694-40-9 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[2-(2,5-dimethyl-1H-imidazol-1-yl)acetyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



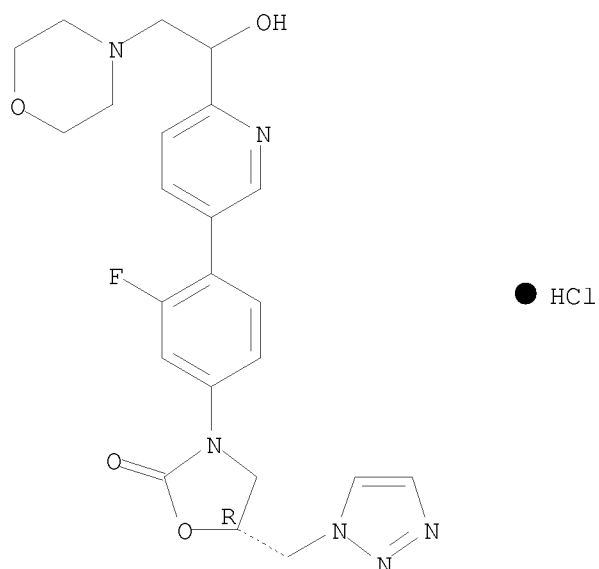
RN 870714-90-2 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[1-hydroxy-2-(4-morpholinyl)ethyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.

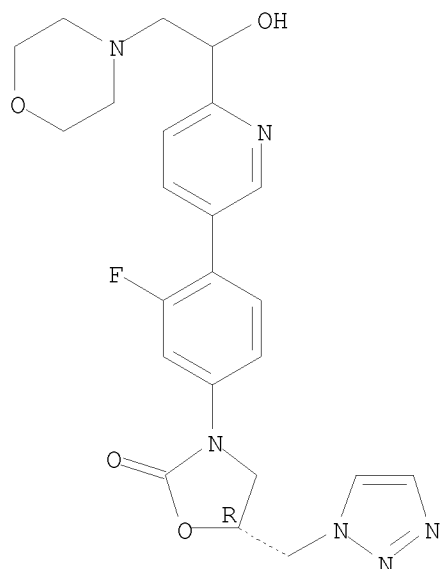
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RN 870751-81-8 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[1-hydroxy-2-(4-morpholinyl)ethyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

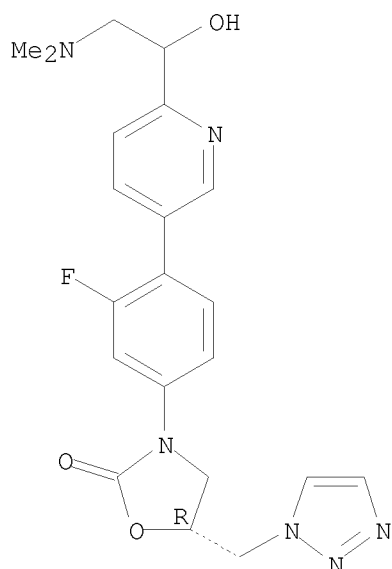


RN 870751-82-9 HCAPLUS
CN 2-Oxazolidinone, 3-[4-[6-[2-(dimethylamino)-1-hydroxyethyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

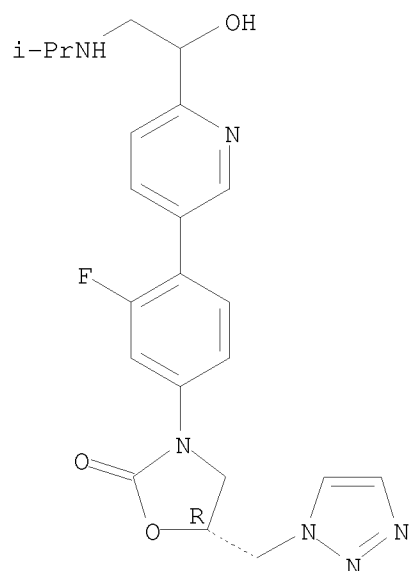
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RN 870751-83-0 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[1-hydroxy-2-[(1-methylethyl)amino]ethyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



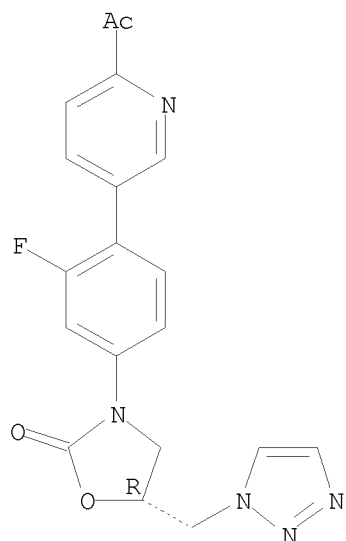
RN 870761-53-8 HCAPLUS

CN 2-Oxazolidinone, 3-[4-(6-acetyl-3-pyridinyl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Updated Search

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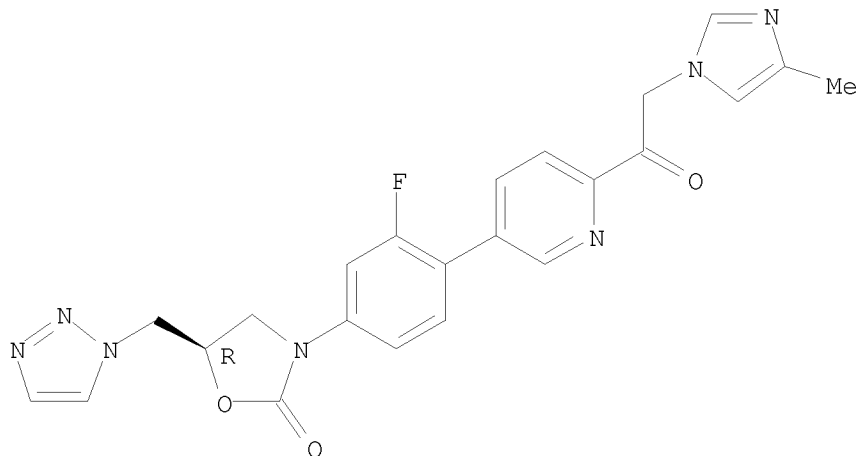
Absolute stereochemistry.



RN 870761-56-1 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[2-(4-methyl-1H-imidazol-1-yl)acetyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

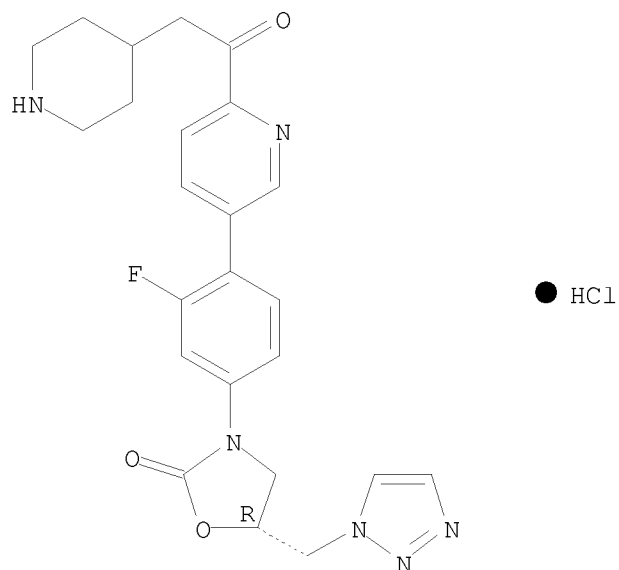
RN 870761-57-2 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[2-(4-piperidinyl)acetyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Updated Search

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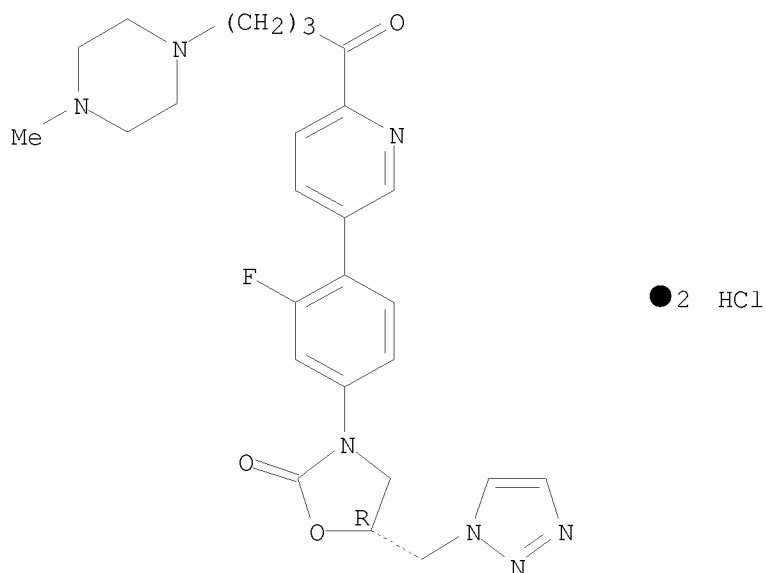
Absolute stereochemistry.



RN 870761-59-4 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[4-(4-methyl-1-piperazinyl)-1-oxobutyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:2), (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 870761-62-9 HCAPLUS

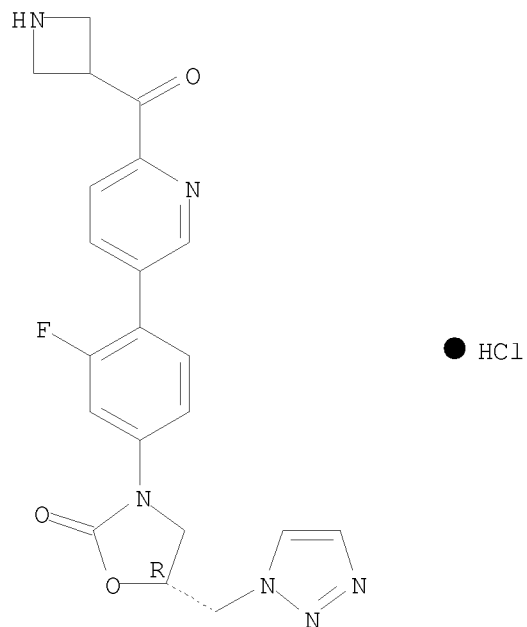
CN 2-Oxazolidinone, 3-[4-[6-(3-azetidinyldicarbonyl)-3-pyridinyl]-3-pyridinyl]-3-pyridinyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:2), (5R)- (CA INDEX NAME)

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fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)-
(CA INDEX NAME)

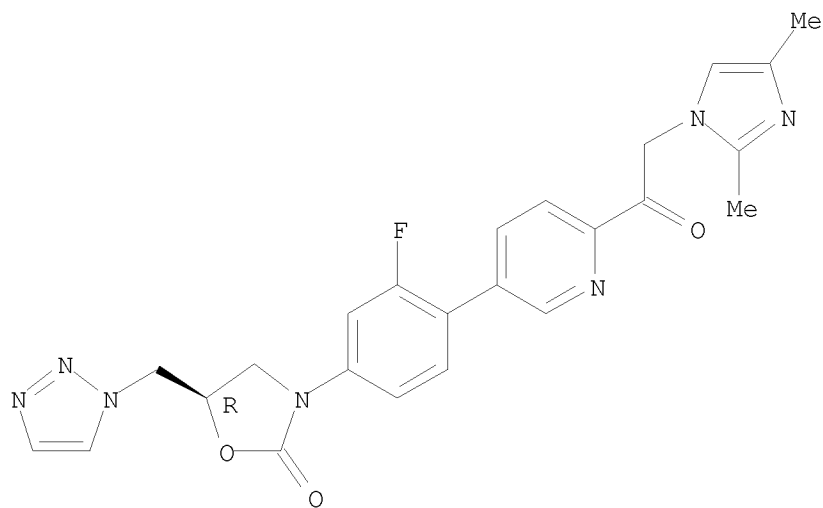
Absolute stereochemistry.



RN 870761-65-2 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[2-(2,4-dimethyl-1H-imidazol-1-yl)acetyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



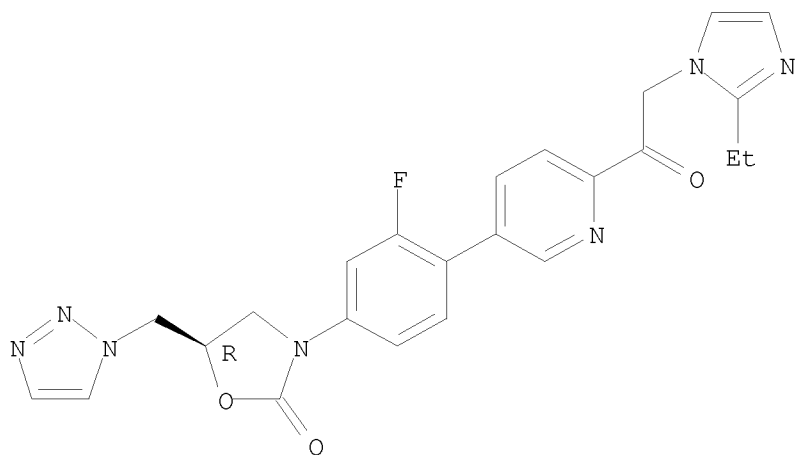
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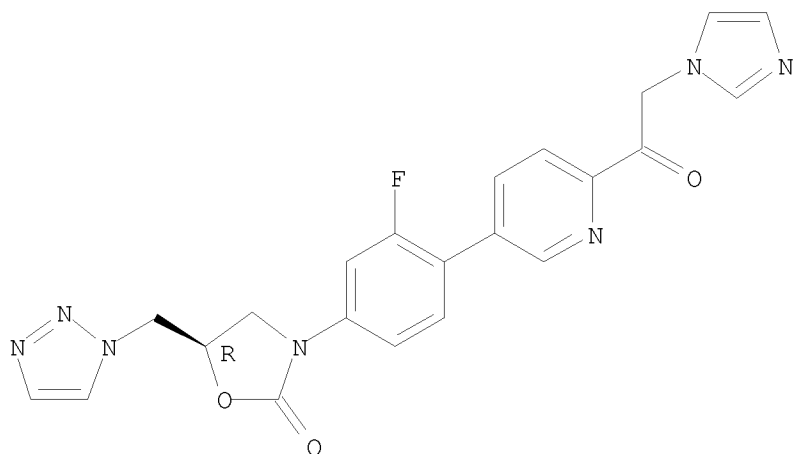
Absolute stereochemistry.



RN 870807-32-2 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[2-(1H-imidazol-1-yl)acetyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



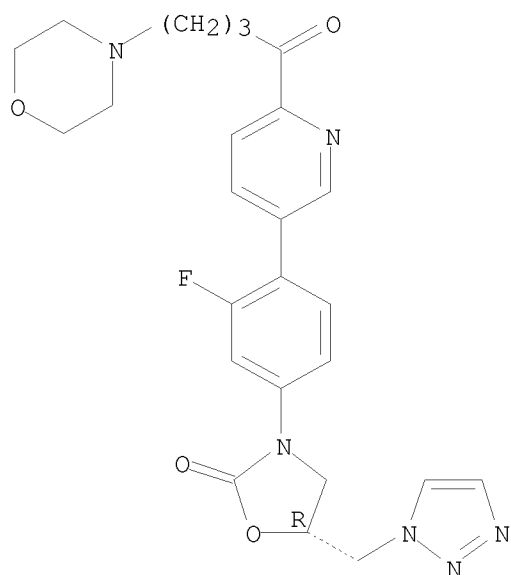
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[4-(4-morpholinyl)-1-oxobutyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

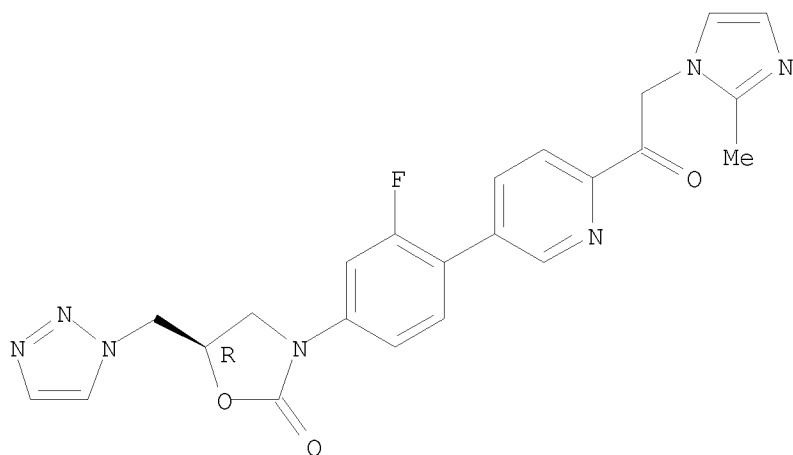
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RN 870807-34-4 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[2-(2-methyl-1H-imidazol-1-yl)acetyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



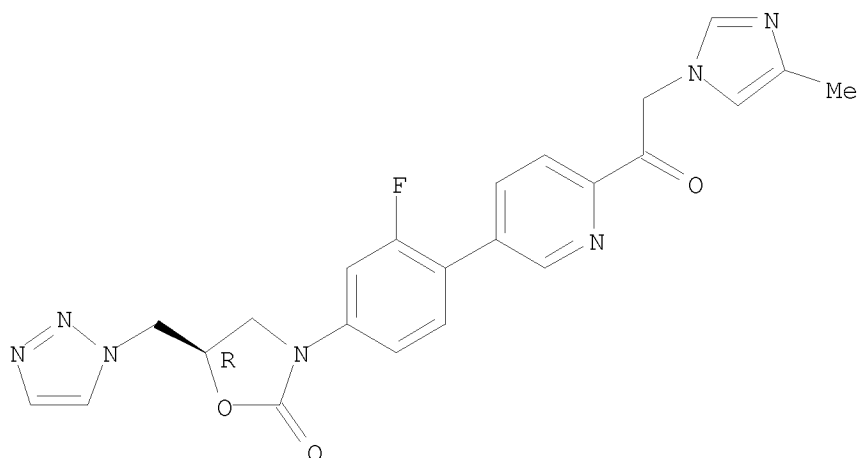
RN 870807-35-5 HCAPLUS

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Absolute stereochemistry.

Updated Search

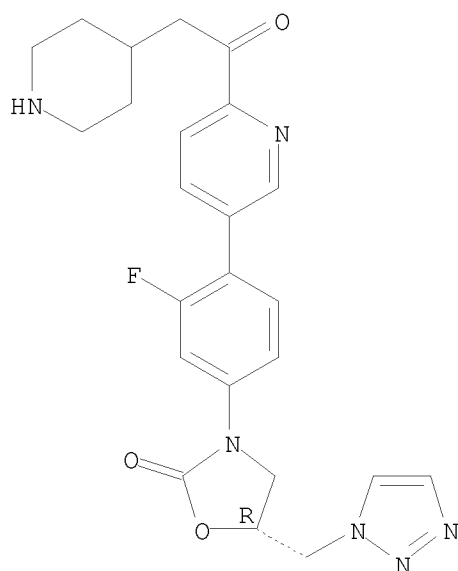
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RN 870807-36-6 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[2-(4-piperidinyl)acetyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 870807-37-7 HCAPLUS

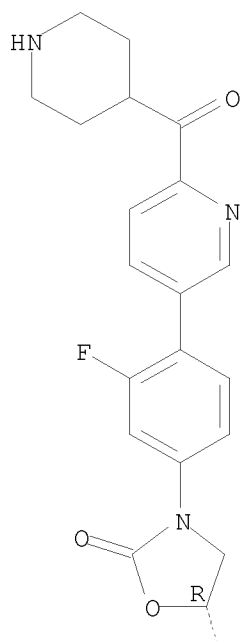
CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(4-piperidinylcarbonyl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

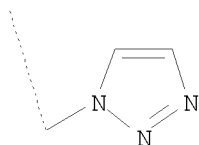
Updated Search

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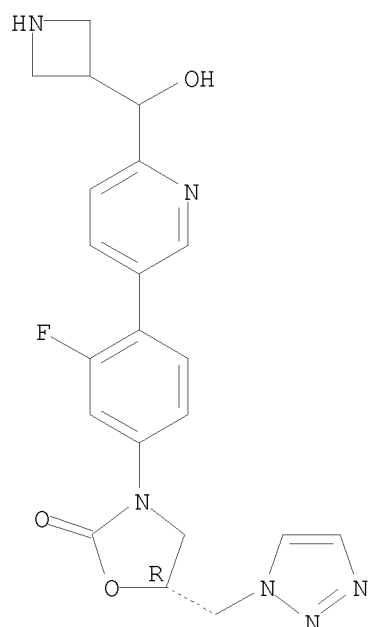


RN 953781-64-1 HCAPLUS
CN 2-Oxazolidinone, 3-[4-[6-(3-azetidinylhydroxymethyl)-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

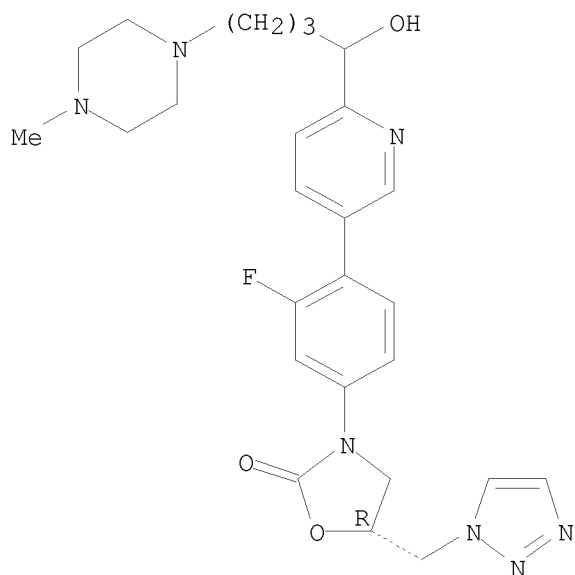
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RN 953781-73-2 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[1-hydroxy-4-(4-methyl-1-piperazinyl)butyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 953781-75-4 HCAPLUS

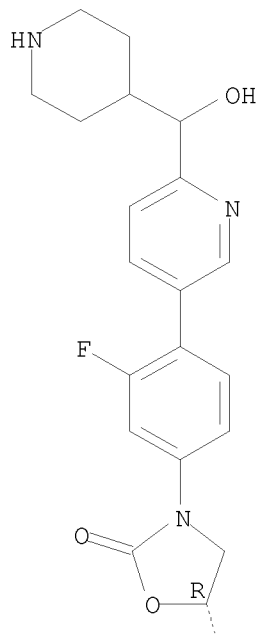
CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(hydroxy-4-piperidinylmethyl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Updated Search

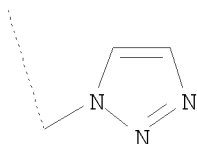
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Absolute stereochemistry.

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PAGE 2-A



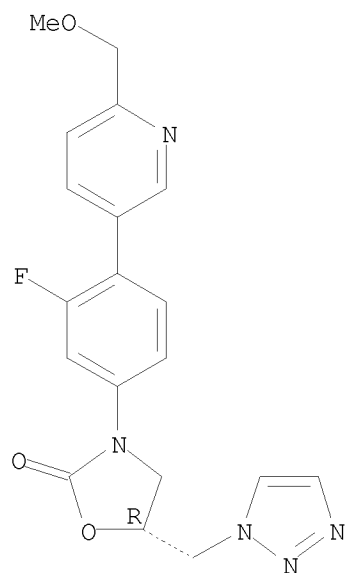
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(methoxymethyl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

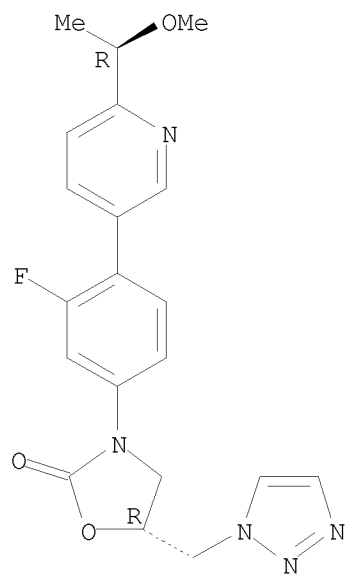
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RN 953781-79-8 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[(1R)-1-methoxyethyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



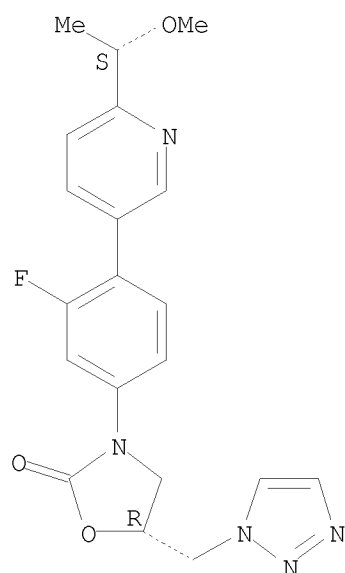
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[(1S)-1-methoxyethyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

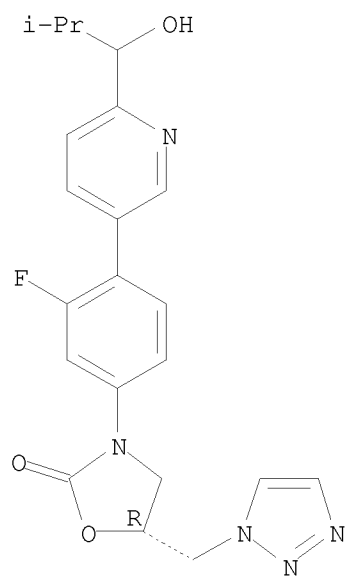
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RN 953781-82-3 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(1-hydroxy-2-methylpropyl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



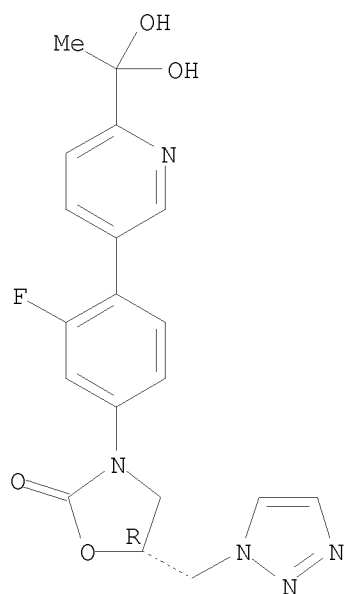
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CN 2-Oxazolidinone, 3-[4-[6-(1,1-dihydroxyethyl)-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

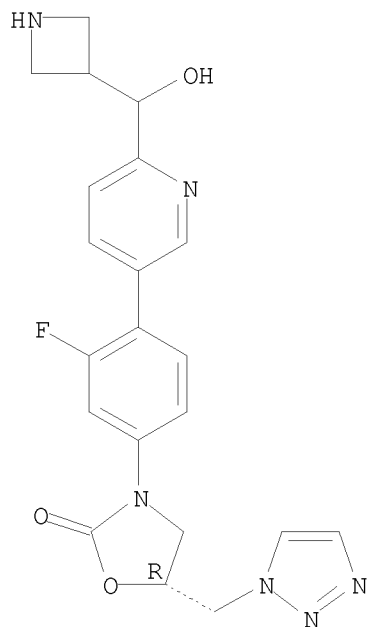
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RN 953781-99-2 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[6-(3-azetidinyldihydroxymethyl)-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (4:11), (5R)- (CA INDEX NAME)

Absolute stereochemistry.



●11/4 HCl

RN 953782-01-9 HCAPLUS

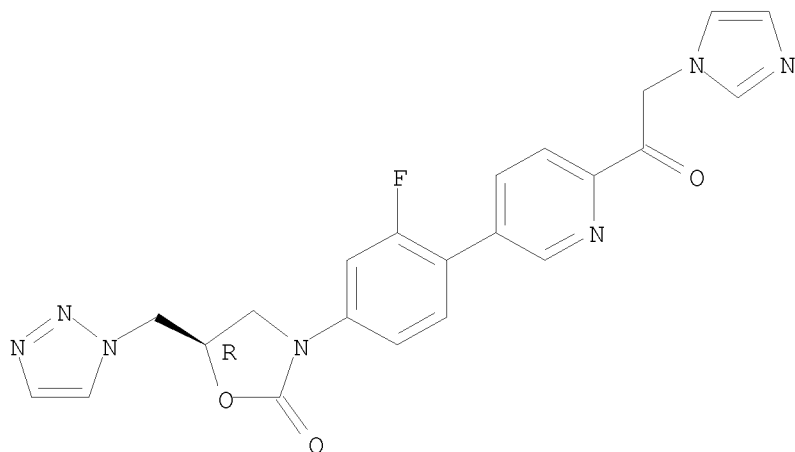
CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[2-(1H-imidazol-1-yl)acetyl]-3-pyridinyl]-phenyl]-5-(1H-imidazol-1-ylmethyl)-, hydrochloride (4:11), (5R)- (CA INDEX NAME)

Updated Search

10536687

pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1),
(5R)- (CA INDEX NAME)

Absolute stereochemistry.

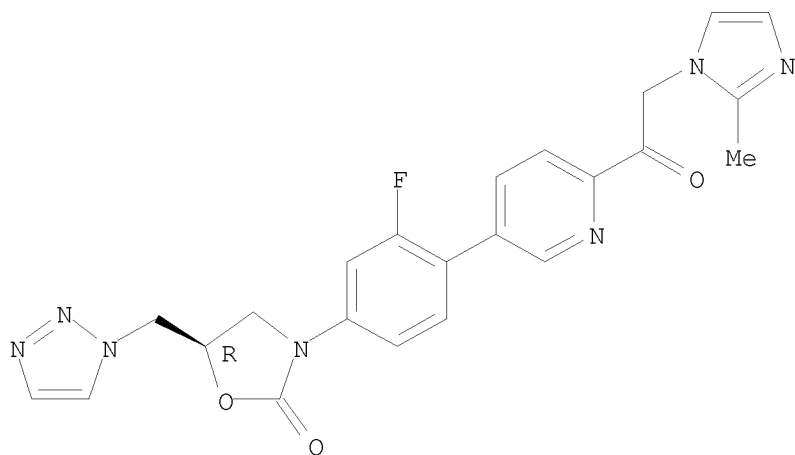


● HCl

RN 953782-04-2 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[2-(2-methyl-1H-imidazol-1-yl)acetyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (5:1),
(5R)- (CA INDEX NAME)

Absolute stereochemistry.



● 1/5 HCl

Updated Search

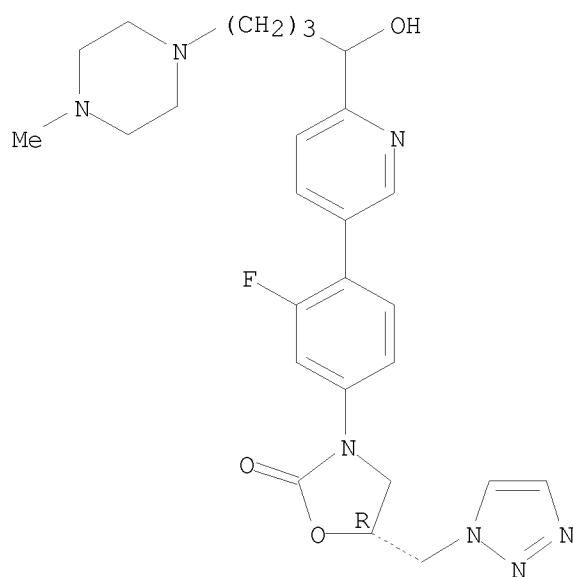
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RN 953782-07-5 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[1-hydroxy-4-(4-methyl-1-piperazinyl)butyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (5:14), (5R)- (CA INDEX NAME)

Absolute stereochemistry.

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●14/5 HCl

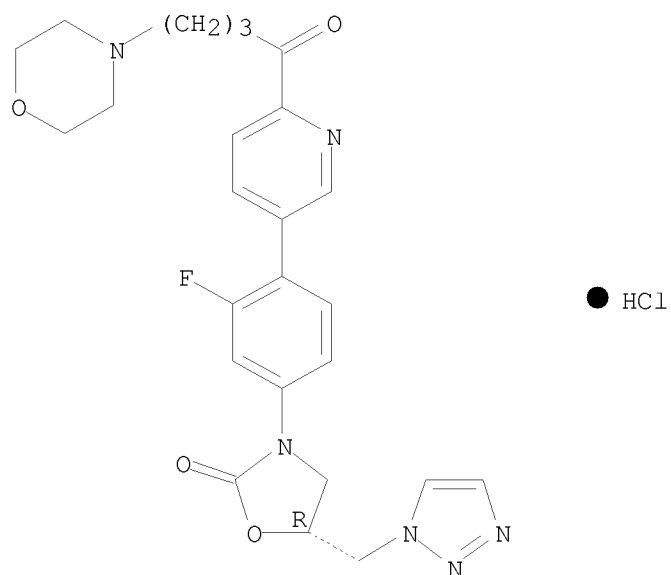
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[4-(4-morpholinyl)-1-oxobutyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

10536687

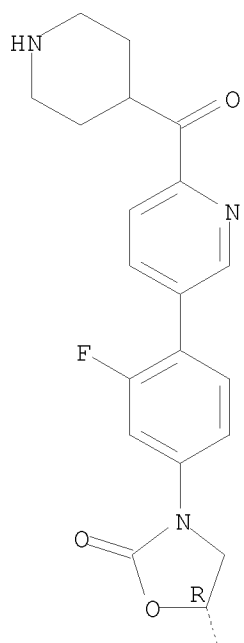


RN 953782-12-2 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(4-piperidinylcarbonyl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (4:5), (5R)- (CA INDEX NAME)

Absolute stereochemistry.

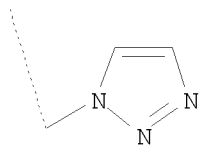
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Updated Search

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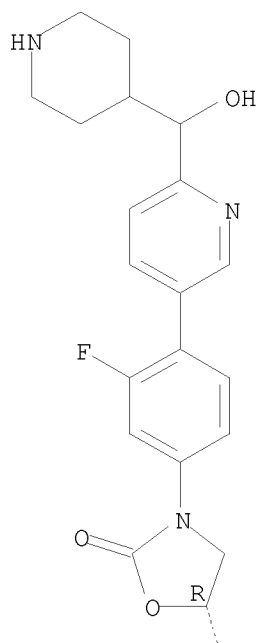
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RN 953782-14-4 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(hydroxy-4-piperidinylmethyl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (2:3), (5R)- (CA INDEX NAME)

Absolute stereochemistry.

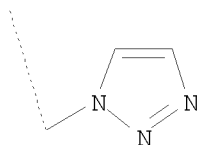
PAGE 1-A



Updated Search

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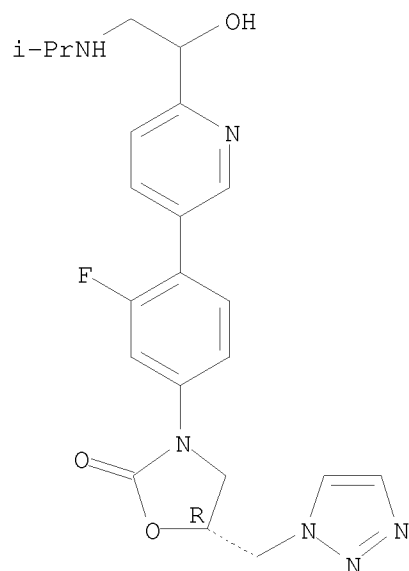
PAGE 2-A



● 3/2 HCl

RN 953782-15-5 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[1-hydroxy-2-[(1-methylethyl)amino]ethyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:3), (5R)- (CA INDEX NAME)

Absolute stereochemistry.



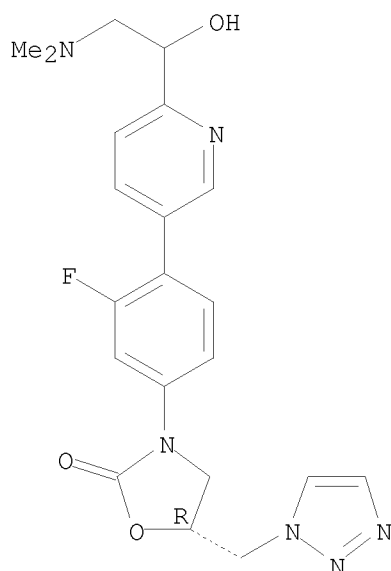
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RN 953782-16-6 HCAPLUS
CN 2-Oxazolidinone, 3-[4-[6-[2-(dimethylamino)-1-hydroxyethyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (2:9), (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

10536687



●9/2 HCl

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1292080 HCAPLUS

DOCUMENT NUMBER: 144:36354

TITLE: Preparation of 3-[4-(pyridin-3-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)oxazolidin-2-ones as antibacterial agents

INVENTOR(S): Gravestock, Michael Barry; Reck, Folkert; Zhou, Fei

PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

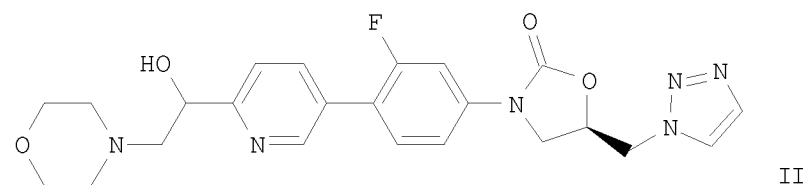
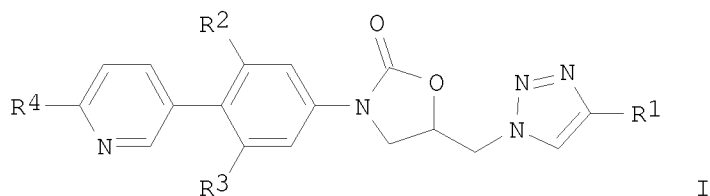
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

Updated Search

10536687

AU 2005247670	A1	20051208	AU 2005-247670	20050524
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EP 1753755	A1	20070221	EP 2005-746538	20050524
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BR 2005011524	A	20071226	BR 2005-11524	20050524
JP 2008500318	T	20080110	JP 2007-514088	20050524
US 20080021071	A1	20080124	US 2006-569208	20061116
IN 2006DN07661	A	20070817	IN 2006-DN7661	20061218
NO 2006005902	A	20070220	NO 2006-5902	20061219
KR 2007023765	A	20070228	KR 2006-727032	20061222
PRIORITY APPLN. INFO.:			GB 2004-11592	A 20040525
			GB 2005-53	A 20050105
			WO 2005-GB2055	W 20050524
OTHER SOURCE(S):			MARPAT 144:36354	
GI				



AB Title compds. I [R1 = H, halo, CN, etc.; R2-3 = H, F, Cl, CF3; R4 = alkyl, alkoxy, hydroxyalkoxy, etc.] are prepared For instance, II is prepared by the coupling of 1-(5-bromopyridin-2-yl)-2-(morpholin-4-yl)ethanol (preparation given) and (R)-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one (preparation given) (DMF, (Ph3P)4P, 75°, 3 h). Compds. of the invention exhibit good antibacterial activity against standard Gram-pos. organisms with a MIC in the range of 0.01-256 µg/mL. I also exhibit relatively low levels of MAO-A inhibition.

IT 870694-16-9P 870694-25-0P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of 3-[4-(pyridin-3-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)oxazolidin-2-ones as antibacterial agents)

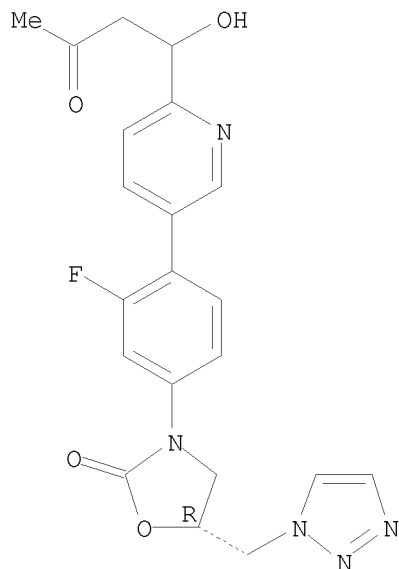
RN 870694-16-9 HCAPLUS

Updated Search

10536687

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(1-hydroxy-3-oxobutyl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

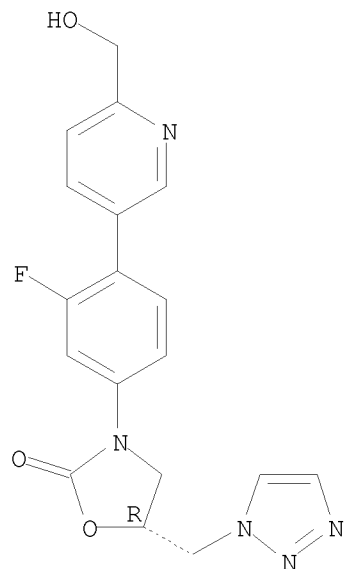
Absolute stereochemistry.



RN 870694-25-0 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(hydroxymethyl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 870694-06-7P 870694-07-8P 870694-08-9P

Updated Search

10536687

870694-09-0P 870694-10-3P 870694-11-4P
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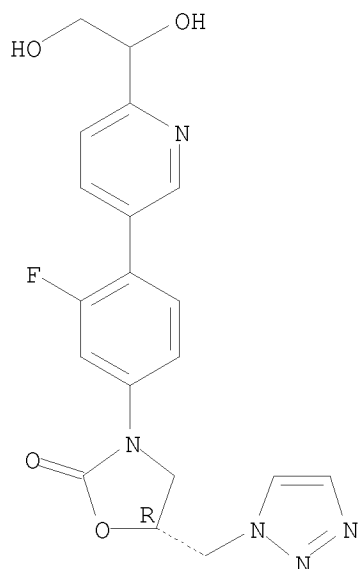
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of 3-[4-(pyridin-3-yl)phenyl]-5-(1H-1,2,3-triazol-1-
ylmethyl)oxazolidin-2-ones as antibacterial agents)

RN 870694-06-7 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[6-(1,2-dihydroxyethyl)-3-pyridinyl]-3-fluorophenyl]-
5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



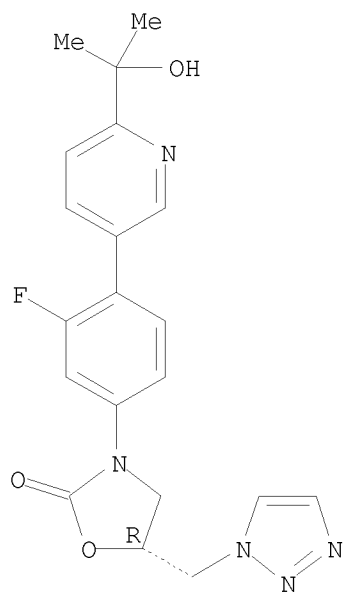
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(1-hydroxy-1-methylethyl)-3-
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Absolute stereochemistry.

Updated Search

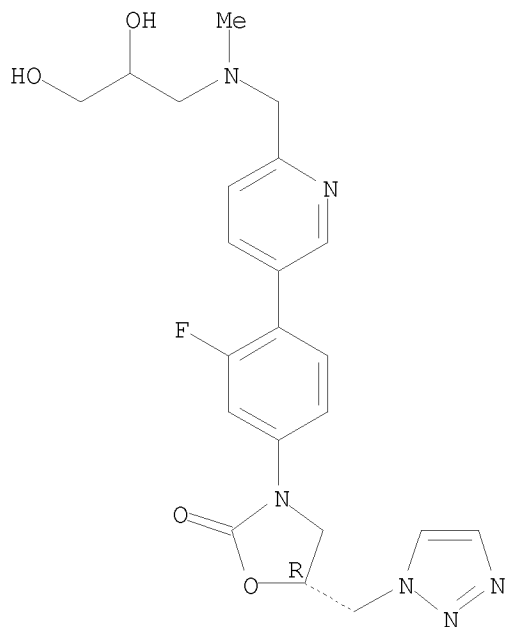
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RN 870694-08-9 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[[2,3-dihydroxypropyl)methylamino]methyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 870694-09-0 HCAPLUS

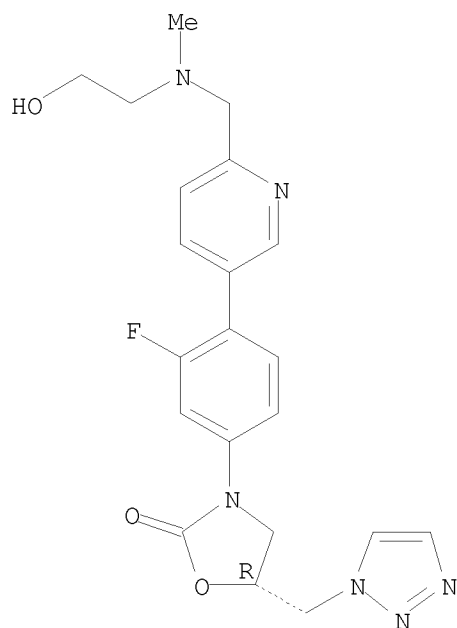
CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[(2-hydroxyethyl)methylamino]methyl]-3-phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)-

Updated Search

10536687

pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

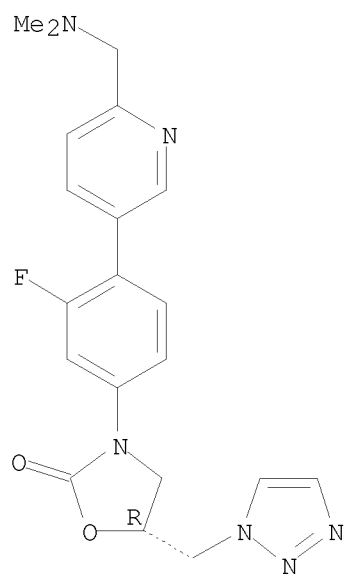
Absolute stereochemistry.



RN 870694-10-3 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[(dimethylamino)methyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



Updated Search

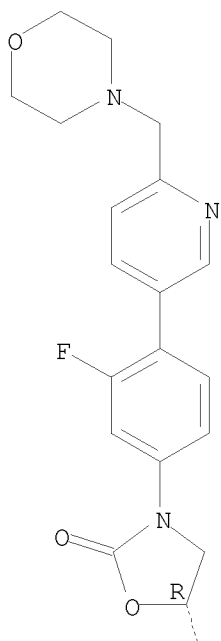
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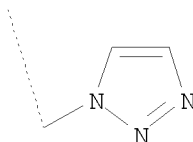
CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(4-morpholinylmethyl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

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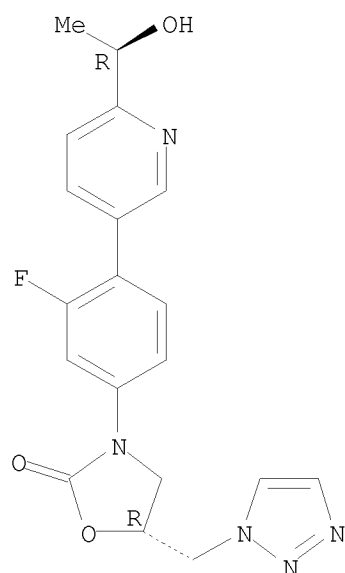
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[(1R)-1-hydroxyethyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

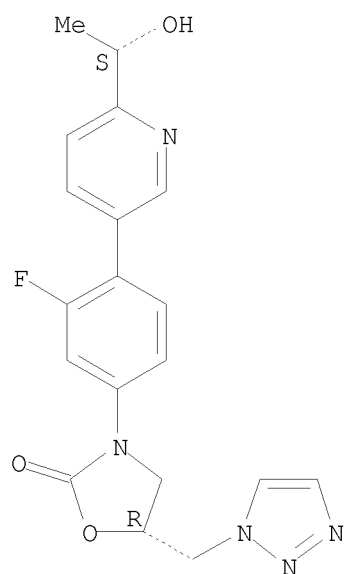
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RN 870694-13-6 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[(1S)-1-hydroxyethyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 870694-14-7 HCAPLUS

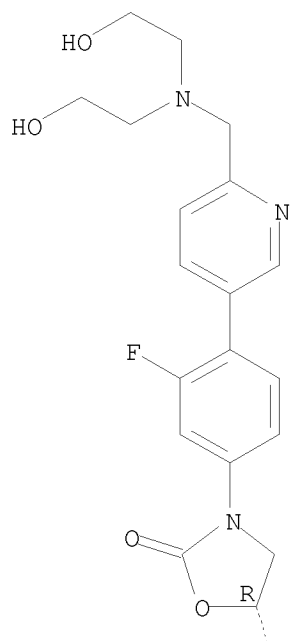
CN 2-Oxazolidinone, 3-[4-[6-[[bis(2-hydroxyethyl)amino]methyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

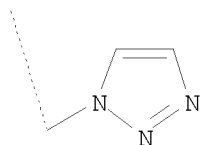
Updated Search

10536687

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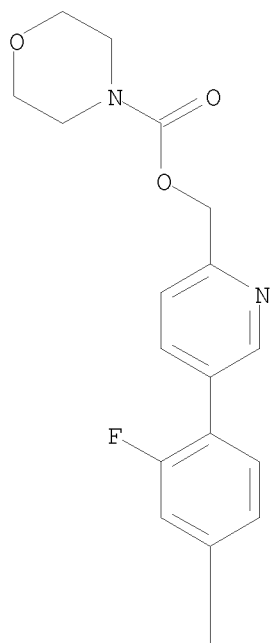
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CN 4-Morpholinecarboxylic acid, [5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.

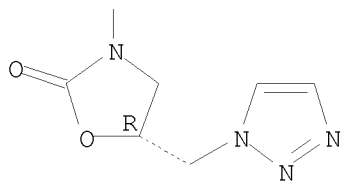
Updated Search

10536687

PAGE 1-A



PAGE 2-A



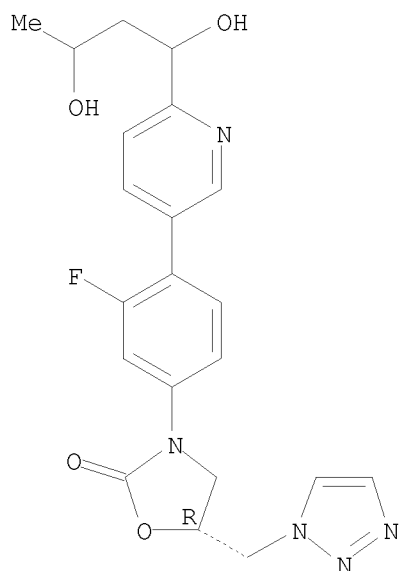
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CN 2-Oxazolidinone, 3-[4-[6-(1,3-dihydroxybutyl)-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

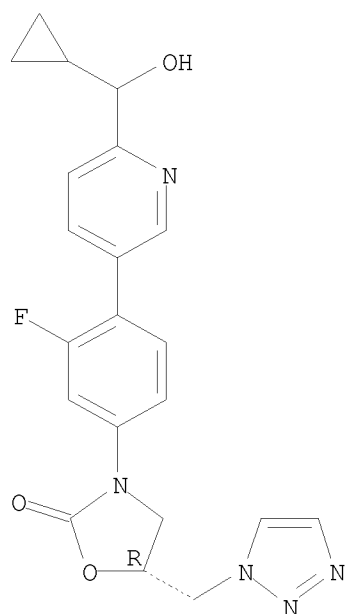
10536687



RN 870694-18-1 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[6-(cyclopropylhydroxymethyl)-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



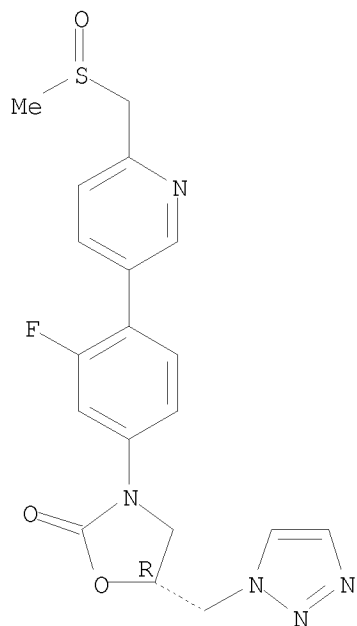
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[(methylsulfinyl)methyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Updated Search

10536687

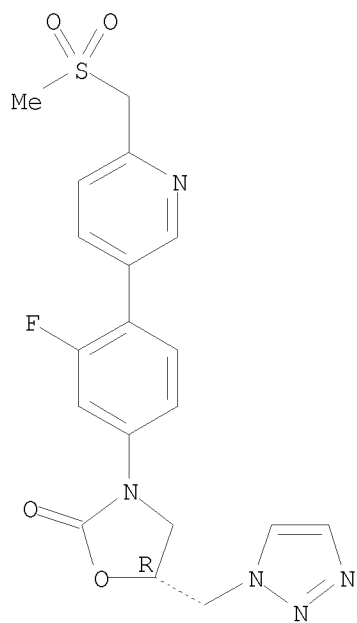
Absolute stereochemistry.



RN 870694-20-5 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[(methylsulfonyl)methyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



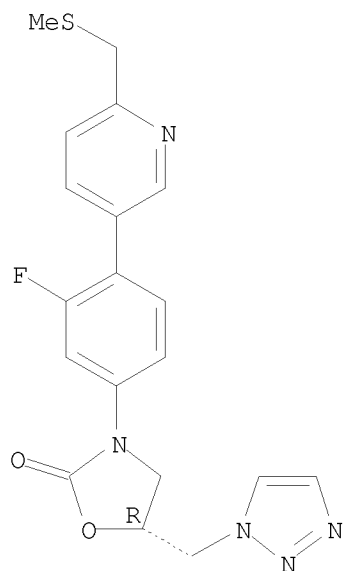
Updated Search

10536687

RN 870694-21-6 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[(methylthio)methyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

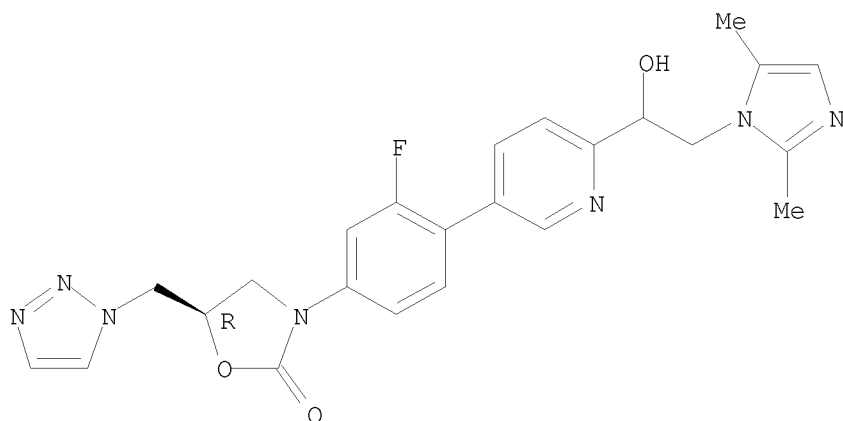
Absolute stereochemistry.



RN 870694-22-7 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[2-(2,5-dimethyl-1H-imidazol-1-yl)-1-hydroxyethyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



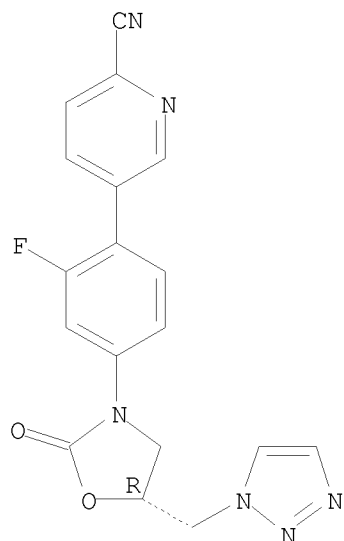
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CN 2-Pyridinecarbonitrile, 5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (CA INDEX NAME)

Updated Search

10536687

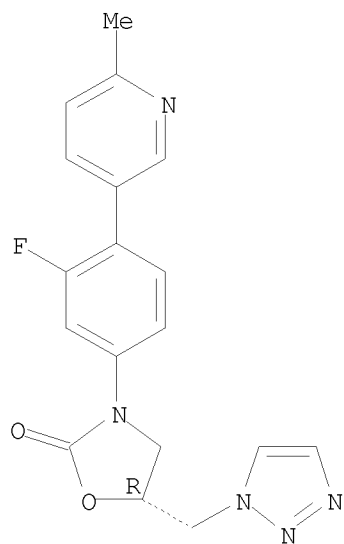
Absolute stereochemistry.



RN 870694-24-9 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(6-methyl-3-pyridinyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



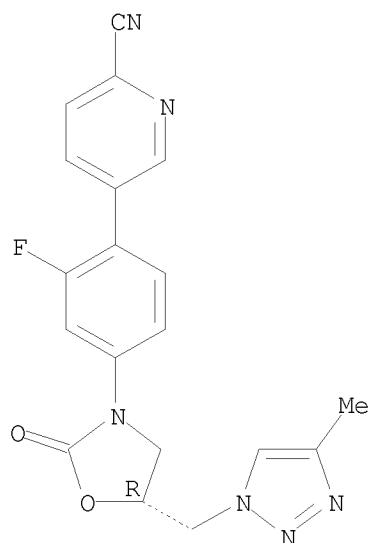
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CN 2-Pyridinecarbonitrile, 5-[2-fluoro-4-[(5R)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

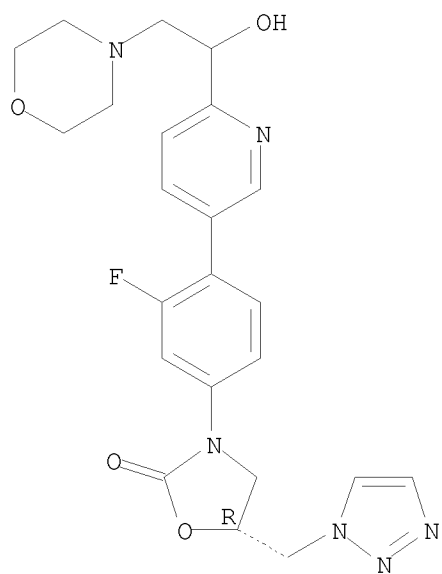
10536687



RN 870714-90-2 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[1-hydroxy-2-(4-morpholinyl)ethyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

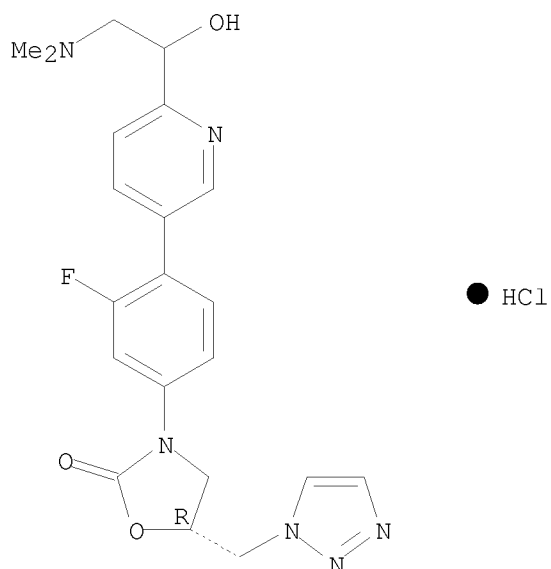
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CN 2-Oxazolidinone, 3-[4-[6-[2-(dimethylamino)-1-hydroxyethyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

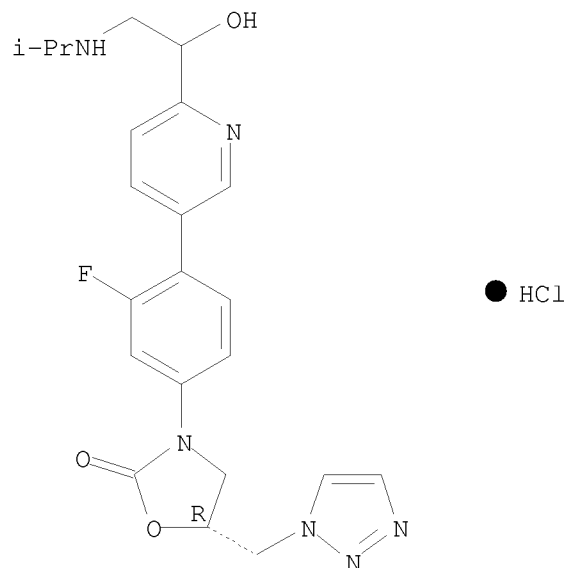
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RN 870714-92-4 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[1-hydroxy-2-[(1-methylethyl)amino]ethyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 870694-35-2P 870694-38-5P 870694-39-6P

870694-40-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

Updated Search

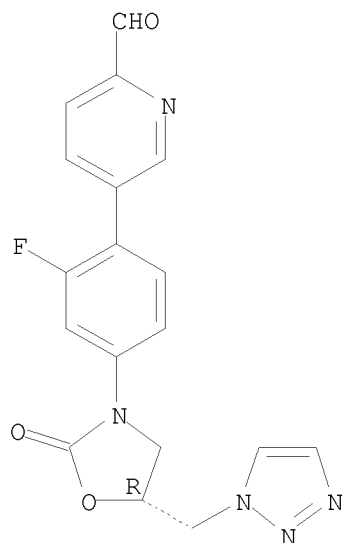
10536687

(preparation of 3-[4-(pyridin-3-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)oxazolidin-2-ones as antibacterial agents)

RN 870694-35-2 HCAPLUS

CN 2-Pyridinecarboxaldehyde, 5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (CA INDEX NAME)

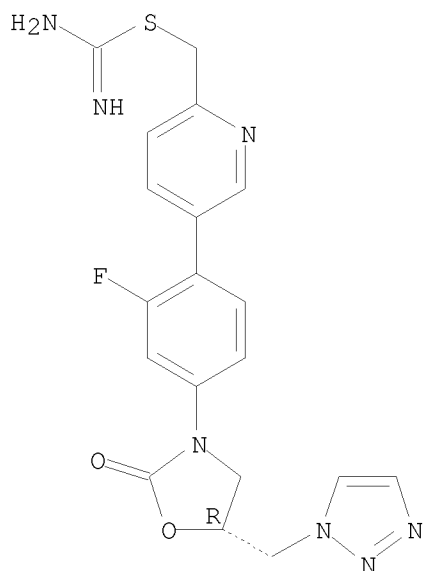
Absolute stereochemistry.



RN 870694-38-5 HCAPLUS

CN Carbamimidothioic acid, [5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]methyl ester (CA INDEX NAME)

Absolute stereochemistry.



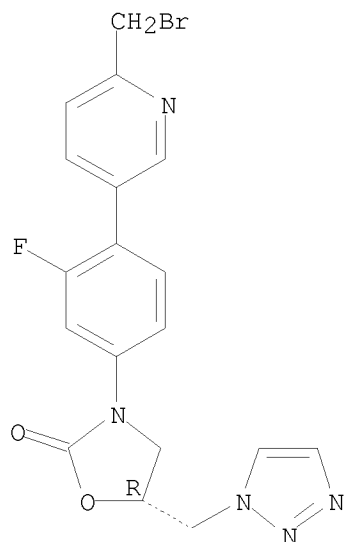
Updated Search

10536687

RN 870694-39-6 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[6-(bromomethyl)-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

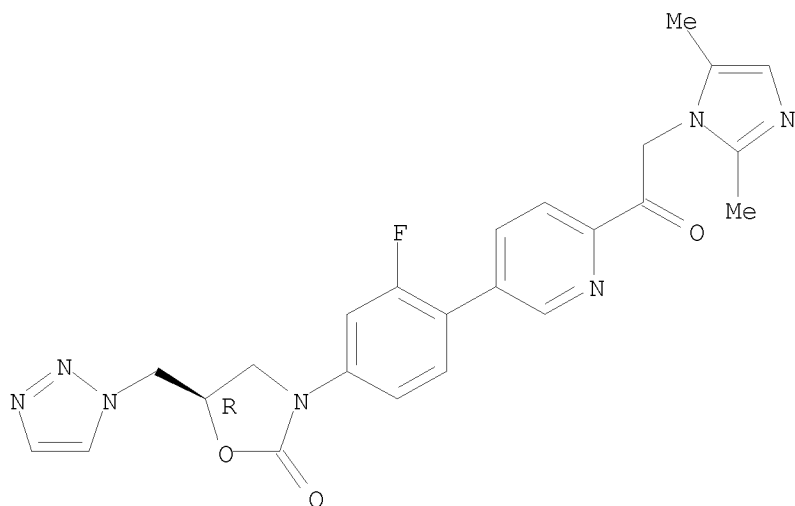
Absolute stereochemistry.



RN 870694-40-9 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[2-(2,5-dimethyl-1H-imidazol-1-yl)acetyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

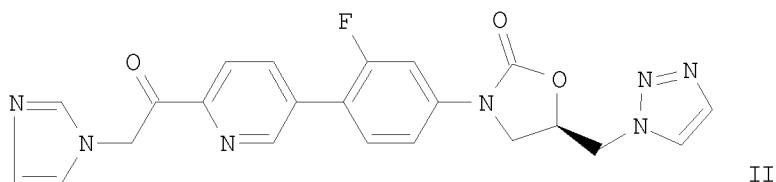
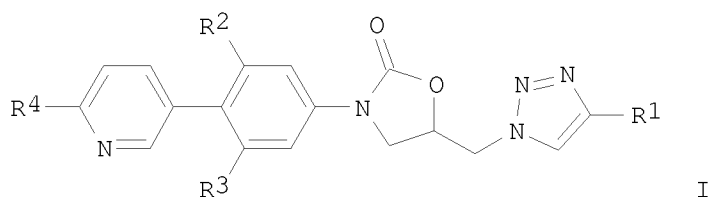
Updated Search

10536687

L6 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1291974 HCAPLUS
DOCUMENT NUMBER: 144:36352
TITLE: Preparation of 3-[4-(pyridin-3-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)oxazolidin-2-ones as antibacterial agents
INVENTOR(S): Gravestock, Michael Barry; Reck, Folkert; Zhou, Fei
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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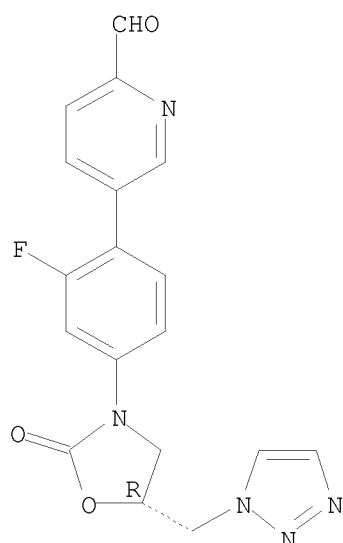
10536687



- AB Title compds. I [R1 = H, halo, CN, etc.; R2-3 = H, F, Cl, CF3; R4 = carboxy, etc.] are prepared For instance, II is prepared by the coupling of 1-(5-bromopyridin-2-yl)-2-(1H-imidazol-1-yl)ethanone (preparation given) and (R)-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one (preparation given) (DMF, (Ph3P)4P, 75°, 3 h). Compds. of the invention exhibit good antibacterial activity against standard Gram-pos. organisms with a MIC in the range of 0.01-256 µg/mL. I also exhibit relatively low levels of MAO-A inhibition compared to similarly substituted analogs.
- IT 870694-35-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 3-[4-(pyridin-3-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)oxazolidin-2-ones as antibacterial agents)
- RN 870694-35-2 HCAPLUS
- CN 2-Pyridinecarboxaldehyde, 5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

10536687



IT 870694-40-9P 870761-50-5P 870761-51-6P
870761-52-7P 870761-53-8P 870761-54-9P
870761-55-0P 870761-56-1P 870761-57-2P
870761-58-3P 870761-59-4P 870761-60-7P
870761-61-8P 870761-62-9P 870761-63-0P
870761-64-1P 870761-65-2P 870761-66-3P
870761-67-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of 3-[4-(pyridin-3-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)oxazolidin-2-ones as antibacterial agents)

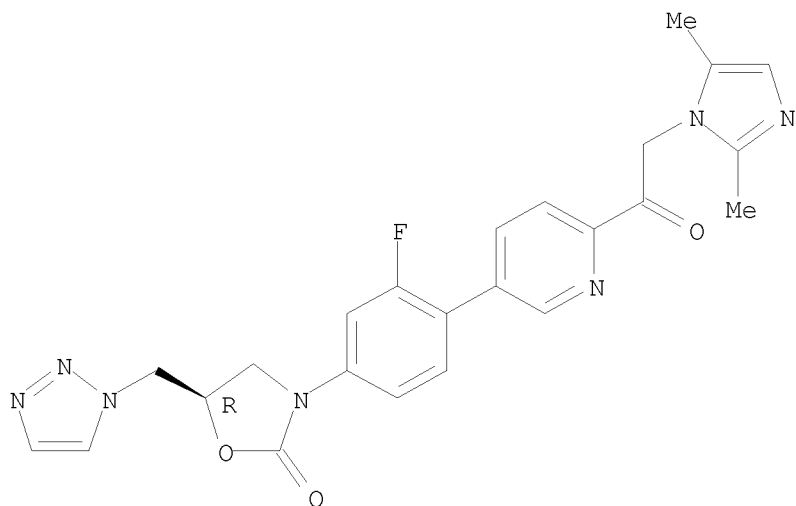
RN 870694-40-9 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[2-(2,5-dimethyl-1H-imidazol-1-yl)acetyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA
INDEX NAME)

Absolute stereochemistry.

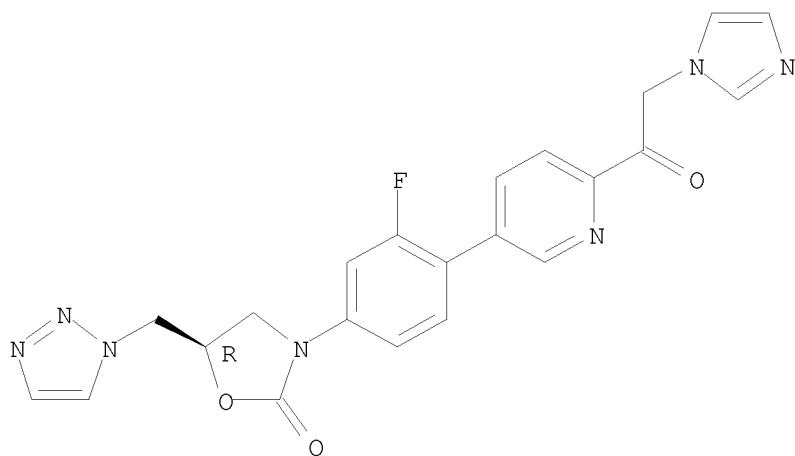
Updated Search

10536687



RN 870761-50-5 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[2-(1H-imidazol-1-yl)acetyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:?), (5R)- (CA INDEX NAME)

Absolute stereochemistry.



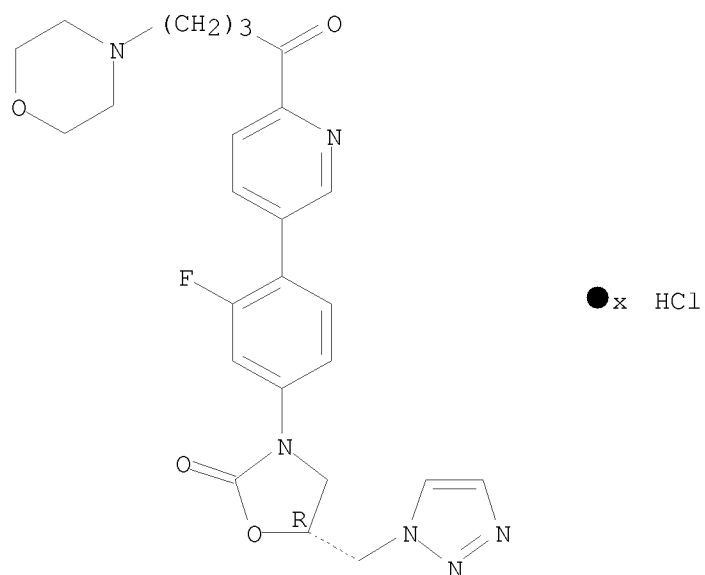
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RN 870761-51-6 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[4-(4-morpholinyl)-1-oxobutyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:?), (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

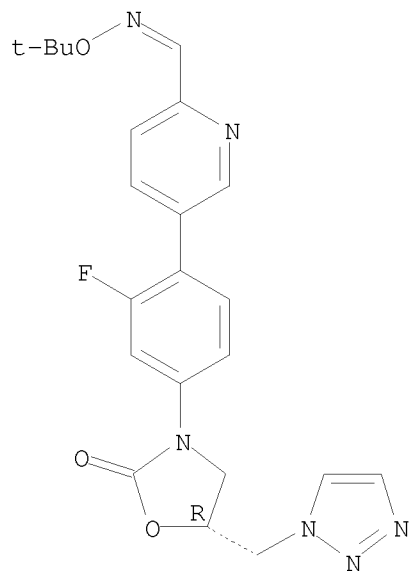
10536687



RN 870761-52-7 HCAPLUS

CN 2-Pyridinecarboxaldehyde, 5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 2-[O-(1,1-dimethylethyl)oxime] (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



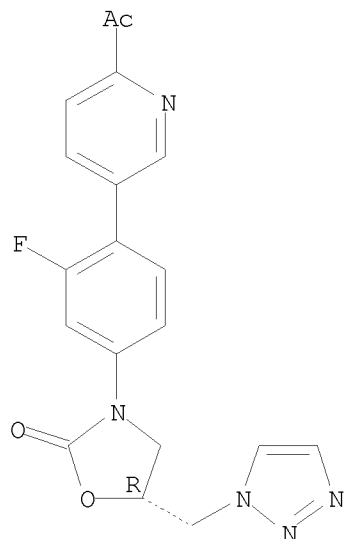
RN 870761-53-8 HCAPLUS

CN 2-Oxazolidinone, 3-[4-(6-acetyl-3-pyridinyl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Updated Search

10536687

Absolute stereochemistry.

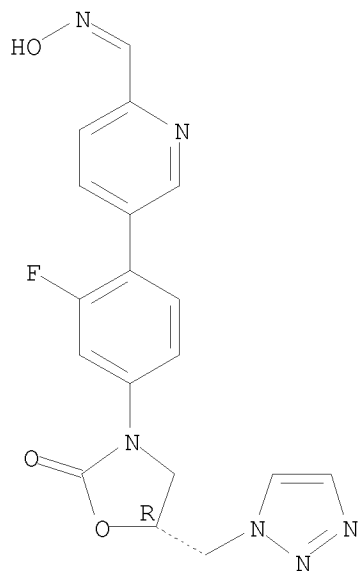


RN 870761-54-9 HCAPLUS

CN 2-Pyridinecarboxaldehyde, 5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 2-oxime (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



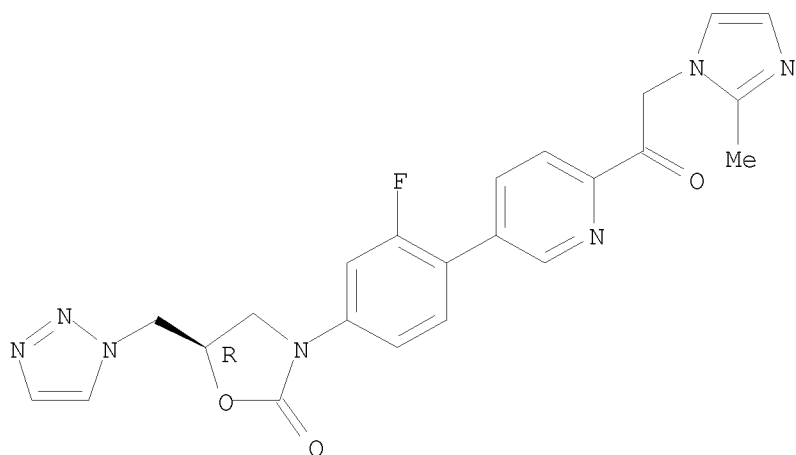
RN 870761-55-0 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[2-(2-methyl-1H-imidazol-1-yl)acetyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Updated Search

10536687

Absolute stereochemistry.

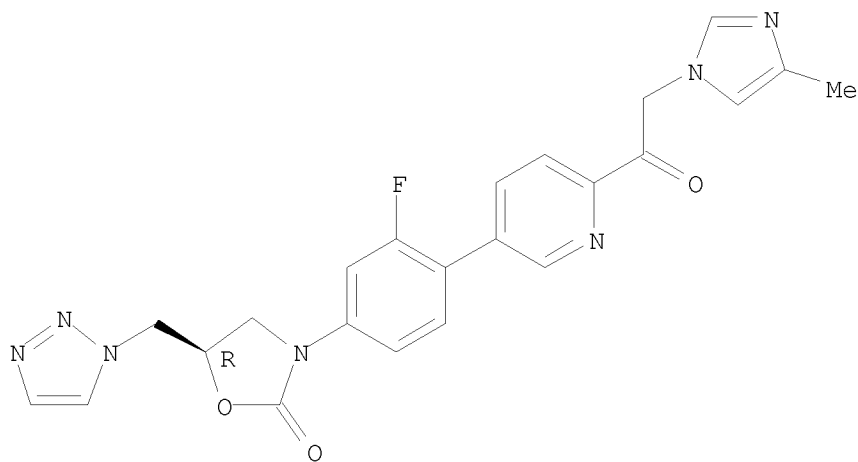


● HCl

RN 870761-56-1 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[2-(4-methyl-1H-imidazol-1-yl)acetyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 870761-57-2 HCAPLUS

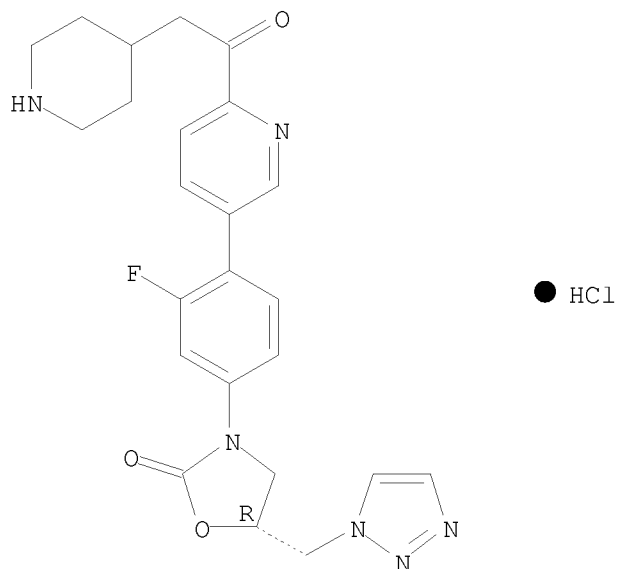
CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[2-(4-piperidinyl)acetyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Updated Search

10536687

pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1),
(5R)- (CA INDEX NAME)

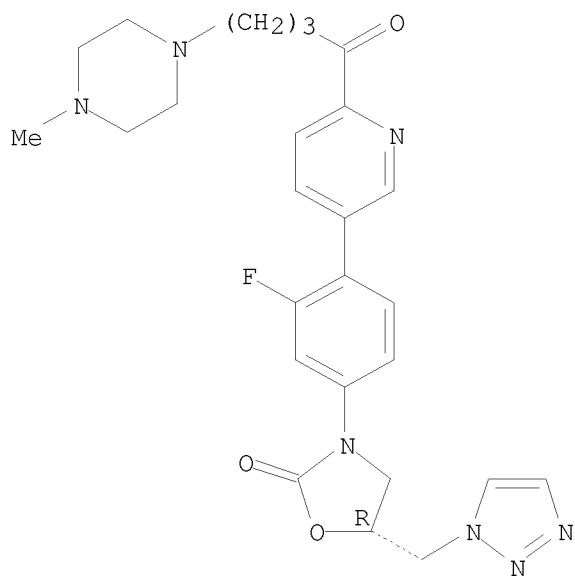
Absolute stereochemistry.



RN 870761-58-3 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[4-(4-methyl-1-piperazinyl)-1-oxobutyl]-
3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX
NAME)

Absolute stereochemistry.

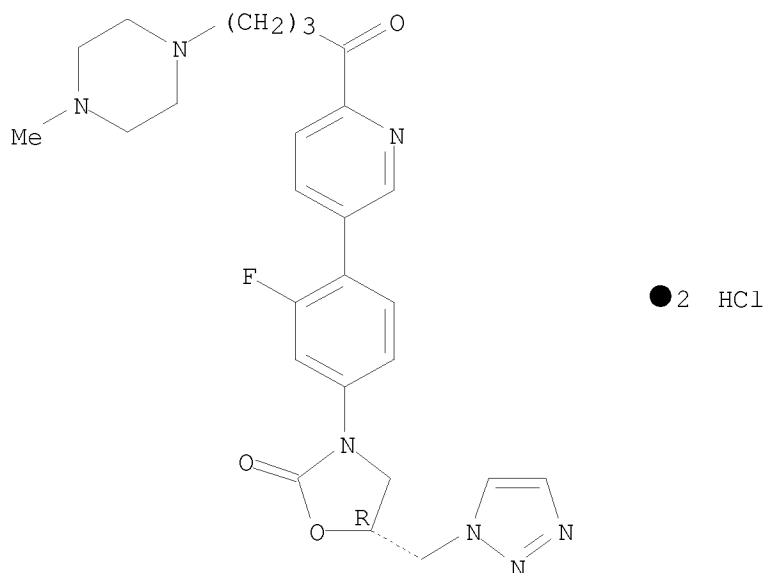


Updated Search

10536687

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CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[4-(4-methyl-1-piperazinyl)-1-oxobutyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:2), (5R)- (CA INDEX NAME)

Absolute stereochemistry.



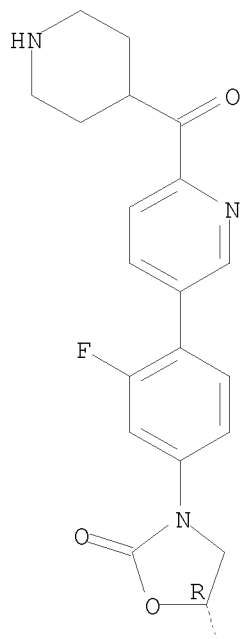
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(4-piperidinylcarbonyl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.

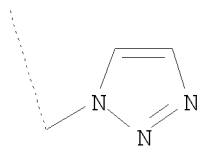
Updated Search

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● HCl

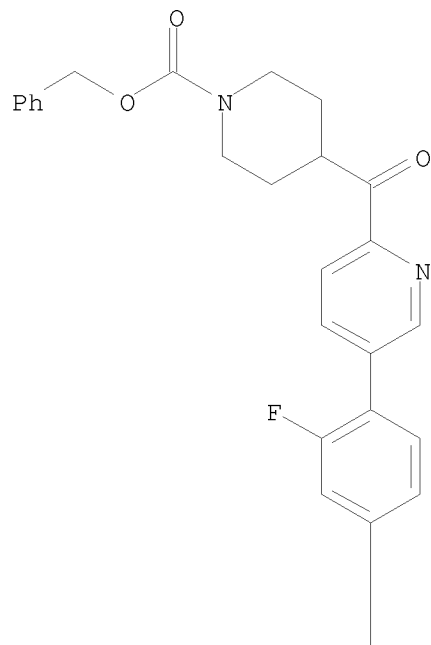
RN 870761-61-8 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]carbonyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.

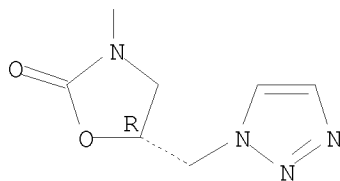
Updated Search

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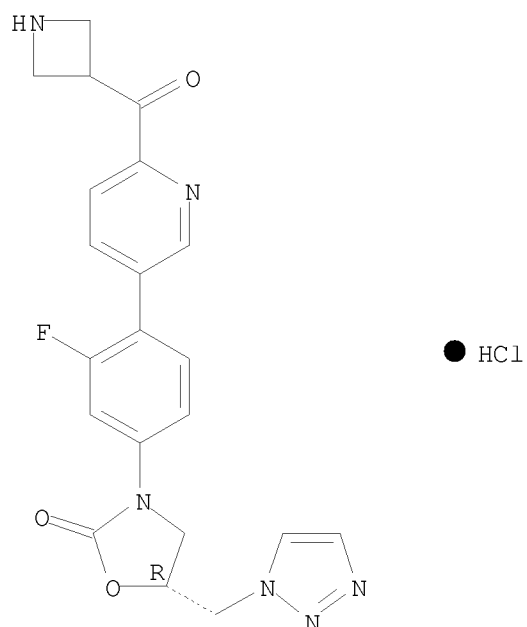
RN 870761-62-9 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[6-(3-azetidinylicarbonyl)-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)-
(CA INDEX NAME)

Absolute stereochemistry.

Updated Search

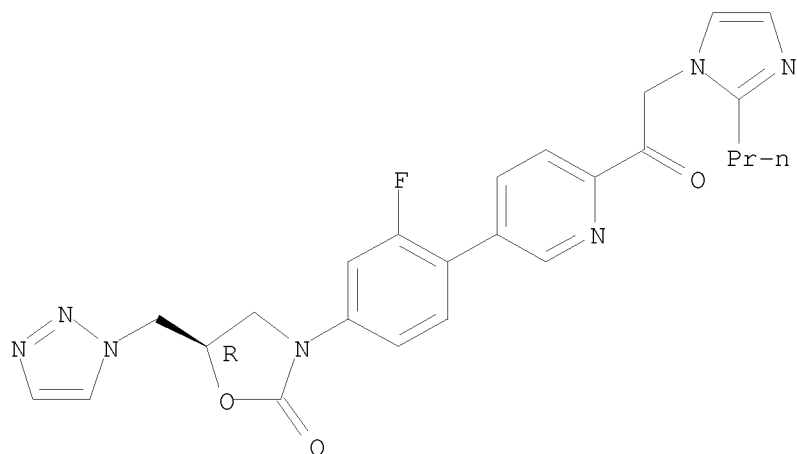
10536687



RN 870761-63-0 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[2-(2-propyl-1H-imidazol-1-yl)acetyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



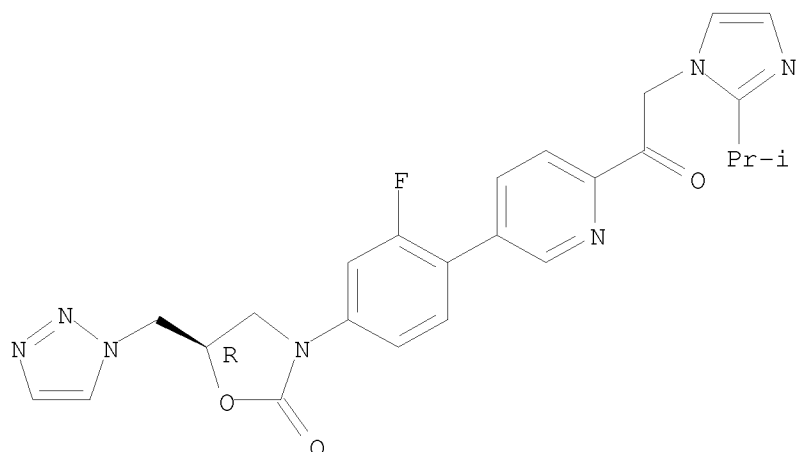
RN 870761-64-1 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[2-[2-(1-methylethyl)-1H-imidazol-1-yl]acetyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

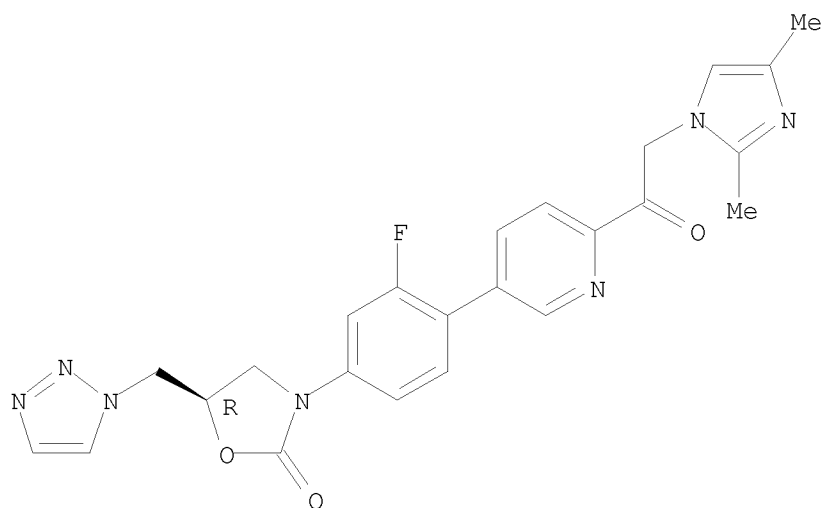
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RN 870761-65-2 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[2-(2,4-dimethyl-1H-imidazol-1-yl)acetyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



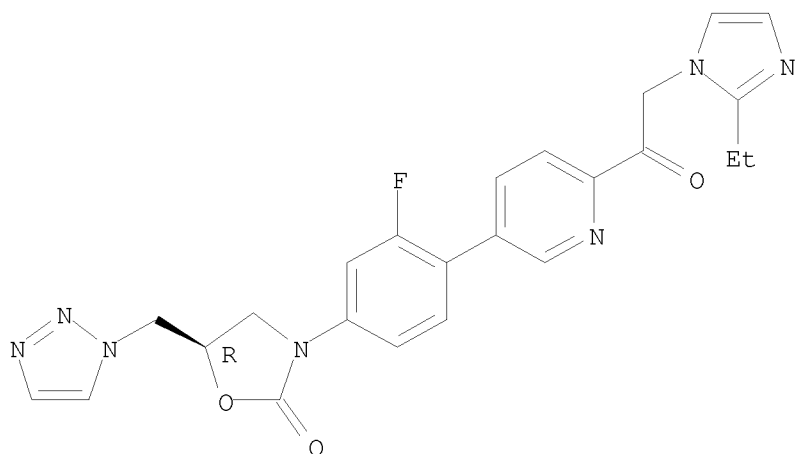
RN 870761-66-3 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[6-[2-(2-ethyl-1H-imidazol-1-yl)acetyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

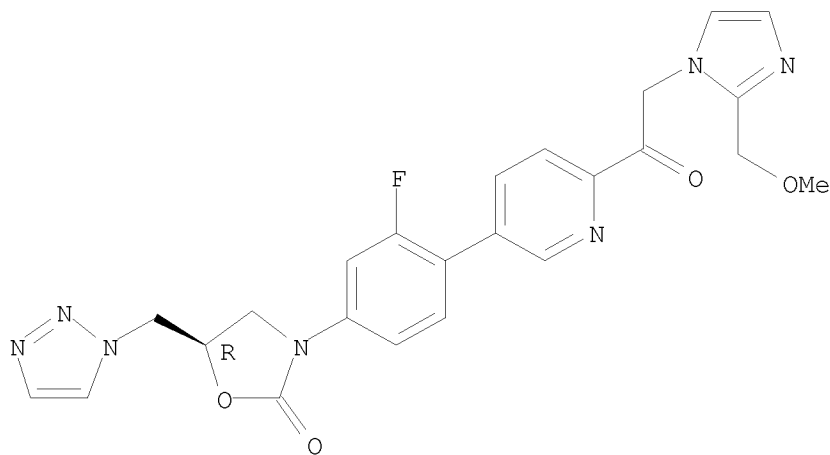
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RN 870761-67-4 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[2-[2-(methoxymethyl)-1H-imidazol-1-yl]acetyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 870761-77-6P 870807-36-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-[4-(pyridin-3-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)oxazolidin-2-ones as antibacterial agents)

RN 870761-77-6 HCAPLUS

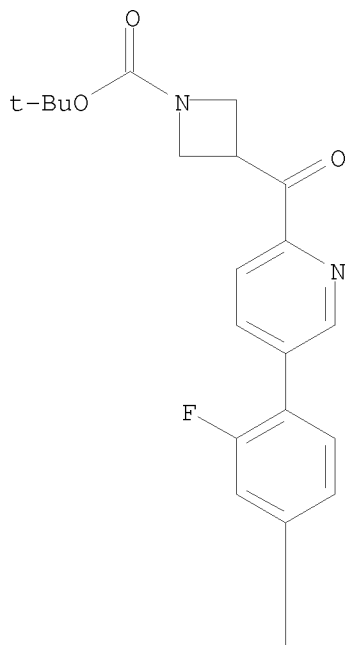
CN 1-Azetidinecarboxylic acid, 3-[[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

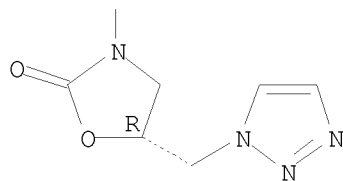
Updated Search

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PAGE 1-A



PAGE 2-A



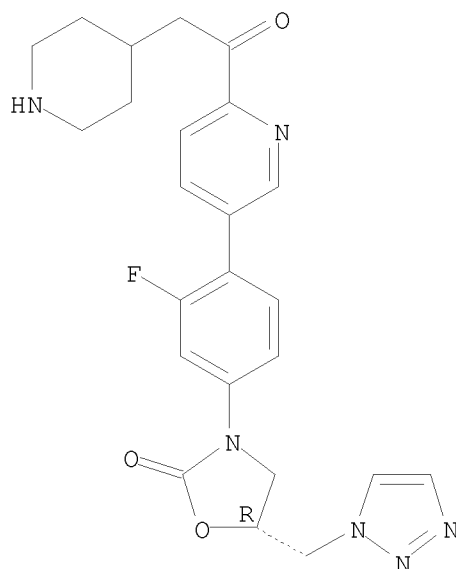
RN 870807-36-6 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-[2-(4-piperidinyl)acetyl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

10536687



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:467876 HCAPLUS

DOCUMENT NUMBER: 141:23521

TITLE: Preparation of substituted oxazolidinones as antibiotics

INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Reck, Folkert; Zhou, Fei

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004048350	A2	20040610	WO 2003-GB5091	20031124
WO 2004048350	A3	20041021		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2507628	A1	20040610	CA 2003-2507628	20031124
AU 2003285509	A1	20040618	AU 2003-285509	20031124

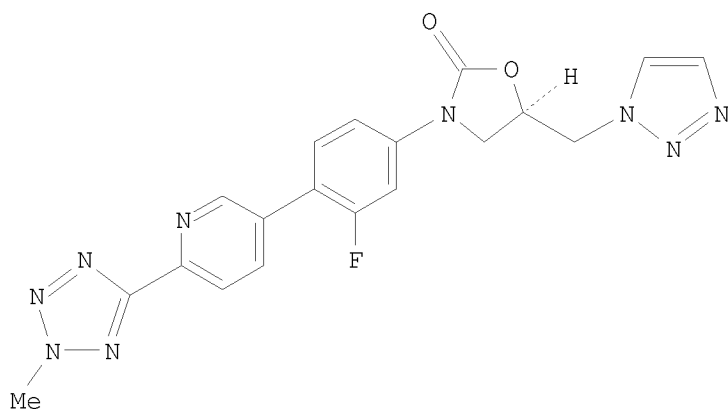
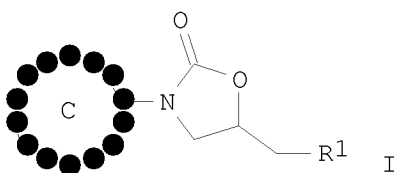
Updated Search

10536687

EP 1581524	A2	20051005	EP 2003-778506	20031124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003016690	A	20051018	BR 2003-16690	20031124
CN 1742009	A	20060301	CN 2003-80109183	20031124
JP 2006515601	T	20060601	JP 2005-510254	20031124
MX 2005PA05522	A	20050725	MX 2005-PA5522	20050524
ZA 2005004309	A	20060222	ZA 2005-4309	20050526
US 20060116386	A1	20060601	US 2005-536687	20050527
NO 2005003133	A	20050715	NO 2005-3133	20050627
PRIORITY APPLN. INFO.:			GB 2002-27704	A 20021128
			GB 2003-10828	A 20030510
			WO 2003-GB5091	W 20031124

OTHER SOURCE(S): MARPAT 141:23521

GI



AB Title compds. I [C = substituted biaryl; R1 = HET1, HET2; HET1 = N-linked 5-membered (un)saturated heterocyclic ring, etc.; HET2 = N-linked 6-membered dihydroheteroaryl ring, etc.] are prepared For instance, (5R)-3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one (preparation given) is coupled to bis(pinacolato)diboron (DMSO, PdCl2(dppf)•CH2Cl2, KOAc) and the resulting adduct coupled to 5-bromo-2-(2-methyl-2H-tetrazol-5-yl)pyridine (DMF, H2O, K2CO3, (PPh3)4Pd) to give II. Compds. of the invention exhibit antibacterial activity with MIC = 0.01 - 256 µg/mL; II has MIC < 0.06 for Streptococcus pneumoniae.

IT 700370-55-4P, (5R)-3-[3-Fluoro-4-[2-methyl-6-(4-methyl-1H-1,2,3-triazol-1-yl)pyridin-3-yl]phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-

Updated Search

10536687

oxazolidin-2-one

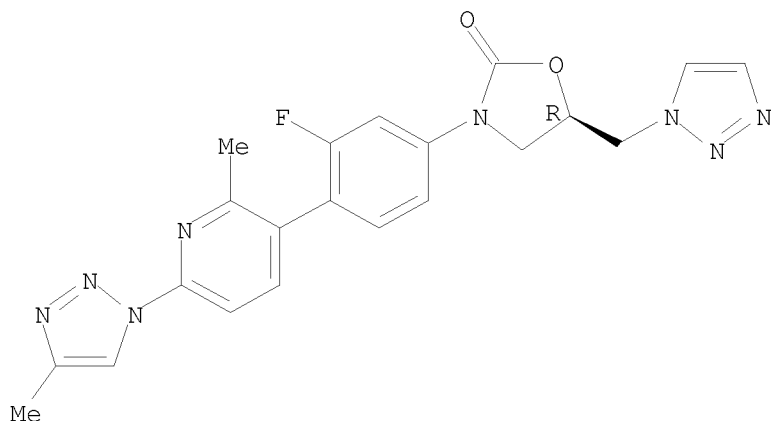
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted oxazolidinones as antibiotics)

RN 700370-55-4 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[2-methyl-6-(4-methyl-1H-1,2,3-triazol-1-yl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:696894 HCAPLUS

DOCUMENT NUMBER: 139:214458

TITLE: Preparation of 3-cyclyl-5-[(nitrogen-containing 5-membered ring)methyl]oxazolidinones and their use as antibacterial agents

INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Reck, Folkert; Zhou, Fei; Fleming, Paul Robert; Carcanague, Daniel Robert; Girardot, Marc Michel

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 140 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072575	A1	20030904	WO 2003-GB785	20030225
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			

Updated Search

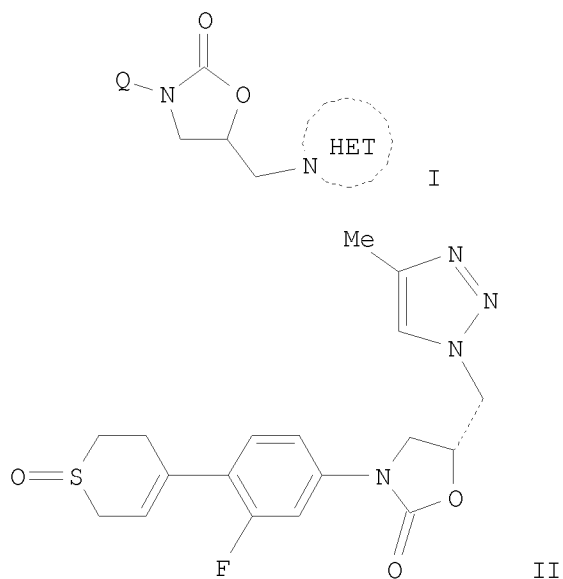
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2477344	A1	20030904	CA 2003-2477344	20030225
AU 2003207340	A1	20030909	AU 2003-207340	20030225
BR 2003008056	A	20041207	BR 2003-8056	20030225
EP 1497286	A1	20050119	EP 2003-704812	20030225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1649866	A	20050803	CN 2003-809171	20030225
JP 2005524661	T	20050818	JP 2003-571281	20030225
NZ 535592	A	20060728	NZ 2003-535592	20030225
MX 2004PA08312	A	20041126	MX 2004-PA8312	20040826
US 20050119292	A1	20050602	US 2004-506020	20040826
ZA 2004006812	A	20050912	ZA 2004-6812	20040826
NO 2004003950	A	20041013	NO 2004-3950	20040921
IN 2006DN06624	A	20070831	IN 2006-DN6624	20061108
PRIORITY APPLN. INFO.:			US 2002-360957P	P 20020228
			WO 2003-GB785	W 20030225
			IN 2004-DN2480	A3 20040825

OTHER SOURCE(S): MARPAT 139:214458

GI



AB 3-Cyclyl-5-[(nitrogen-containing 5-membered ring)methyl]oxazolidinones (shown as I; e.g. (5R)-3-[4-(1-oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[4-methyl-1,2,3-triazol-1-ylmethyl]oxazolidin-2-one (shown as II); -N-HET is, for example, 3-R1-1,2,4-triazol-1-yl or 5-R1-2H-tetrazol-2-yl wherein R1 is (1-4C)alkyl; Q = for example, 3-R2-4-T-5-R3phenyl wherein R2 and R3 = H or fluoro; T = for example, 5,6-dihydro-2H-thiopyran-4-yl with

Updated Search

0-2 O atoms bonded to S), or a pharmaceutically-acceptable salt, or an in-vivo-hydrolyzable ester thereof, are useful as antibacterial agents; and processes for their manufacture and pharmaceutical compns. containing them

are

described. Compds. I have a good spectrum of activity in vitro against standard organisms, which are used to screen for activity against pathogenic bacteria. For example, the min. inhibitory concns. of II against methicillin sensitive and quinolone sensitive *Staphylococcus aureus* and against methicillin resistant and quinolone resistant *Staphylococcus aureus* are 2 and 4 µg/mL, resp., compared to 2 and 2 µg/mL for the reference compound without the Me substituent. Compds. I showed a favorable decreased MAO-A potency compared with analogs from the known art with C-5 side chains such as acetamidomethyl or unsubstituted azolylmethyl or hydroxymethyl. They also showed favorable decreased MAO-A potency compared with analogs in which the HET group is unsubstituted. Fifty-seven example preps. of intermediates and 44 example preps. of I are included. For example, to prepare II, (5R)-3-[4-(1-oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-azidomethylloxazolidin-2-one (1.0 mmol; preparation described) was mixed with 5,6,7,8-tetrachloro-2,9-dimethyl-1,4-dihydro-1,4-ethenonaphthalene (2.0 mmol) in dry 1,4-dioxane (4 mL) in a sealed microwave reaction tube. The tube was placed in a Smith microwave reactor at 170° for 20 min. The reaction mixture was then transferred into a round bottom flask and the solvent was removed under vacuum. The residue was purified by chromatog. on silica gel with 5% MeOH in CH₂Cl₂ to give a mixture of the 4- and 5-Me regioisomers. This mixture was further separated on a chiral column (chiralcel OD) with iso-PrOH/hexanes (1:1) to give II (74 mg).

IT 591232-91-6P, (5R)-3-[4-(1-Benzyl-1,2,3,6-tetrahydropyridin-4-yl)-3,5-difluorophenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-96-1P, (5R)-3-[4-[1-(Acetoxyacetyl)-1,2,3,6-tetrahydropyridin-4-yl]-3-fluorophenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

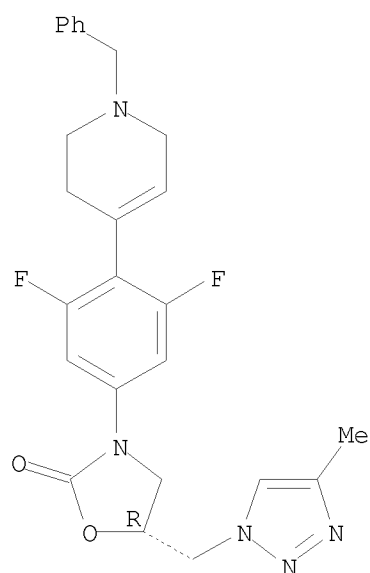
(drug candidate; preparation of cyclyl (nitrogen-containing 5-membered ring)methyl oxazolidinones and their use as antibacterial agents)

RN 591232-91-6 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[1,2,3,6-tetrahydro-1-(phenylmethyl)-4-pyridinyl]phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

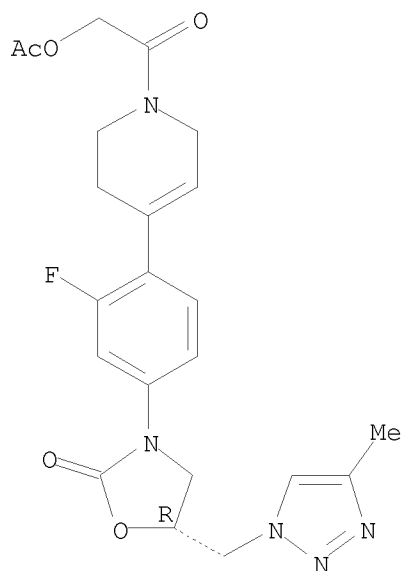
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RN 591232-96-1 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[1-[2-(acetyloxy)acetyl]-1,2,3,6-tetrahydro-4-pyridinyl]-3-fluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 591232-88-1P, (5R)-3-[3,5-Difluoro-4-(1-glycoloyl-1,2,3,6-tetrahydropyridin-4-yl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-93-8P, (5R)-3-[4-[1-((2S)-2,3-dihydroxypropanoyl)-1,2,3,6-tetrahydropyridin-4-yl]-3,5-difluorophenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-95-0P

Updated Search

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, (5R)-3-[3-Fluoro-4-(1-glycoloyl-1,2,3,6-tetrahydropyridin-4-yl)phenyl]-5-
[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591233-00-0P

, (5R)-3-[4-(1-Benzyl-1,2,3,6-tetrahydropyridin-4-yl)-3,5-difluorophenyl]-
5-[(5-methyl-2H-tetrazol-2-yl)methyl]oxazolidin-2-one

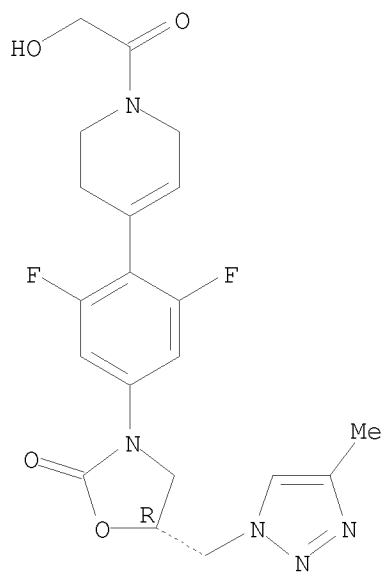
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(drug candidate; preparation of cyclyl (nitrogen-containing 5-membered
ring)methyl oxazolidinones and their use as antibacterial agents)

RN 591232-88-1 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[1,2,3,6-tetrahydro-1-(2-hydroxyacetyl)-
4-pyridinyl]phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)-
(CA INDEX NAME)

Absolute stereochemistry.



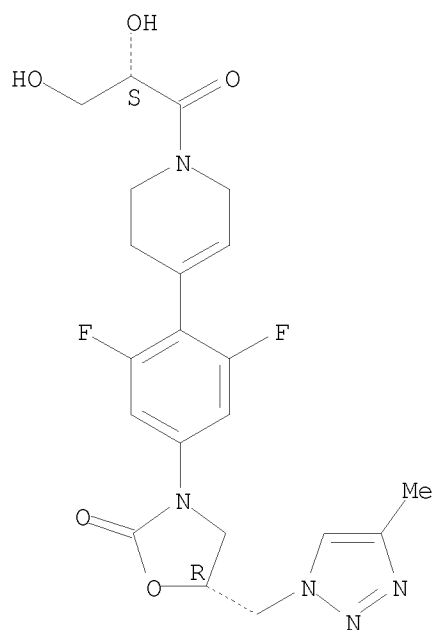
RN 591232-93-8 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[1-[(2S)-2,3-dihydroxy-1-oxopropyl]-1,2,3,6-
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1-yl)methyl]-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

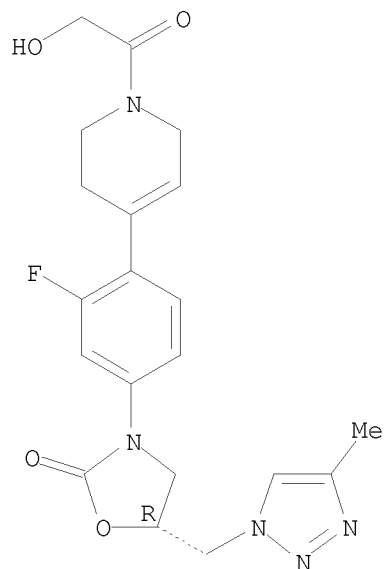
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RN 591232-95-0 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[1,2,3,6-tetrahydro-1-(2-hydroxyacetyl)-4-pyridinyl]phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 591233-00-0 HCAPLUS

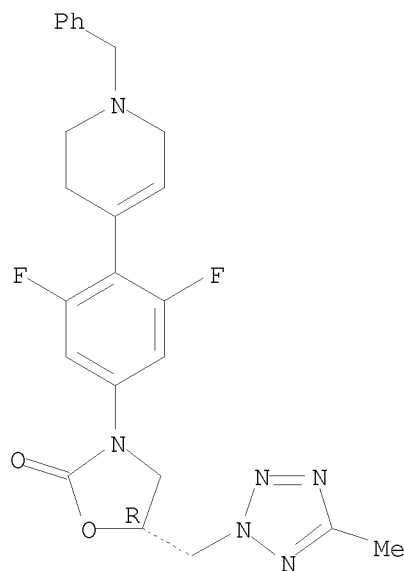
CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[1,2,3,6-tetrahydro-1-(phenylmethyl)-4-pyridinyl]phenyl]-5-[(5-methyl-2H-tetrazol-2-yl)methyl]-, (5R)- (CA INDEX NAME)

Updated Search

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NAME)

Absolute stereochemistry.

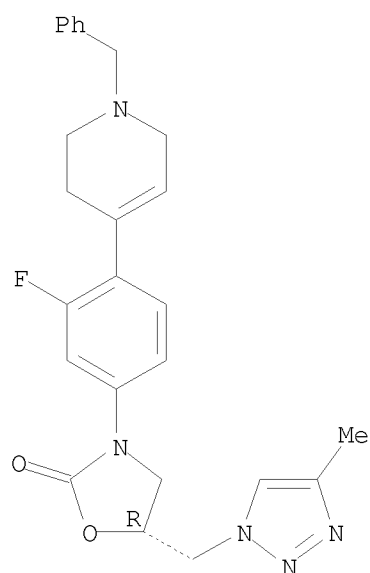


IT 591232-98-3P, (5R)-3-[4-(1-Benzyl-1,2,3,6-tetrahydropyridin-4-yl)-3-fluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of cyclol (nitrogen-containing 5-membered ring)methyl oxazolidinones and their use as antibacterial agents)
RN 591232-98-3 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[1,2,3,6-tetrahydro-1-(phenylmethyl)-4-pyridinyl]phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

10536687

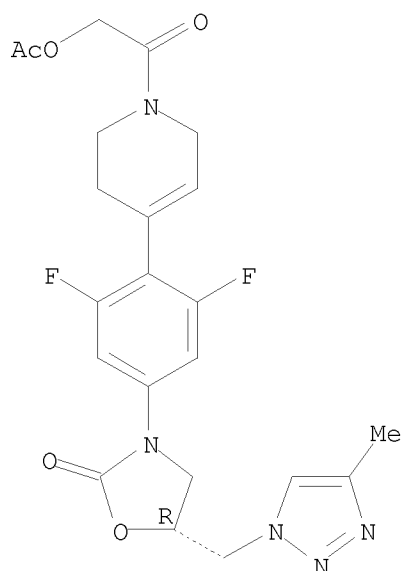


IT 591232-89-2P, (5R)-3-[4-(1-Acetoxyacetyl-1,2,3,6-tetrahydropyridin-4-yl)-3,5-difluorophenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-94-9P, (5R)-3-[4-[1-[(4S)-2,2-Dimethyl-1,3-dioxolan-4-yl]carbonyl]-1,2,3,6-tetrahydropyridin-4-yl]-3,5-difluorophenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of cyclyl (nitrogen-containing 5-membered ring)methyl oxazolidinones and their use as antibacterial agents)
 RN 591232-89-2 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[1-[2-(acetyloxy)acetyl]-1,2,3,6-tetrahydro-4-pyridinyl]-3,5-difluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

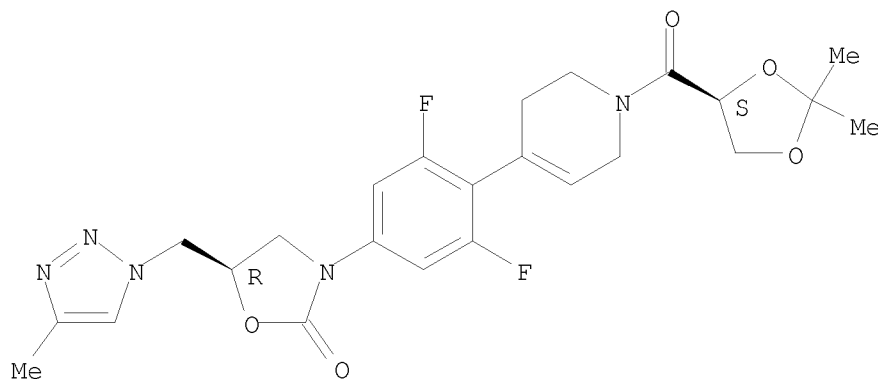
10536687



RN 591232-94-9 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[1-[[(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]carbonyl]-1,2,3,6-tetrahydro-4-pyridinyl]-3,5-difluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:798227 HCAPLUS

DOCUMENT NUMBER: 135:344473

TITLE: Oxazolidinone derivatives with antibacterial activity

INVENTOR(S): Gravestock, Michael Barry; Betts, Michael John; Griffin, David Alan; Matthews, Ian Richard

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 143 pp.

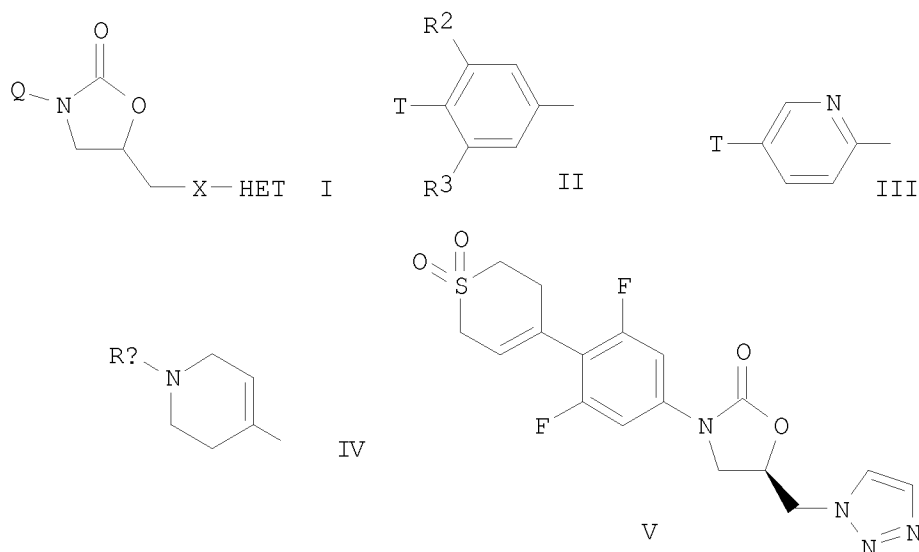
CODEN: PIXXD2

Updated Search

10536687

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081350	A1	20011101	WO 2001-GB1815	20010423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2405349	A1	20011101	CA 2001-2405349	20010423
BR 2001010240	A	20030107	BR 2001-10240	20010423
EP 1286998	A1	20030305	EP 2001-921669	20010423
EP 1286998	B1	20040609		
EP 1286998	B2	20071031		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2003000416	A2	20030628	HU 2003-416	20010423
JP 2003531211	T	20031021	JP 2001-578439	20010423
EE 200200598	A	20040415	EE 2002-598	20010423
NZ 521765	A	20040528	NZ 2001-521765	20010423
AT 268778	T	20040615	AT 2001-921669	20010423
PT 1286998	T	20040930	PT 2001-921669	20010423
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AU 781784	B2	20050616	AU 2001-48636	20010423
CN 1277829	C	20061004	CN 2001-811640	20010423
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ZA 2002008187	A	20040211	ZA 2002-8187	20021010
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MX 2002PA10453	A	20030425	MX 2002-PA10453	20021023
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US 20030216373	A1	20031120	US 2003-258355	20030506
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HK 1053114	A1	20050218	HK 2003-105394	20030725
PRIORITY APPLN. INFO.:			GB 2000-9803	A 20000425
			WO 2001-GB1815	W 20010423
OTHER SOURCE(S):	MARPAT	135:344473		
GI				



AB The title compds. [I; X = O, NH, S, etc.; HET = (un)substituted C-linked 5-membered heteroaryl ring containing 2-4 heteroatoms selected from N, O and S, etc.; Q = II, III, etc. (wherein R₂, R₃ = H, F; T = an N-linked (fully unsatd.) 5-membered heteroaryl ring system or IV; R_c = R₁₃CO, R₁₃SO₂, R₁₃CS, etc.; R₁₃ = alkyl, etc.)], useful as antibacterial agents, were prepared and formulated. E.g., a multi-step synthesis of the oxazoline (R)-V which showed MIC of 0.125 µg/mL against *Staphylococcus aureus* (Oxford), was given.

IT 371194-20-6P 371194-23-9P 371194-26-2P
 371194-34-2P 371194-35-3P 371194-37-5P
 371194-39-7P 371194-42-2P 371194-63-7P
 371194-69-3P 371194-71-7P 371194-73-9P
 371194-75-1P 371194-80-8P 371194-82-0P
 371194-89-7P 371194-90-0P 371195-08-3P
 371195-15-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

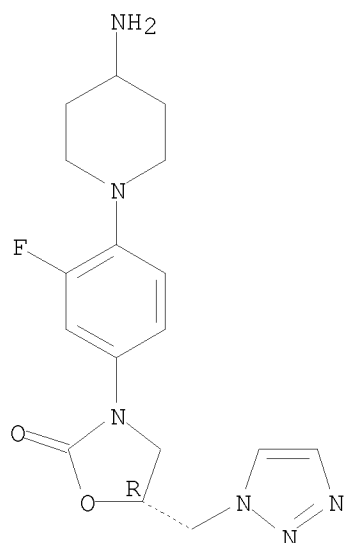
(oxazolidinone derivs. with antibacterial activity)

RN 371194-20-6 HCAPLUS

CN 2-Oxazolidinone, 3-[4-(4-amino-1-piperidinyl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

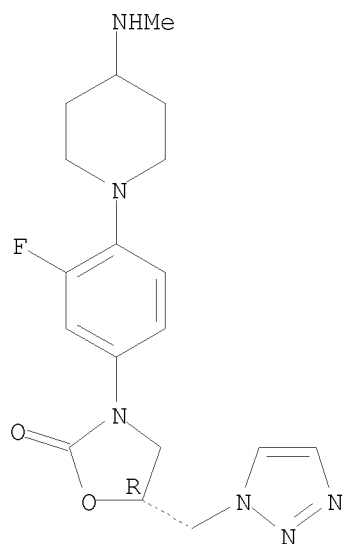
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RN 371194-23-9 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(methylamino)-1-piperidinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 371194-26-2 HCAPLUS

CN Acetamide, 2-(acetyloxy)-N-[1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-piperidinyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

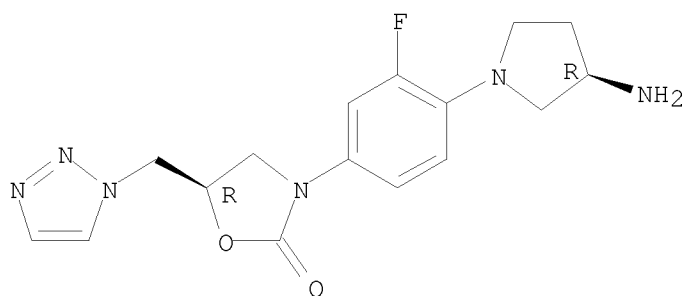
CN Carbamic acid, [(3R)-1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

CCOC(=O)N[C@H]1CCN(C1)c2cc(F)cc(N3C(=O)OC[C@H]3n4ccnc4)cc2

CN 2-Oxazolidinone, 3-[4-[(3R)-3-amino-1-pyrrolidinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Updated Search

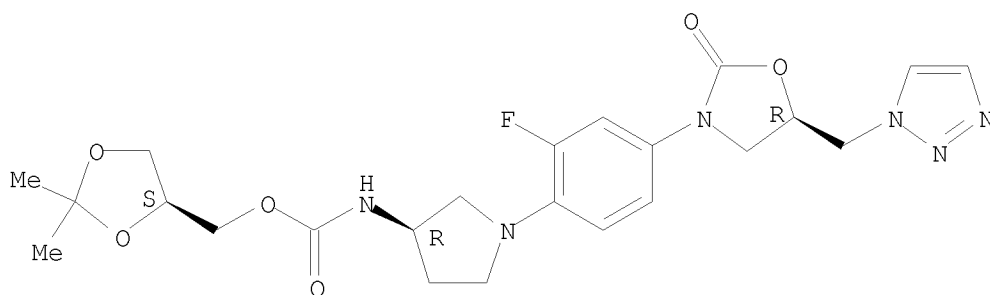
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RN 371194-37-5 HCAPLUS

CN Carbamic acid, [(3R)-1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-pyrrolidinyl]-, [(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]methyl ester (9CI) (CA INDEX NAME)

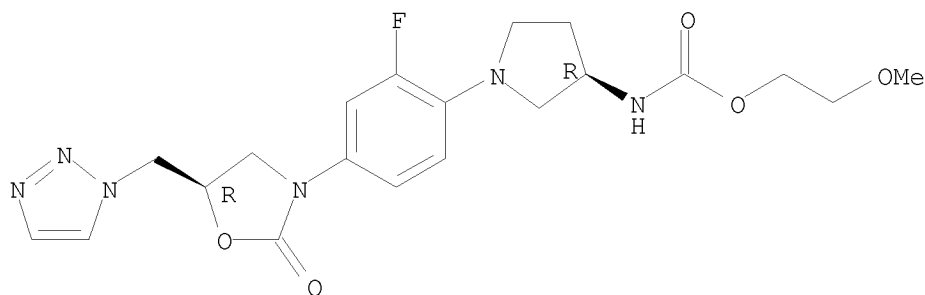
Absolute stereochemistry.



RN 371194-39-7 HCAPLUS

CN Carbamic acid, [(3R)-1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-pyrrolidinyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



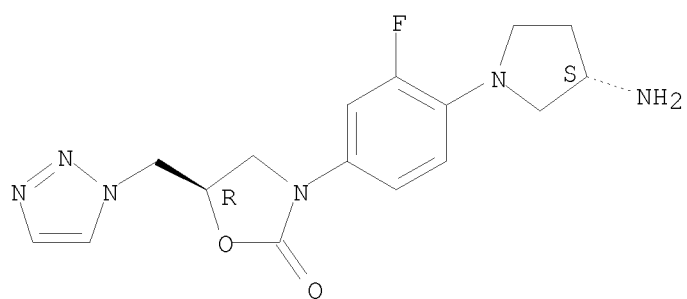
RN 371194-42-2 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[(3S)-3-amino-1-pyrrolidinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

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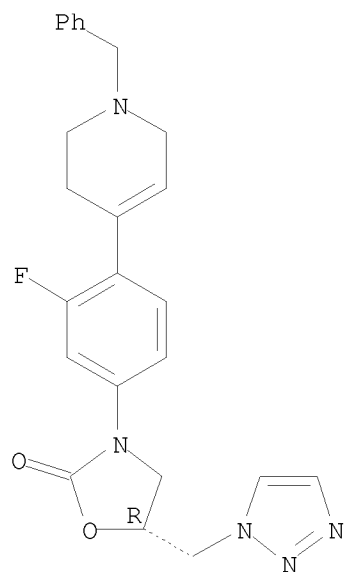


● HCl

RN 371194-63-7 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[1,2,3,6-tetrahydro-1-(phenylmethyl)-4-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



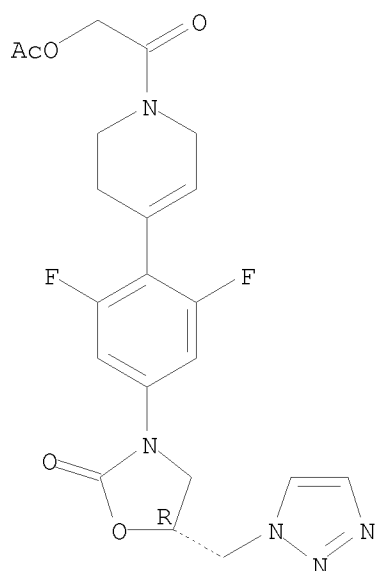
RN 371194-69-3 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[1-[2-(acetyloxy)acetyl]-1,2,3,6-tetrahydro-4-pyridinyl]-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

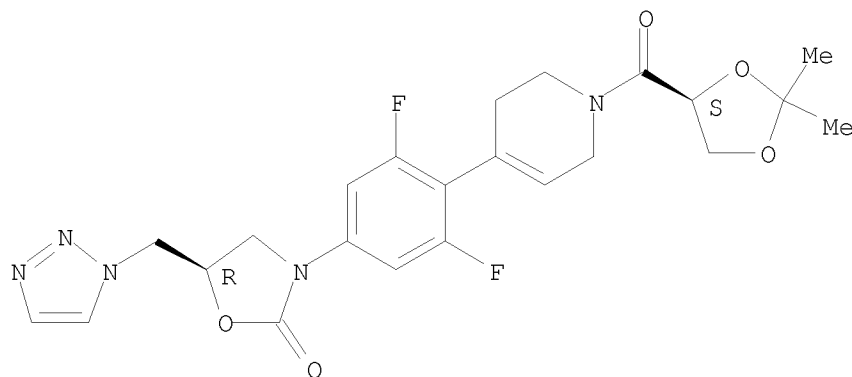
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RN 371194-71-7 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[1-[[4S)-2,2-dimethyl-1,3-dioxolan-4-yl]carbonyl]-1,2,3,6-tetrahydro-4-pyridinyl]-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



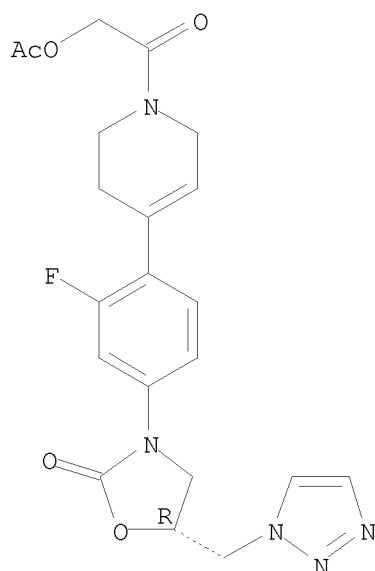
RN 371194-73-9 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[1-[2-(acetyloxy)acetyl]-1,2,3,6-tetrahydro-4-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

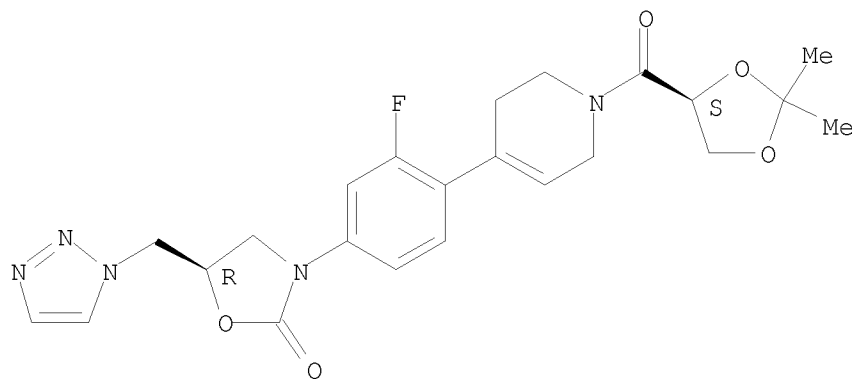
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RN 371194-75-1 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[1-[[4S)-2,2-dimethyl-1,3-dioxolan-4-yl]carbonyl]-1,2,3,6-tetrahydro-4-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



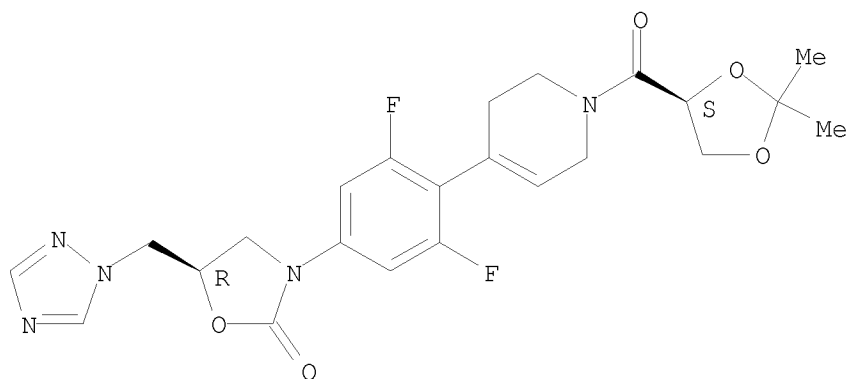
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CN 2-Oxazolidinone, 3-[4-[1-[[4S)-2,2-dimethyl-1,3-dioxolan-4-yl]carbonyl]-1,2,3,6-tetrahydro-4-pyridinyl]-3,5-difluorophenyl]-5-(1H-1,2,4-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

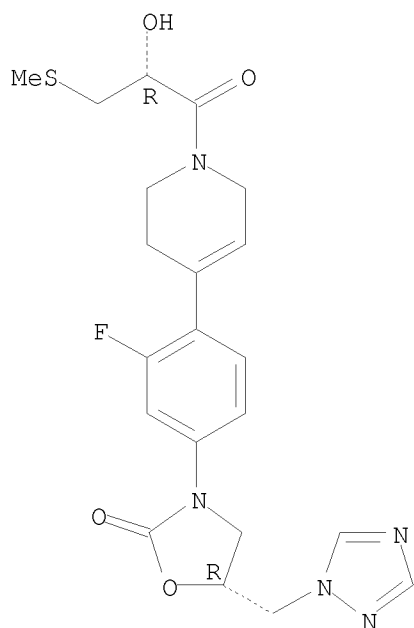
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RN 371194-82-0 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[1,2,3,6-tetrahydro-1-[(2R)-2-hydroxy-3-(methylthio)-1-oxopropyl]-4-pyridinyl]phenyl]-5-(1H-1,2,4-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



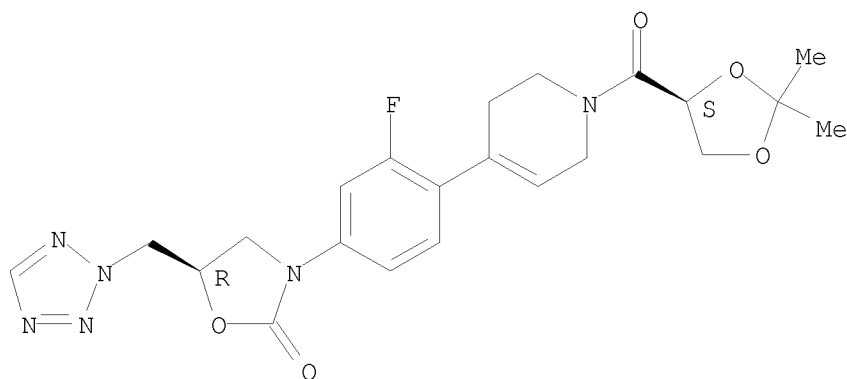
RN 371194-89-7 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[1-[[[(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]carbonyl]-1,2,3,6-tetrahydro-4-pyridinyl]-3-fluorophenyl]-5-(2H-tetrazol-2-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

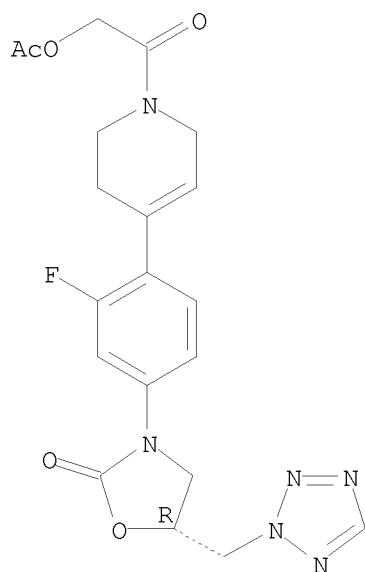
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RN 371194-90-0 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[1-[2-(acetyloxy)acetyl]-1,2,3,6-tetrahydro-4-pyridinyl]-3-fluorophenyl]-5-(2H-tetrazol-2-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



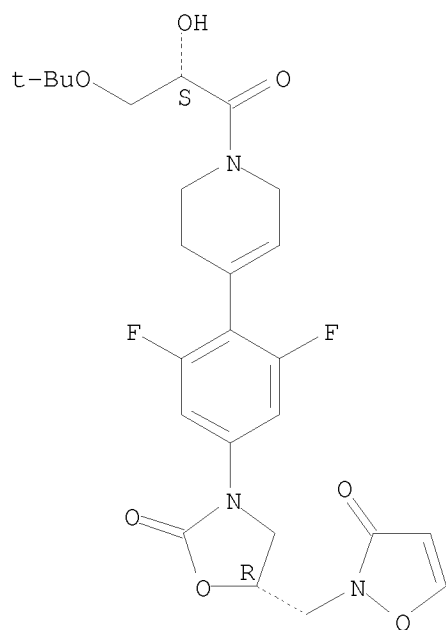
RN 371195-08-3 HCAPLUS

CN 3-(2H)-Isoxazolone, 2-[[(5R)-3-[4-[1-[(2S)-3-(1,1-dimethylethoxy)-2-hydroxy-1-oxopropyl]-1,2,3,6-tetrahydro-4-pyridinyl]-3,5-difluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

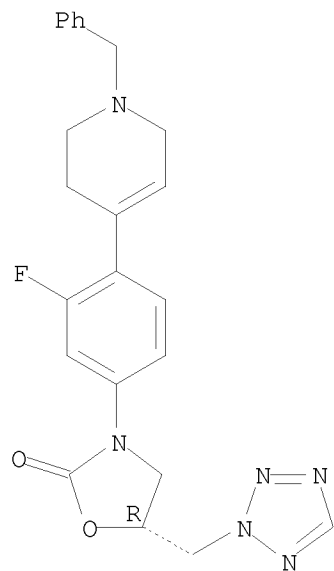
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RN 371195-15-2 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[1,2,3,6-tetrahydro-1-(phenylmethyl)-4-pyridinyl]phenyl]-5-(2H-tetrazol-2-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 371194-21-7P 371194-22-8P 371194-24-0P
371194-25-1P 371194-27-3P 371194-28-4P
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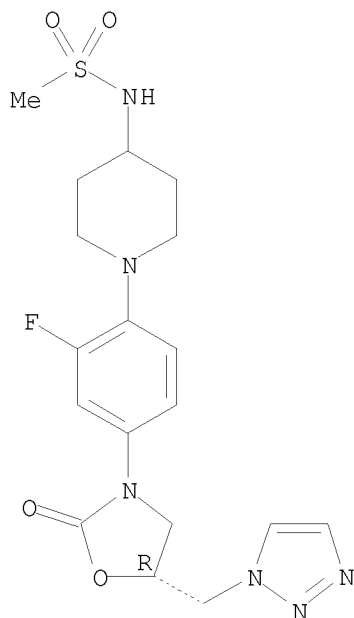
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371195-20-9P 371195-21-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(oxazolidinone derivs. with antibacterial activity)

RN 371194-21-7 HCAPLUS

CN Methanesulfonamide, N-[1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-piperidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



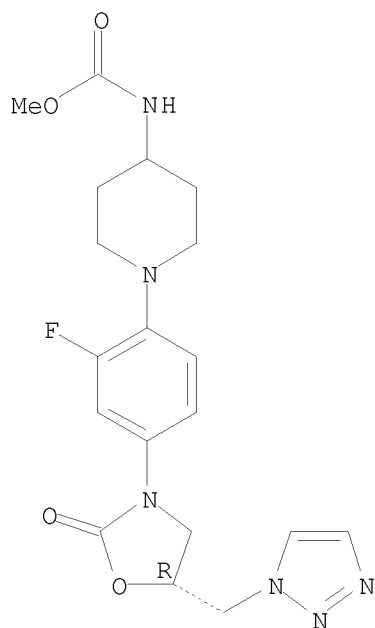
RN 371194-22-8 HCAPLUS

CN Carbamic acid, [1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

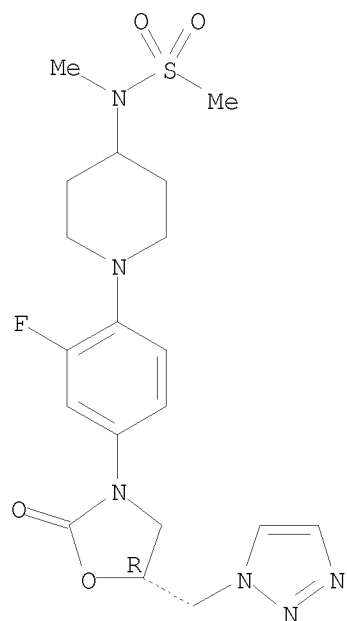
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RN 371194-24-0 HCAPLUS

CN Methanesulfonamide, N-[1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-piperidinyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 371194-25-1 HCAPLUS

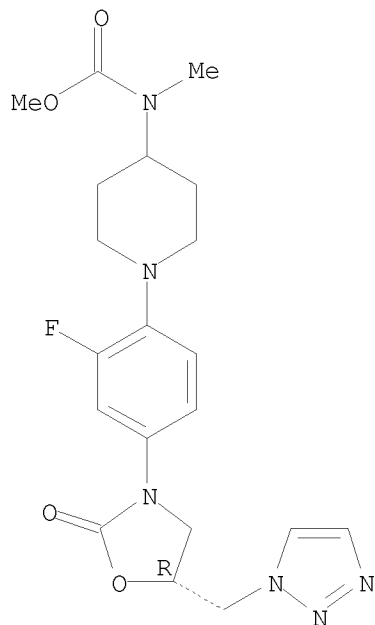
CN Carbamic acid, [1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-

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3-oxazolidinyl]phenyl]-4-piperidinyl]methyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



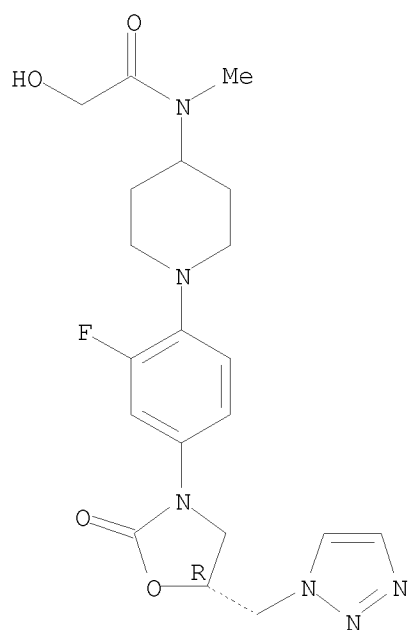
RN 371194-27-3 HCAPLUS

CN Acetamide, N-[1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-piperidinyl]-2-hydroxy-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

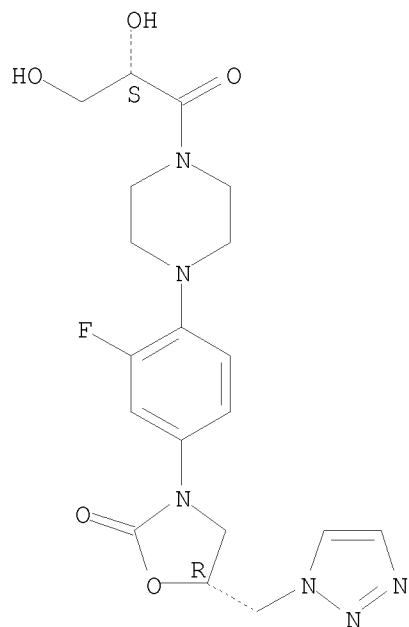
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RN 371194-28-4 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-[(2S)-2,3-dihydroxy-1-oxopropyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 371194-29-5 HCAPLUS

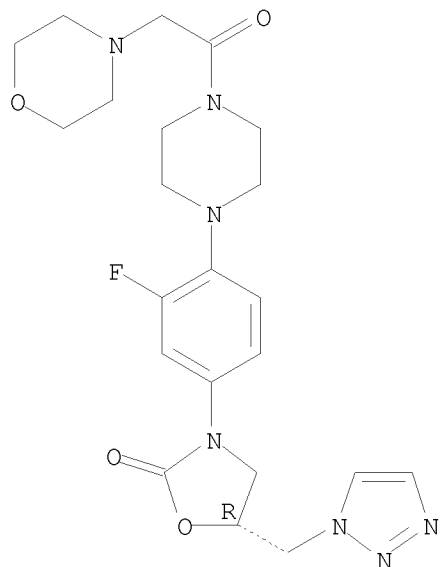
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[2-(4-morpholinyl)acetyl]-1-hydroxyethyl]-1-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)-

Updated Search

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piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

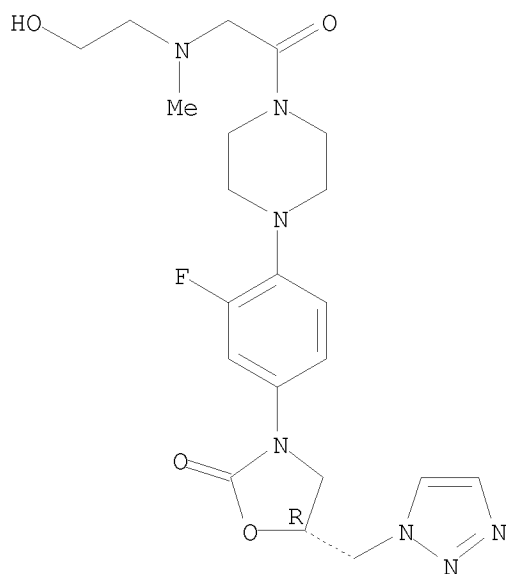
Absolute stereochemistry.



RN 371194-30-8 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[2-[(2-hydroxyethyl)methylamino]acetyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



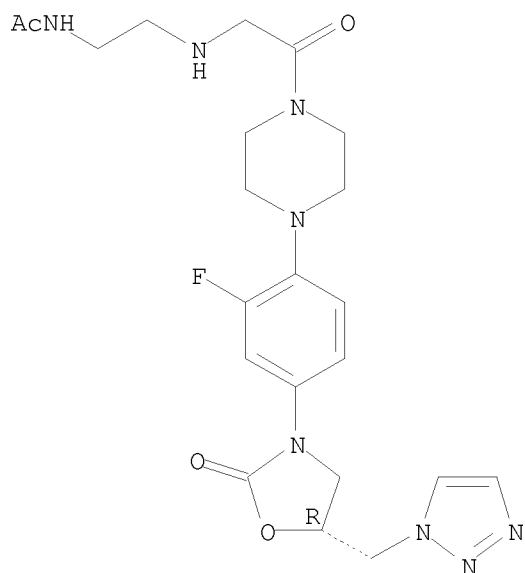
Updated Search

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RN 371194-31-9 HCAPLUS

CN Acetamide, N-[2-[[2-[4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl]amino]ethyl]-
(CA INDEX NAME)

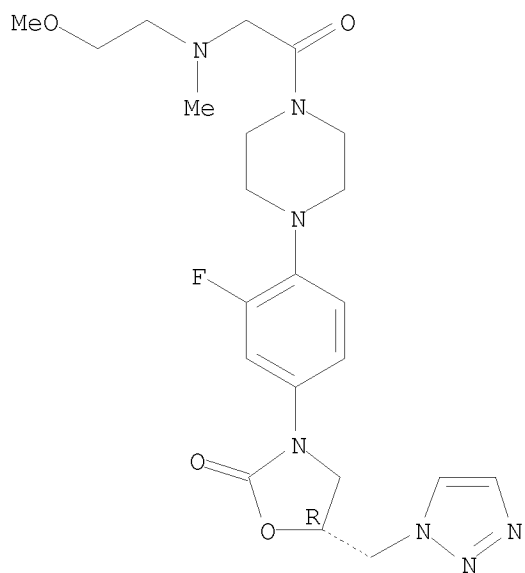
Absolute stereochemistry.



RN 371194-32-0 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[2-[(2-methoxyethyl)methylamino]acetyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)-
(CA INDEX NAME)

Absolute stereochemistry.

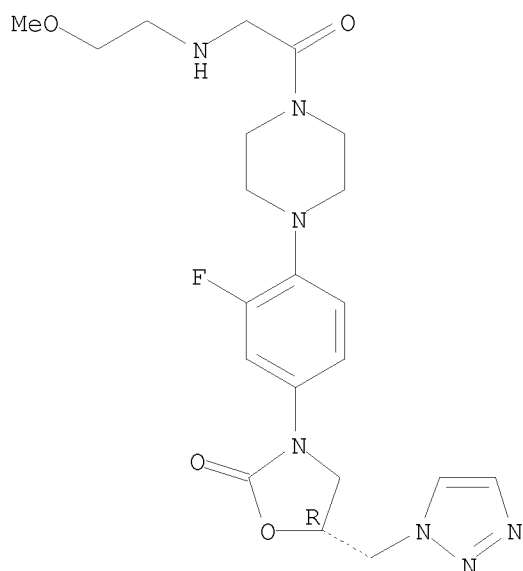


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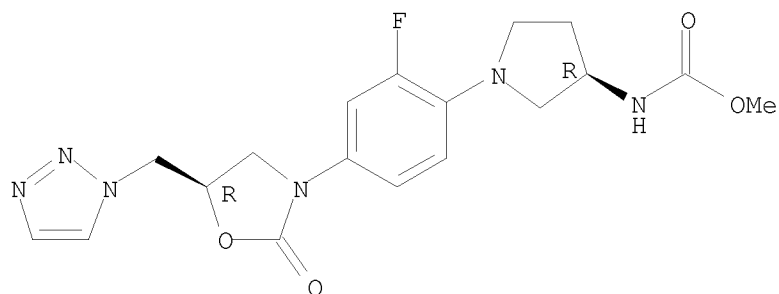
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[2-[(2-methoxyethyl)amino]acetyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 371194-36-4 HCAPLUS
CN Carbamic acid, [(3R)-1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-pyrrolidinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

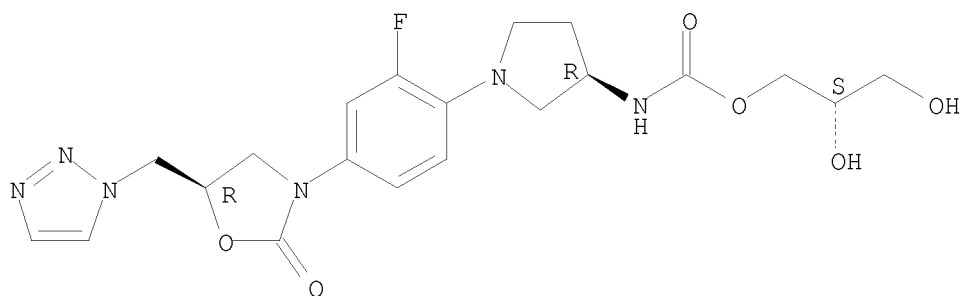


RN 371194-38-6 HCAPLUS
CN Carbamic acid, [(3R)-1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-pyrrolidinyl]-, (2S)-2,3-dihydroxypropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

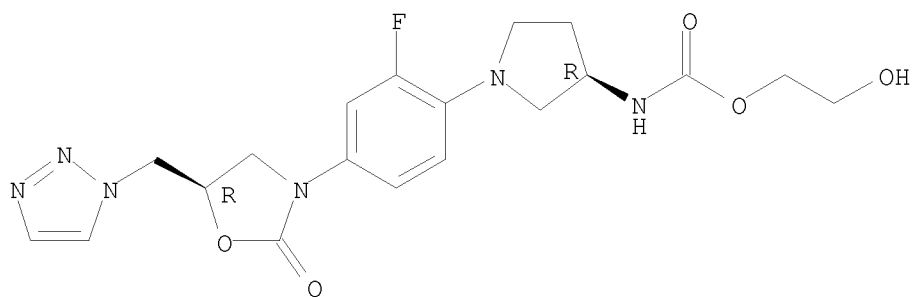
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RN 371194-40-0 HCAPLUS

CN Carbamic acid, [(3R)-1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-pyrrolidinyl]-, 2-hydroxyethyl ester (9CI) (CA INDEX NAME)

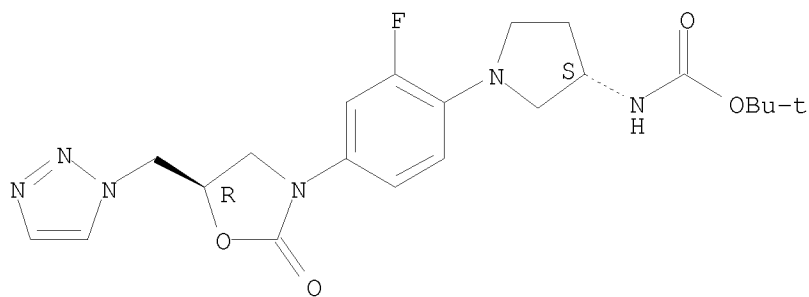
Absolute stereochemistry.



RN 371194-41-1 HCAPLUS

CN Carbamic acid, [(3S)-1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 371194-43-3 HCAPLUS

CN Acetamide, N-[(3S)-1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-pyrrolidinyl]- (CA INDEX NAME)

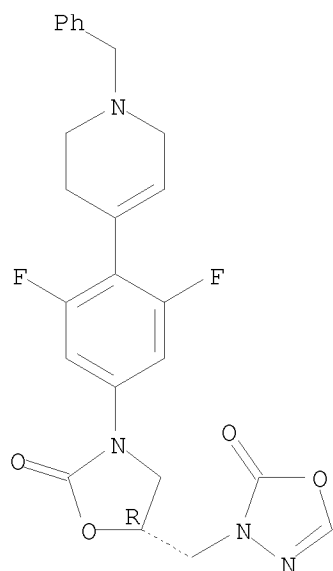
Absolute stereochemistry.

Updated Search

Chemical structure of a substituted oxazolidinone. The oxazolidinone ring is substituted with a 1H-imidazol-2-ylmethyl group at the 2-position (wedge bond), a carbonyl group at the 4-position, and a 4-fluoro-2-(4-(acetamido)thiazol-5-yl)phenyl group at the 3-position. The variable R is located at the 2-position of the oxazolidinone ring.

Updated Search

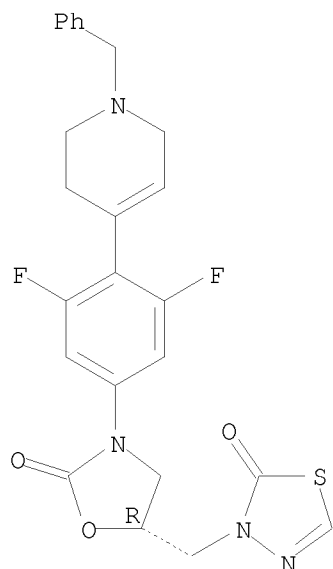
10536687



RN 371194-54-6 HCAPLUS

CN 1,3,4-Thiadiazol-2(3H)-one, 3-[[(5R)-3-[3,5-difluoro-4-[1,2,3,6-tetrahydro-1-(phenylmethyl)-4-pyridinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



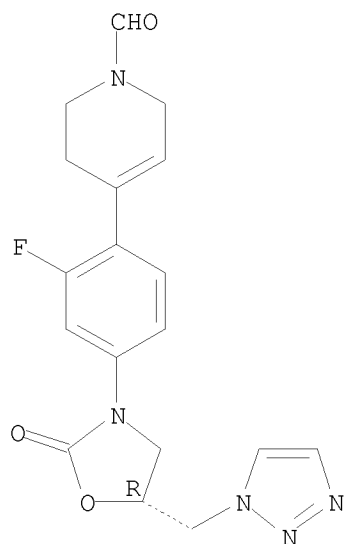
RN 371194-65-9 HCAPLUS

CN 1(2H)-Pyridinecarboxaldehyde, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

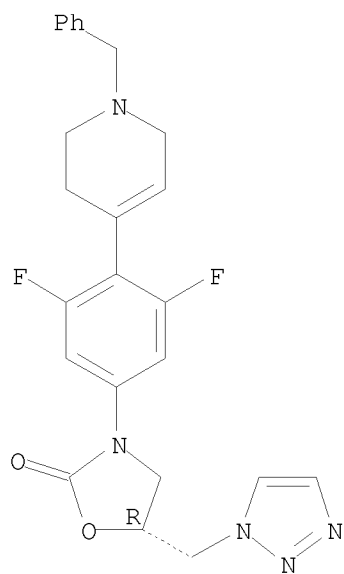
10536687



RN 371194-66-0 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[1,2,3,6-tetrahydro-1-(phenylmethyl)-4-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



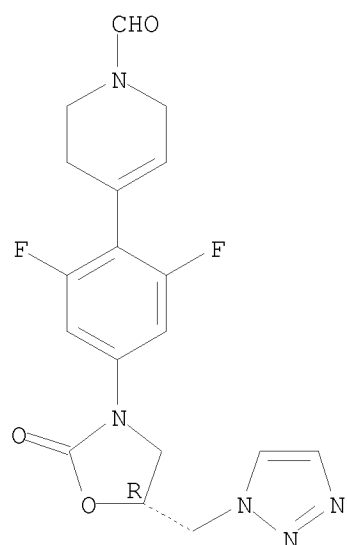
RN 371194-68-2 HCAPLUS

CN 1(2H)-Pyridinecarboxaldehyde, 4-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3,6-dihydro- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

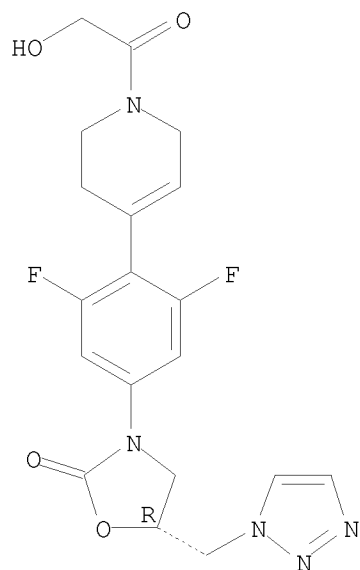
10536687



RN 371194-70-6 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[1,2,3,6-tetrahydro-1-(2-hydroxyacetyl)-4-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



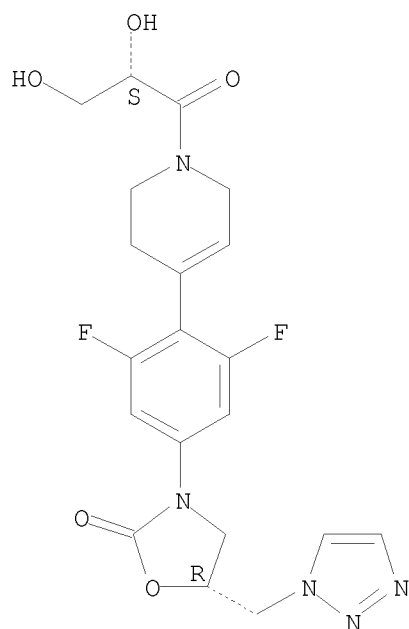
RN 371194-72-8 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[1-[(2S)-2,3-dihydroxy-1-oxopropyl]-1,2,3,6-tetrahydro-4-pyridinyl]-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

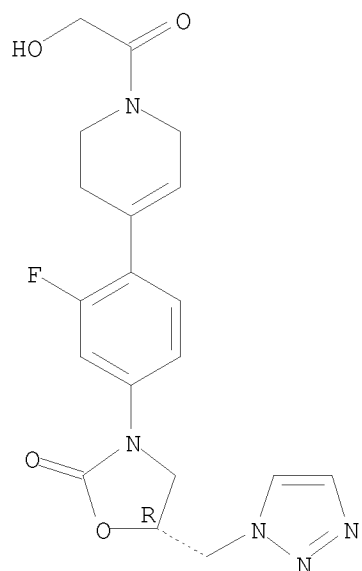
10536687



RN 371194-74-0 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[1,2,3,6-tetrahydro-1-(2-hydroxyacetyl)-4-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 371194-76-2 HCAPLUS

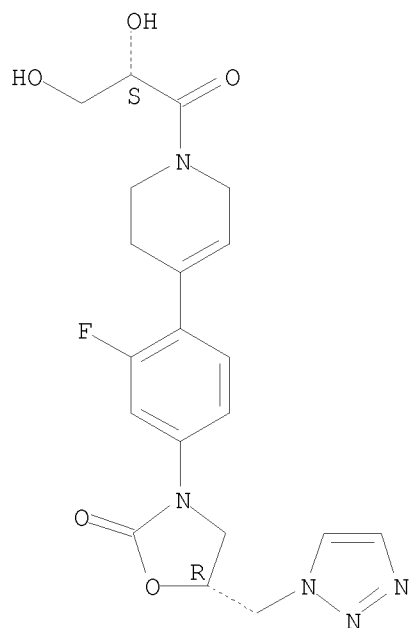
CN 2-Oxazolidinone, 3-[4-[1-[(2S)-2,3-dihydroxy-1-oxopropyl]-1,2,3,6-tetrahydro-4-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-,

Updated Search

10536687

(5R)- (CA INDEX NAME)

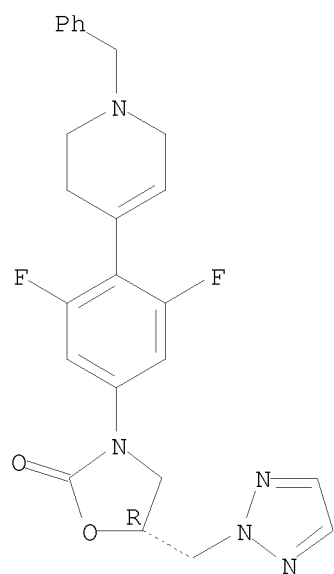
Absolute stereochemistry.



RN 371194-77-3 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[1,2,3,6-tetrahydro-1-(phenylmethyl)-4-pyridinyl]phenyl]-5-(2H-1,2,3-triazol-2-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



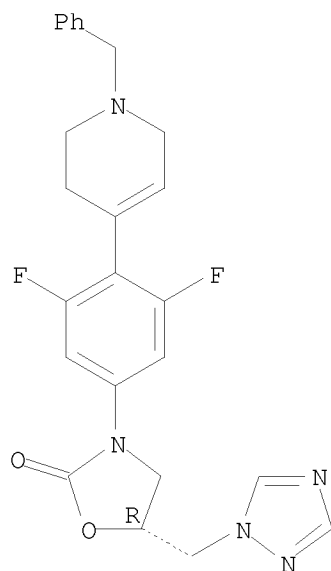
Updated Search

10536687

RN 371194-78-4 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[1,2,3,6-tetrahydro-1-(phenylmethyl)-4-pyridinyl]phenyl]-5-(1H-1,2,4-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



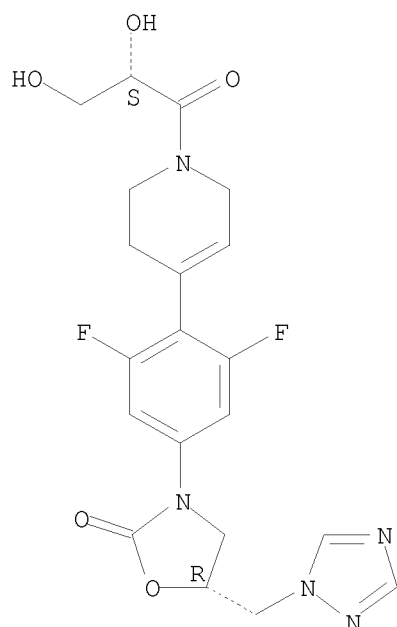
RN 371194-81-9 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[1-[(2S)-2,3-dihydroxy-1-oxopropyl]-1,2,3,6-tetrahydro-4-pyridinyl]-3,5-difluorophenyl]-5-(1H-1,2,4-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

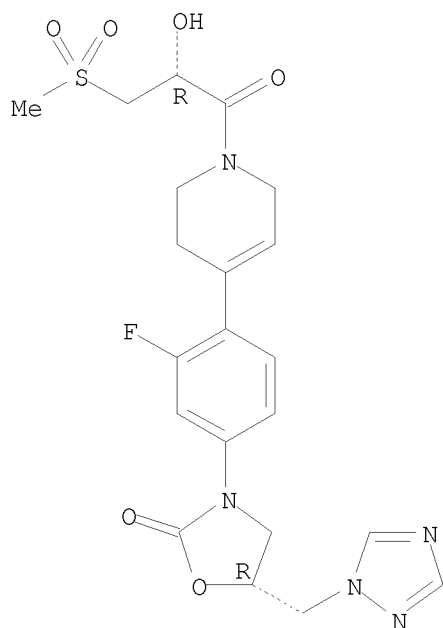
10536687



RN 371194-83-1 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[1,2,3,6-tetrahydro-1-[(2R)-2-hydroxy-3-(methylsulfonyl)-1-oxopropyl]-4-pyridinyl]phenyl]-5-(1H-1,2,4-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



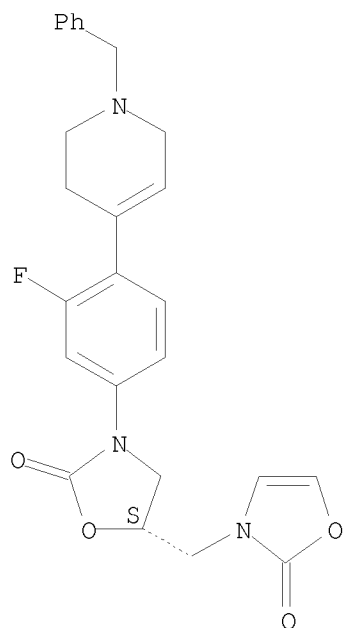
RN 371194-84-2 HCAPLUS

Updated Search

10536687

CN 2(3H)-Oxazolone, 3-[[(5S)-3-[3-fluoro-4-[1,2,3,6-tetrahydro-1-(phenylmethyl)-4-pyridinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

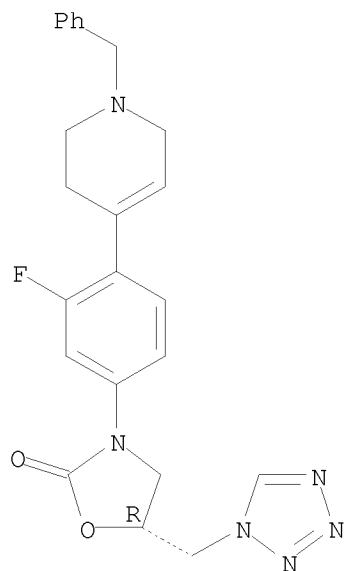
Absolute stereochemistry.



RN 371194-87-5 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[1,2,3,6-tetrahydro-1-(phenylmethyl)-4-pyridinyl]phenyl]-5-(1H-tetrazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



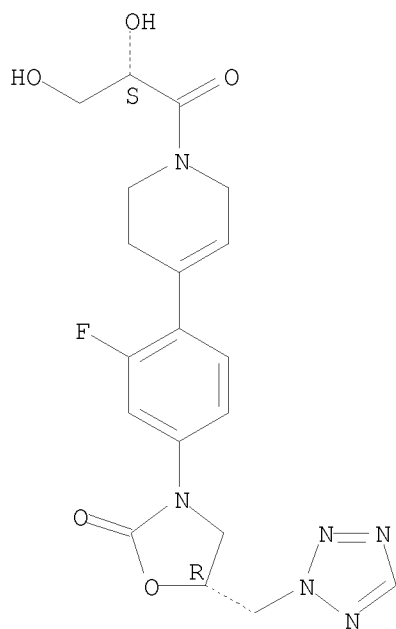
Updated Search

10536687

RN 371194-91-1 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[1-[(2S)-2,3-dihydroxy-1-oxopropyl]-1,2,3,6-tetrahydro-4-pyridinyl]-3-fluorophenyl]-5-(2H-tetrazol-2-ylmethyl)-, (5R)-
(CA INDEX NAME)

Absolute stereochemistry.



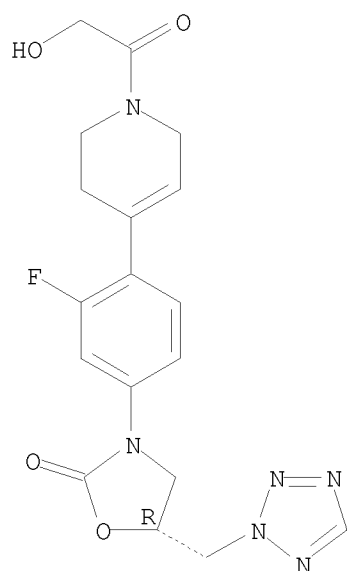
RN 371194-92-2 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[1,2,3,6-tetrahydro-1-(2-hydroxyacetyl)-4-pyridinyl]phenyl]-5-(2H-tetrazol-2-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

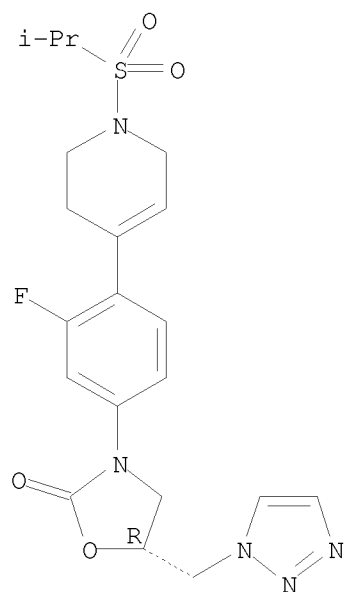
10536687



RN 371194-94-4 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[1,2,3,6-tetrahydro-1-[(1-methylethyl)sulfonyl]-4-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



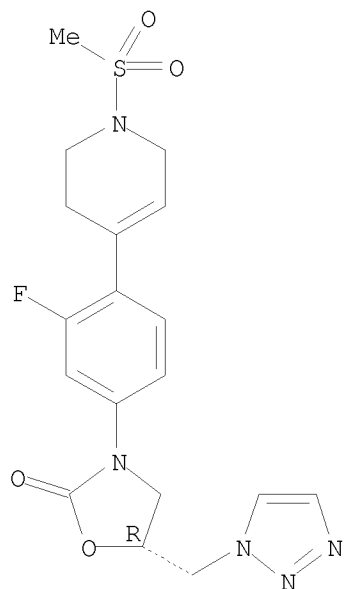
RN 371194-95-5 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[1,2,3,6-tetrahydro-1-(methylsulfonyl)-4-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Updated Search

10536687

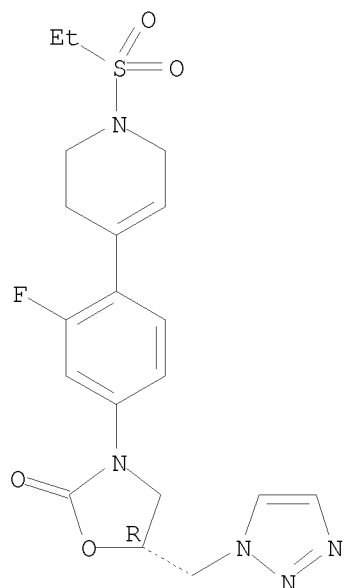
Absolute stereochemistry.



RN 371194-96-6 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[1-(ethylsulfonyl)-1,2,3,6-tetrahydro-4-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 371194-97-7 HCAPLUS

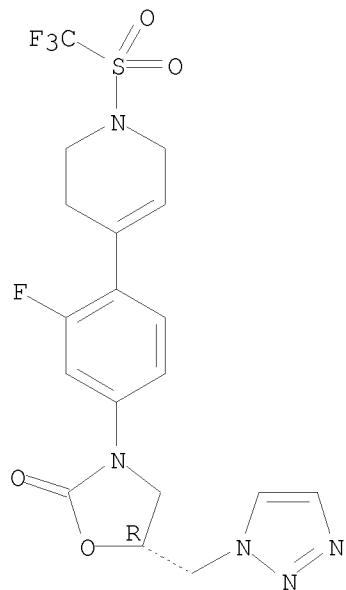
CN 2-Oxazolidinone, 3-[3-fluoro-4-[1,2,3,6-tetrahydro-1-

Updated Search

10536687

[(trifluoromethyl)sulfonyl]-4-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

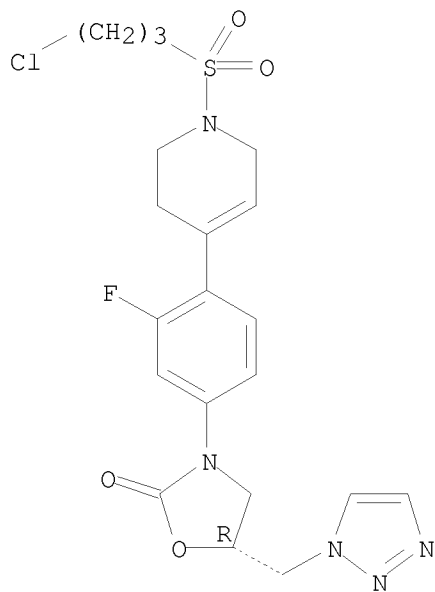
Absolute stereochemistry.



RN 371194-98-8 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[1-[(3-chloropropyl)sulfonyl]-1,2,3,6-tetrahydro-4-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



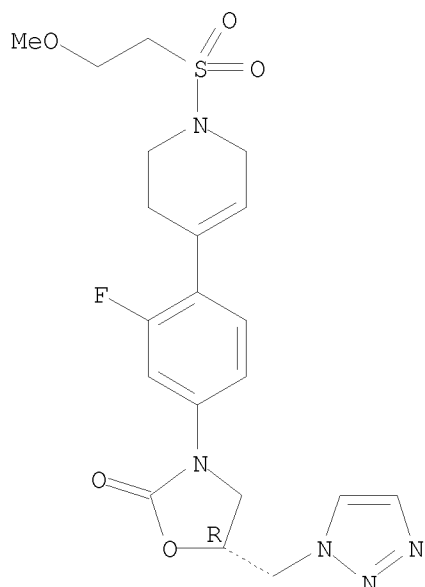
Updated Search

10536687

RN 371194-99-9 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[1,2,3,6-tetrahydro-1-[(2-methoxyethyl)sulfonyl]-4-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



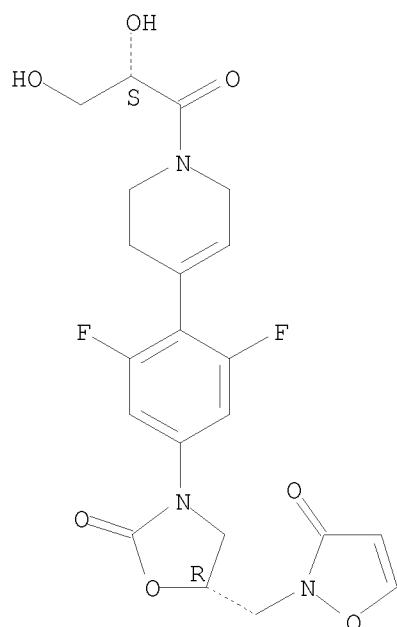
RN 371195-09-4 HCAPLUS

CN 3(2H)-Isoxazolone, 2-[[(5R)-3-[4-[1-[(2S)-2,3-dihydroxy-1-oxopropyl]-1,2,3,6-tetrahydro-4-pyridinyl]-3,5-difluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

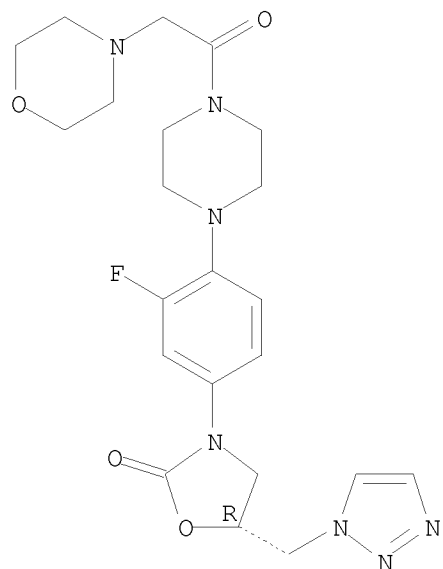
10536687



RN 371195-17-4 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[2-(4-morpholinyl)acetyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 371195-18-5 HCAPLUS

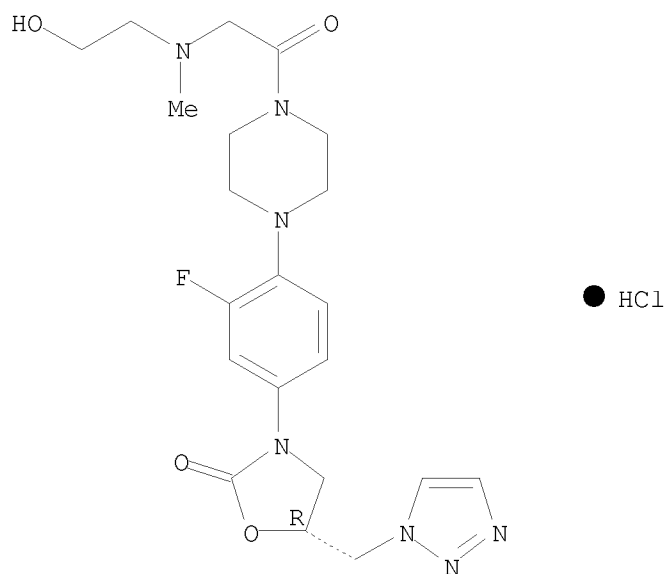
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[2-[(2-hydroxyethyl)methylamino]acetyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride

Updated Search

10536687

(1:1), (5R)- (CA INDEX NAME)

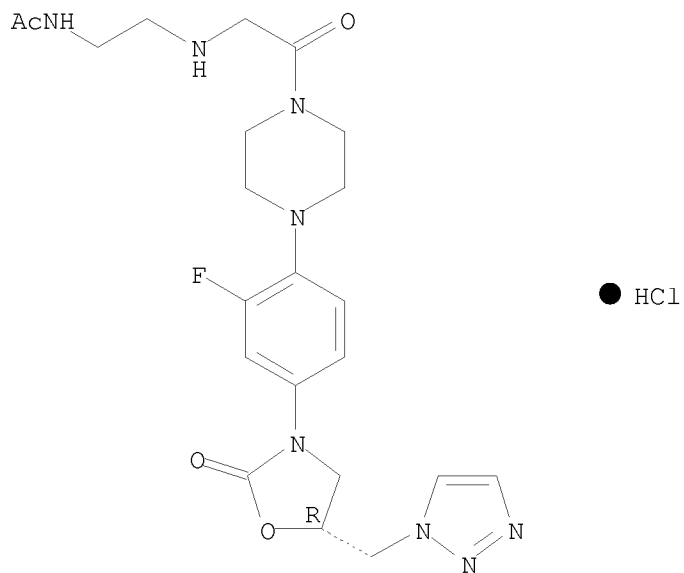
Absolute stereochemistry.



RN 371195-19-6 HCAPLUS

CN Acetamide, N-[2-[[2-[4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxoethyl]amino]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



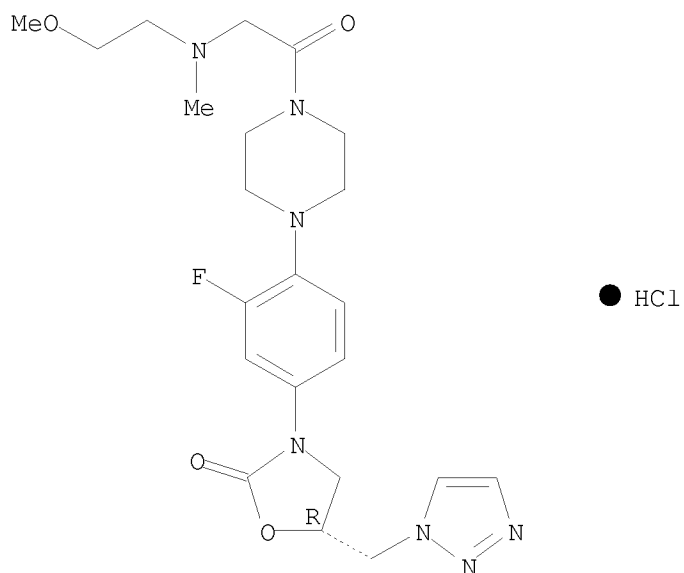
RN 371195-20-9 HCAPLUS

Updated Search

10536687

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[2-[(2-methoxyethyl)methylamino]acetyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

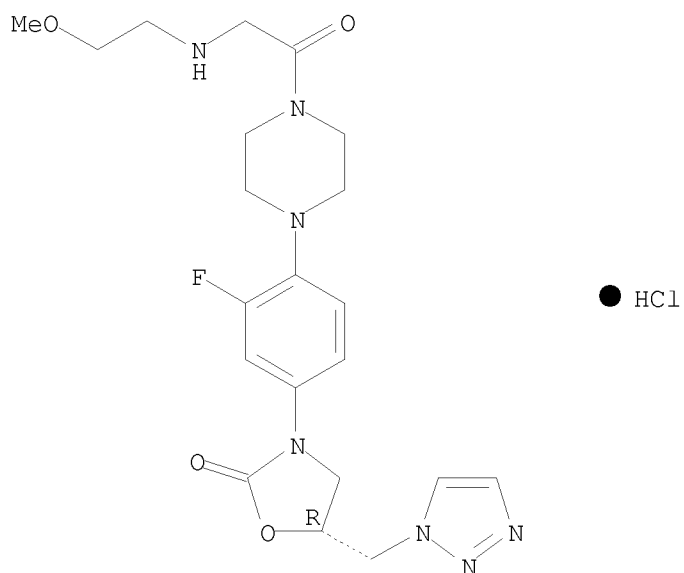
Absolute stereochemistry.



RN 371195-21-0 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[2-[(2-methoxyethyl)amino]acetyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.

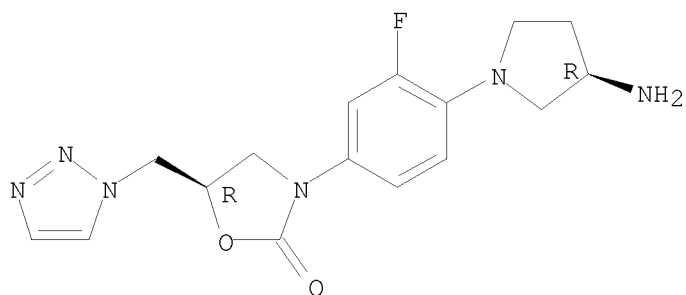


Updated Search

10536687

IT 371196-57-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxazolidinone derivs. with antibacterial activity)
RN 371196-57-5 HCAPLUS
CN 2-Oxazolidinone, 3-[4-[(3R)-3-amino-1-pyrrolidinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride (1:1), (5R)- (CA INDEX NAME)

Absolute stereochemistry.



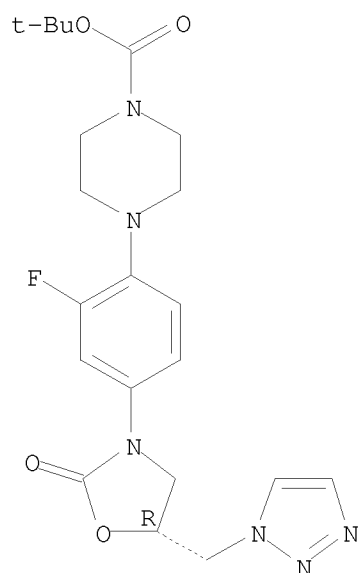
● HCl

IT 371195-29-8P 371195-31-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(oxazolidinone derivs. with antibacterial activity)
RN 371195-29-8 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

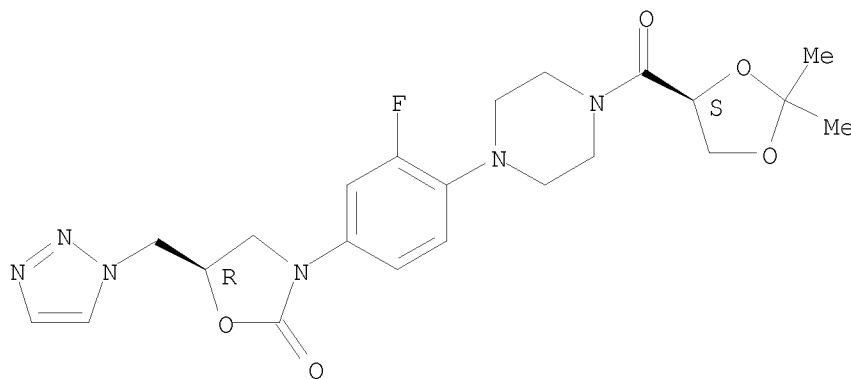
10536687



RN 371195-31-2 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-[[(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]carbonyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 16:42:15 ON 03 OCT 2008)

FILE 'REGISTRY' ENTERED AT 16:42:27 ON 03 OCT 2008

L1 STRUCTURE UPLOADED

L2 30 S LK1

L3 21 S L1

Updated Search

10536687

L4 367 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 16:47:13 ON 03 OCT 2008

L5 37 S L4

L6 6 S L5 AND GRAVESTOCK, M?/AU

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L7 31 L5 NOT L6

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81 HALES, N?/AU

L8 0 L7 AND HALES, N?/AU

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47 RECK, F?/AU

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3206 ZHOU, F?/AU

L10 0 L7 AND ZHOU, F?/AU

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L7 ANSWER 1 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:916386 HCAPLUS

DOCUMENT NUMBER: 149:224399

TITLE: Novel antimicrobials

INVENTOR(S): Jain, Rajesh; Trehan, Sanjay; Das, Jagattaran; Kaur, Gurmeet; Kanwar, Sandeep; Magadi, Sitaram Kumar

PATENT ASSIGNEE(S): Panacea Biotec Ltd., India

SOURCE: PCT Int. Appl., 69pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

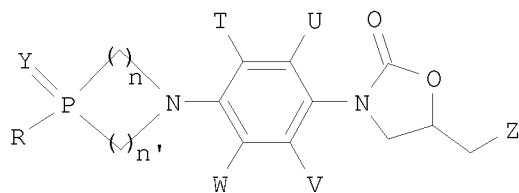
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008090570	A1	20080731	WO 2008-IN47	20080124
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2007DE00167	A	20080912	IN 2007-DE167	20070125
PRIORITY APPLN. INFO.:			IN 2007-DE167	A 20070125
OTHER SOURCE(S):	MARPAT	149:224399		
GI				

Updated Search



I

AB The present invention relates to novel Ph oxazolidinone compds. I (R = alkoxy, organothio, organoamino, etc.; Z = halo, azido, isothiocyanato, thioalc., aryl, nitro, heteroaryl, alkoxy, organoamino, etc.; T, U, V, W = same or different, H, halo, etc.; Y = O, S, NH, organoamino, etc., n, n' = 1-4) or their pharmaceutically acceptable analogs, tautomeric forms, stereoisomers, polymorphs, prodrugs, metabolites, salts or solvates thereof. The invention also relates to the processes for the synthesis of novel compds. I or their pharmaceutically acceptable analogs, tautomeric forms, stereoisomers, polymorphs, prodrugs, metabolites, salts or solvates thereof. The present invention also provides pharmaceutical compns. comprising novel compds. I and methods of using them. The compds. of the present invention are useful as antimicrobial agents, effective against a number of aerobic and/or anaerobic Gram pos. and/or Gram neg. pathogens such as multi drug resistant Staphylococcus spp., Streptococcus spp., Enterococcus spp., Bacterioides spp., Clostridia spp., H. influenza, Moraxella Spp., as well as acid-fast organisms such as Mycobacterium tuberculosis and the like. Thus, preparation of (S)-N-{3-[4-(4-ethoxy-4-oxo-4λ5-[1,4]azaphosphinan-1-yl)-3-fluorophenyl]-2-oxo-oxazolidin-5-ylmethyl}acetamide is described in several steps starting from divinylphosphinic acid Et ester and benzylamine.

IT 1042425-62-6P 1042425-63-7P 1042425-64-8P
1042425-65-9P 1042425-66-0P 1042425-67-1P
1042425-69-3P

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
study); PREP (Preparation); USES (Uses)

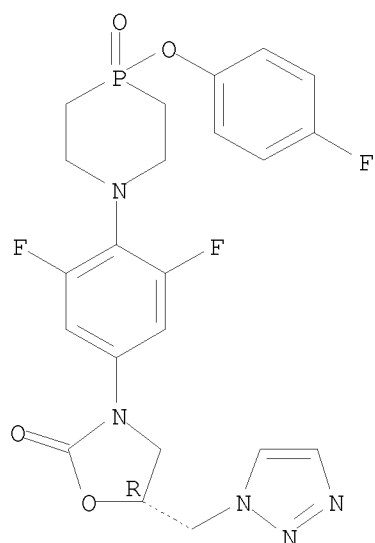
(preparation of phosphinanyl aryl oxazolidinone compds. as novel
antimicrobials)

RN 1042425-62-6 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

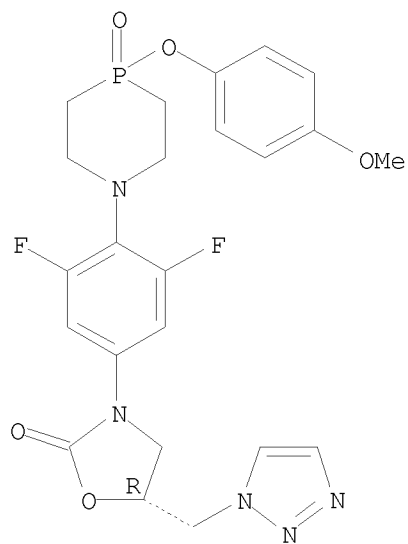
Absolute stereochemistry.

10536687



RN 1042425-63-7 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

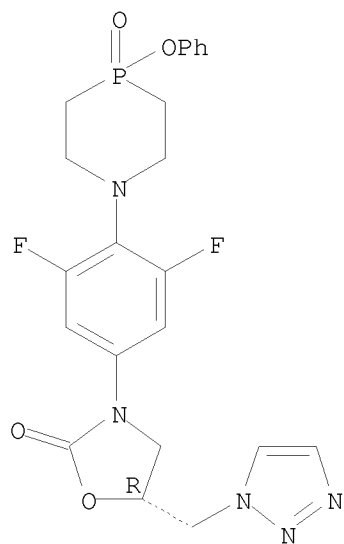


RN 1042425-64-8 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

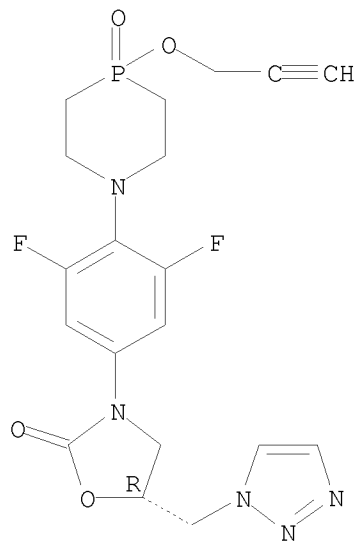
Updated Search

10536687



RN 1042425-65-9 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

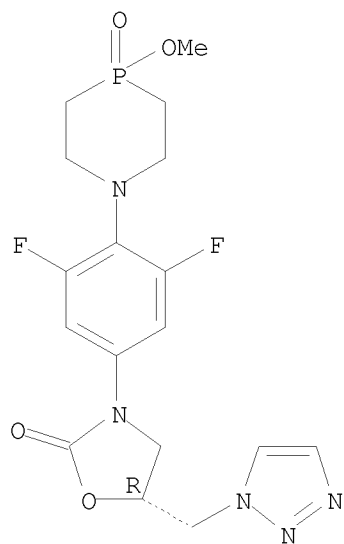


RN 1042425-66-0 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

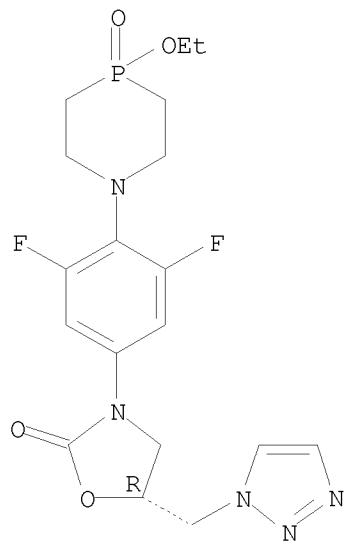
Updated Search

10536687



RN 1042425-67-1 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

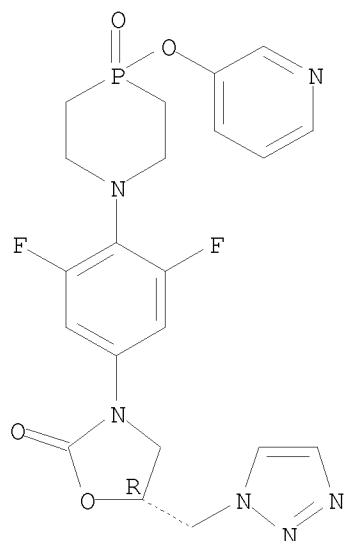


RN 1042425-69-3 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

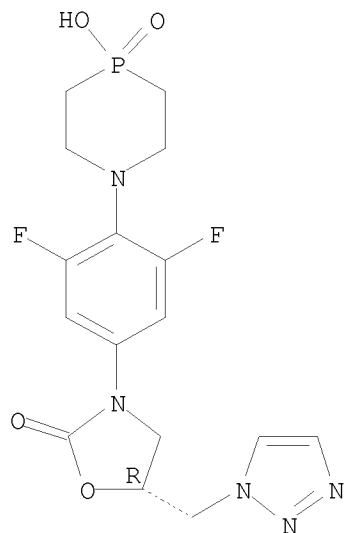
Updated Search

10536687



IT 1042426-43-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of phosphinanyl aryl oxazolidinone compds. as novel
antimicrobials)
RN 1042426-43-6 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

Updated Search

10536687

ACCESSION NUMBER: 2008:576581 HCAPLUS
DOCUMENT NUMBER: 149:176286
TITLE: Synthesis and structure-antibacterial activity of triazolyloxazolidinones containing long chain acyl moiety
AUTHOR(S): Phillips, Oludotun A.; Udo, Edet E.; Samuel, Santhosh M.
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Kuwait University, Safat, 13110, Kuwait
SOURCE: European Journal of Medicinal Chemistry (2008), 43(5), 1095-1104
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier Masson SAS
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of new piperazinylphenyl(triazolylmethyl) oxazolidinones containing a long chain acyl group at the piperazine 4-position were synthesized and evaluated against a panel of standard and clin. isolates of Gram-pos. and Gram-neg. bacteria. Derivs. having acyl groups with ≥ 9 C showed a significant decrease in antibacterial activity. Antibacterial activity correlated pos. with heat of formation of the compds., but correlated neg. with Clog P values, surface area, ovality and mol. volume. However, no significant correlation was observed between activity and E LUMO, E HOMO and dipole, resp.

IT 859445-42-4 859445-48-0 859445-52-6

859445-53-7 859445-54-8

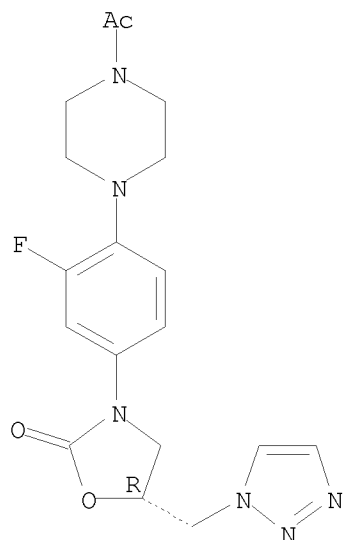
RL: PAC (Pharmacological activity); BIOL (Biological study)

(prepn. and antibacterial activity of acylpiperazinophenyl(triazolylmethyl)oxazolidinones containing a long-chain acyl group)

RN 859445-42-4 HCAPLUS

CN 2-Oxazolidinone, 3-[4-(4-acetyl-1-piperazinyl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



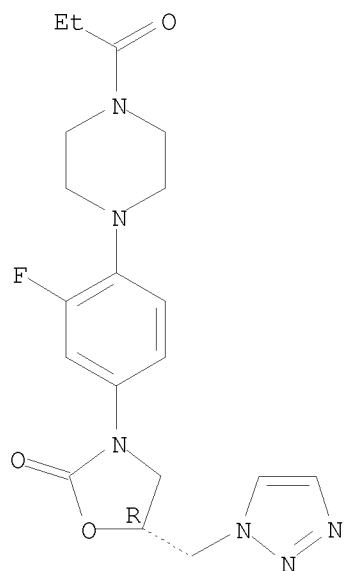
Updated Search

10536687

RN 859445-48-0 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(1-oxopropyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

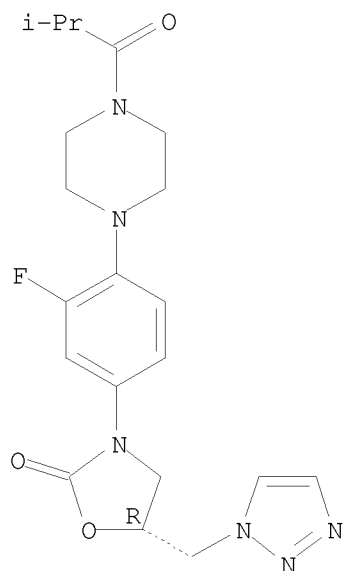
Absolute stereochemistry.



RN 859445-52-6 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(2-methyl-1-oxopropyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



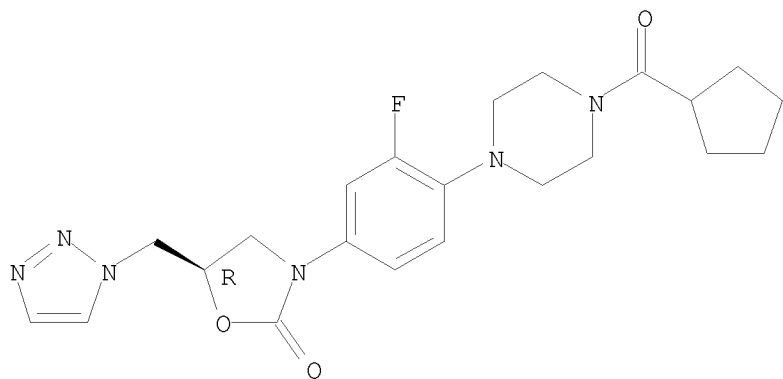
Updated Search

10536687

RN 859445-53-7 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-(cyclopentylcarbonyl)-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

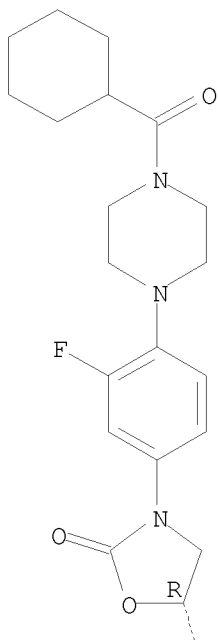


RN 859445-54-8 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-(cyclohexylcarbonyl)-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

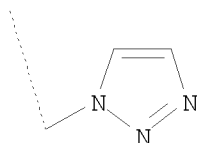
PAGE 1-A



Updated Search

10536687

PAGE 2-A



IT 1040238-01-4P 1040238-03-6P 1040238-05-8P
1040238-07-0P 1040238-09-2P 1040238-11-6P
1040238-13-8P 1040238-15-0P 1040238-17-2P
1040409-21-9P

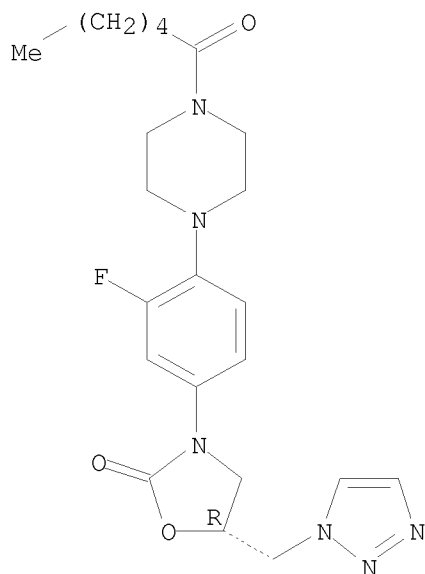
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)

(prepn.and antibacterial activity of acylpiperazinophenyl(triazolylmeth
yl)oxazolidinones containing a long-chain acyl group)

RN 1040238-01-4 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



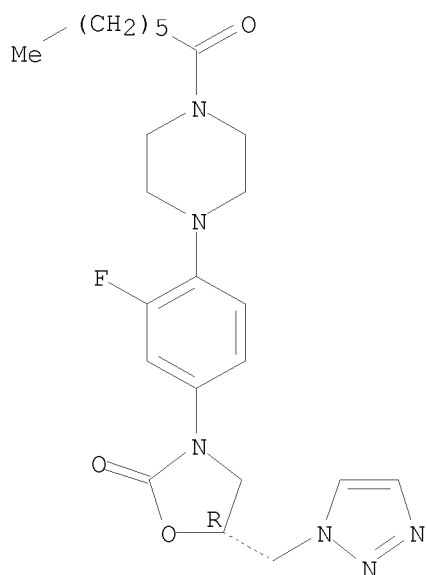
RN 1040238-03-6 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

Updated Search

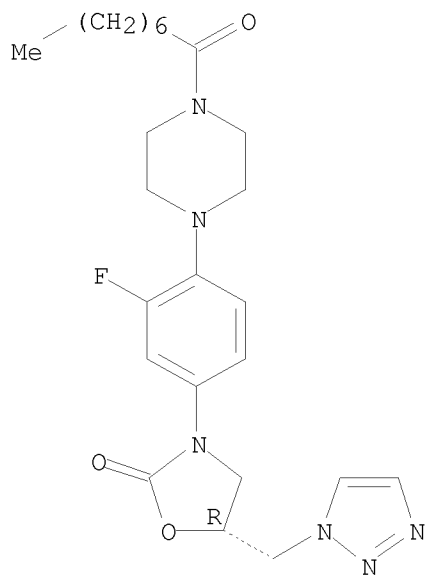
10536687



RN 1040238-05-8 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(1-oxooctyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



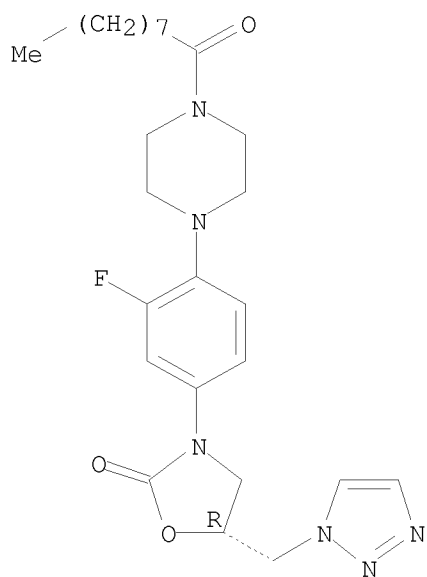
RN 1040238-07-0 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(1-oxononyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

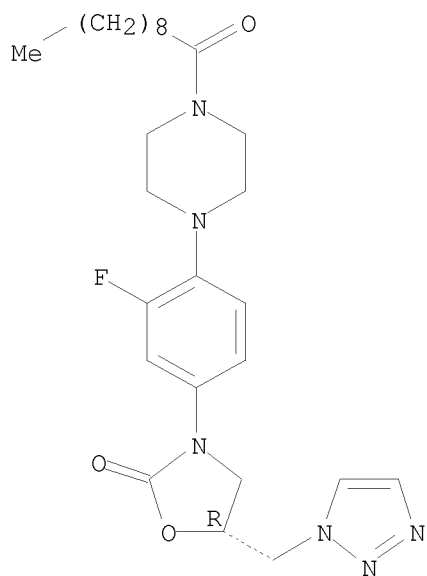
Updated Search

10536687



RN 1040238-09-2 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

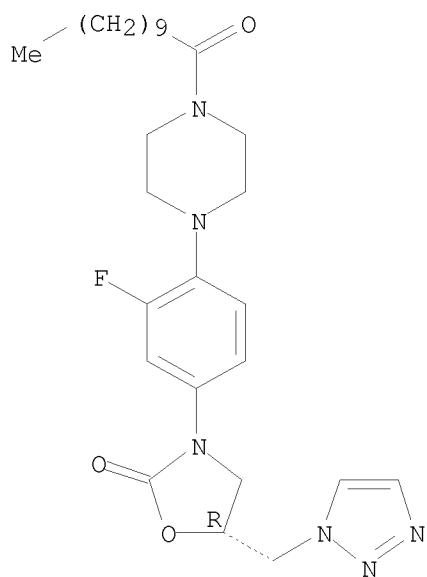


RN 1040238-11-6 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

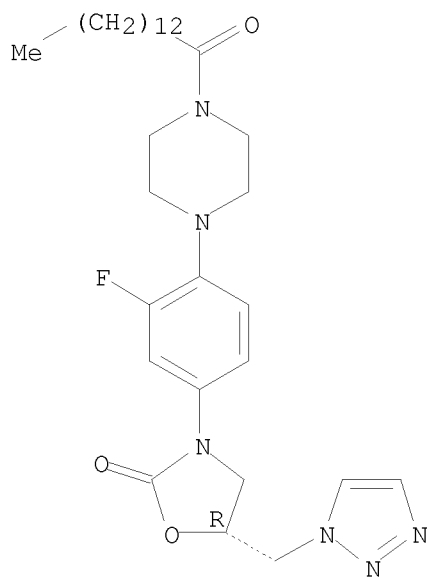
Updated Search

10536687



RN 1040238-13-8 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

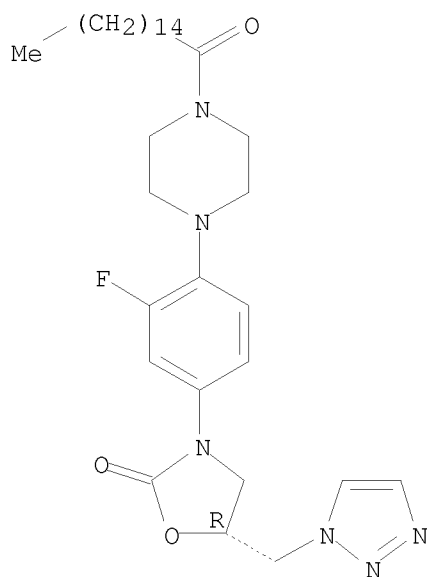


RN 1040238-15-0 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

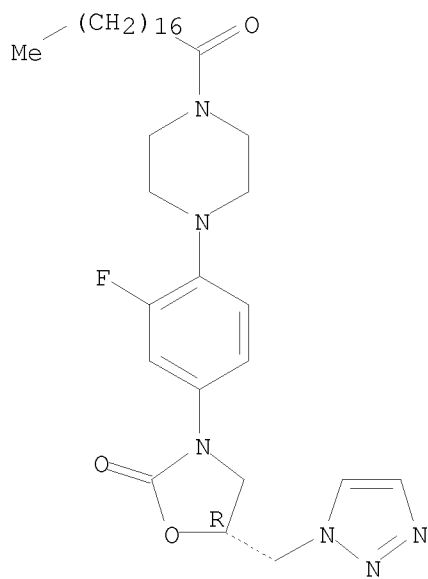
Updated Search

10536687



RN 1040238-17-2 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

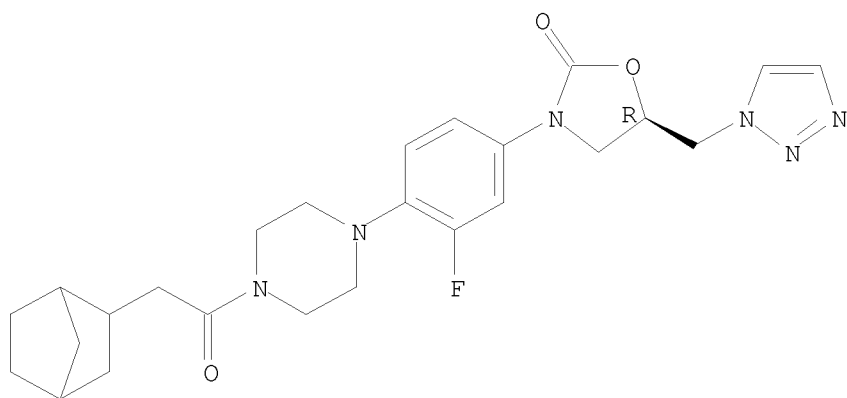


RN 1040409-21-9 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

Updated Search

10536687



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:17214 HCAPLUS

DOCUMENT NUMBER: 148:191941

TITLE: Method for preparation of thiadiazol contained oxazolidone and application as antibacterial agent

INVENTOR(S): Shi, Xiulan

PATENT ASSIGNEE(S): Shenyang Zhonghai Biological Technology Development Co., Ltd., Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 15pp. CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

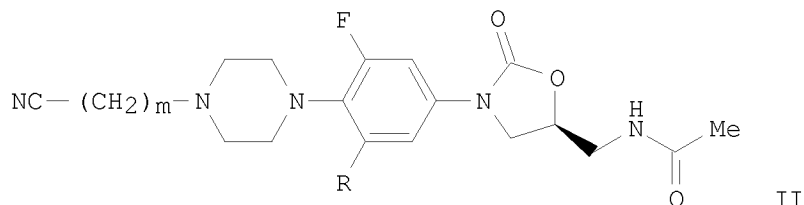
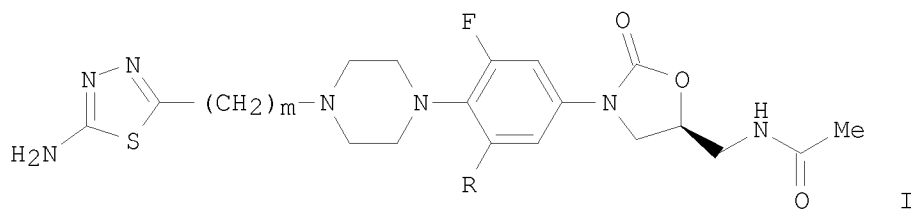
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 101096369	A	20080102	CN 2006-10090788	20060630
PRIORITY APPLN. INFO.:			CN 2006-10090788	20060630
OTHER SOURCE(S):	CASREACT	148:191941		
GI				

Updated Search

10536687



AB The invention relates to thiadiazol contained oxazolidone its salt and/or hydrate I (R = H, F; m = 1-4). The salt comprises hydrochloric acid, hydrobromic acid, hydrofluoric acid, sulfuric acid, phosphoric acid, nitric acid, formic acid, acetic acid etc. Title compds. were prepared from (S)-N-[[3-[3-fluoro-5-substituent-4-(1-piperazinyl)phenyl]2-oxo-5-oxazolidinyl]methyl]acetamide and Cl(CH₂)_mCN in the presence of organic base or inorg. base in polar solvent to form II, then reacting with aminothiurea in the presence of acid to provide the title products. The claimed compds. can be used for treating bacterial infection in mammalian.

IT 174649-05-9P

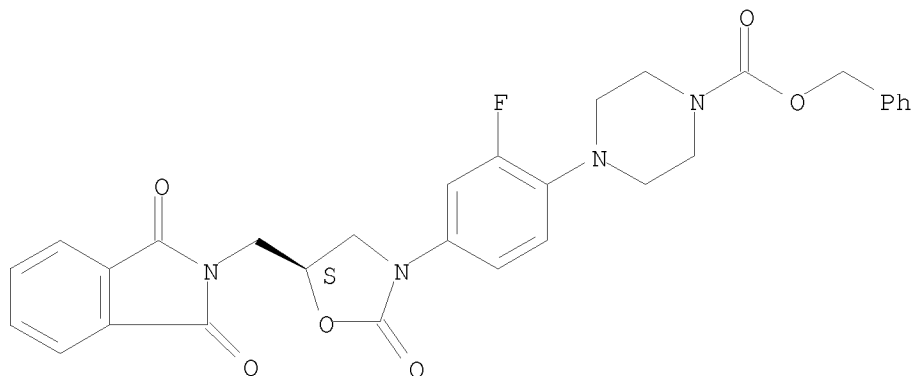
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of thiadiazol contained oxazolidone and application as antibacterial agent)

RN 174649-05-9 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[(5S)-5-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 4 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

Updated Search

10536687

ACCESSION NUMBER: 2007:959469 HCAPLUS
DOCUMENT NUMBER: 147:377130
TITLE: Non-aqueous titration method for determining content
of 3,5-disubstituted oxazolidone compounds
INVENTOR(S): Wang, Ying; Zhu, Jin; Liu, Jinsong; Lu, Tao
PATENT ASSIGNEE(S): Sichuan Beilike Biotechnology Co., Ltd., Peop. Rep.
China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 29pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

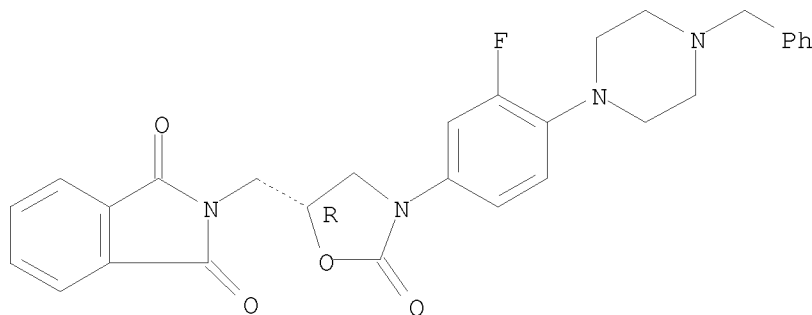
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 101021487	A	20070822	CN 2007-10088397	20070319

PRIORITY APPLN. INFO.: CN 2007-10088397 20070319

AB The title method comprises dissolving 3,5-disubstituted oxazolidone
comps. 0.1-1 g in a mixture of glacial acetic acid (or acetic anhydride)
and formic acid (in an amount of 0.5-100 times in weight of 3,5-disubstituted
oxazolidone comps.); adding crystal violet indicator solution 0.05-0.3 mL;
titrating with 0.01-0.1 mol/L perchloric acid solution until the solution turns
blue green color; and calculating the content of 3,5-disubstituted oxazolidone
comps. based on defined formula. The method is simple and accurate.

IT 948904-05-0 948904-06-1 948904-07-2
948904-08-3
RL: ANT (Analyte); ANST (Analytical study)
(non-aqueous titration method for determination of disubstituted
oxazolidone comps.)
RN 948904-05-0 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[[(5R)-3-[3-fluoro-4-[4-(phenylmethyl)-1-
piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

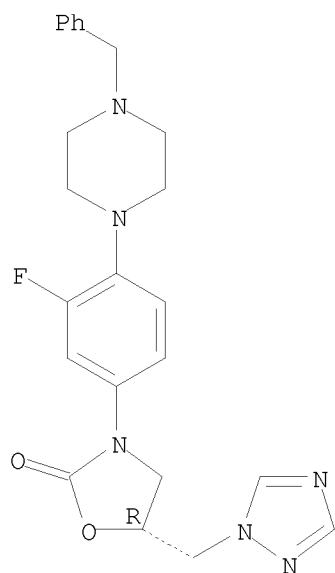


RN 948904-06-1 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(phenylmethyl)-1-piperazinyl]phenyl]-5-
(1H-1,2,4-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

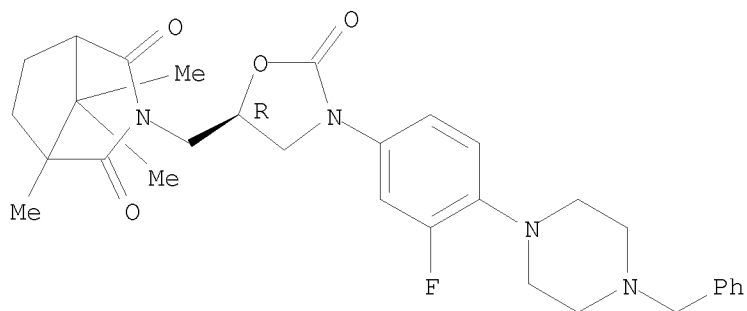
10536687



RN 948904-07-2 HCAPLUS

CN 3-Azabicyclo[3.2.1]octane-2,4-dione, 3-[[(5R)-3-[3-fluoro-4-[4-(phenylmethyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-1,8,8-trimethyl- (CA INDEX NAME)

Absolute stereochemistry.



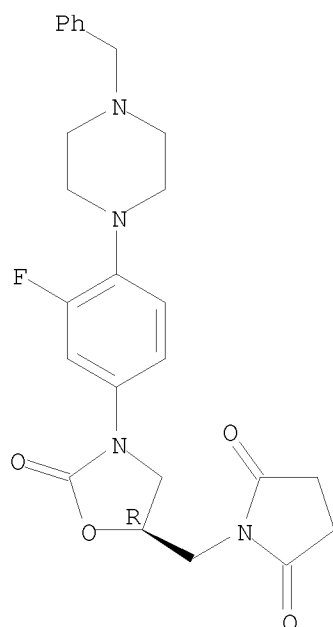
RN 948904-08-3 HCAPLUS

CN 2,5-Pyrrolidinedione, 1-[[(5R)-3-[3-fluoro-4-[4-(phenylmethyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

10536687



L7 ANSWER 5 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:959465 HCAPLUS

DOCUMENT NUMBER: 147:355844

TITLE: Method for determining content of 3,5-disubstituted oxazolidone compounds

INVENTOR(S): Wang, Ying; Zhu, Jin; Liu, Jinsong; Lu, Tao

PATENT ASSIGNEE(S): Sichuan Beilike Biotechnology Co., Ltd., Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 23pp. CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
CN 101021512	A	20070822	CN 2007-10088396	20070319

PRIORITY APPLN. INFO.: CN 2007-10088396 20070319

AB The title method is characterized by employing UV detector with detection wavelength of 200-400 nm, reverse phase column with column temperature of 20-50°, and a mixture of water and 30-90 volume% polar organic solvent (acetonitrile, methanol, ethanol, THF, chloroform, and/or isopropanol) as mobile phase. The method is simple and rapid, and has high stability and wide application.

IT 556801-57-1 947736-32-5 947736-33-6
947736-35-8
RL: ANT (Analyte); ANST (Analytical study)
(method for determination of 3,5-disubstituted oxazolidone compds.)

RN 556801-57-1 HCAPLUS

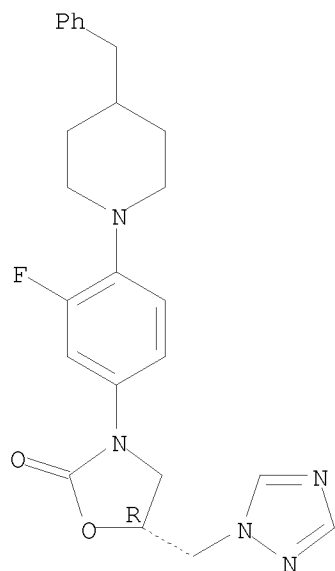
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(phenylmethyl)-1-piperidinyl]phenyl]-5-

Updated Search

10536687

(1H-1,2,4-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

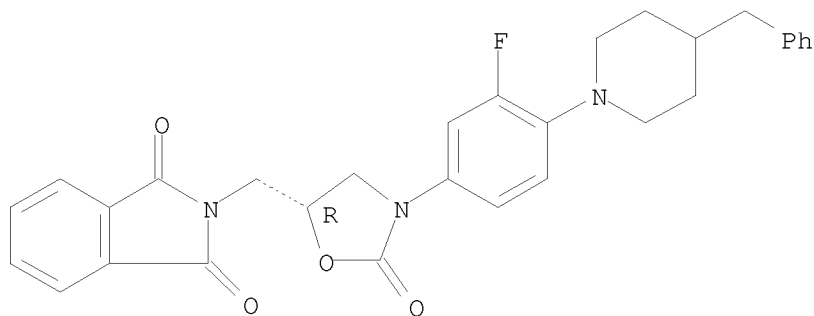
Absolute stereochemistry.



RN 947736-32-5 HCAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[[(5R)-3-[3-fluoro-4-[4-(phenylmethyl)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



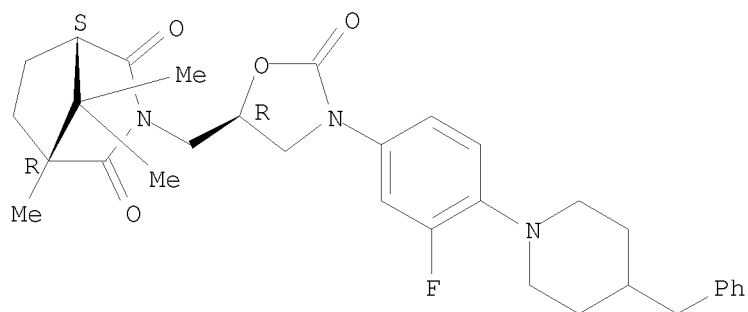
RN 947736-33-6 HCAPLUS

CN 3-Azabicyclo[3.2.1]octane-2,4-dione, 3-[[(5R)-3-[3-fluoro-4-[4-(phenylmethyl)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-1,8,8-trimethyl-, (1R,5S)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

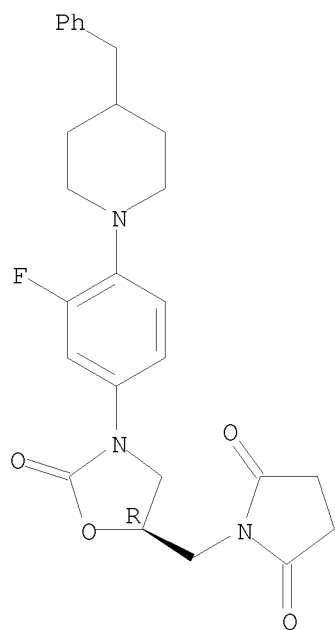
10536687



RN 947736-35-8 HCAPLUS

CN 2,5-Pyrrolidinedione, 1-[[[(5R)-3-[3-fluoro-4-[4-(phenylmethyl)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 6 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:959460 HCAPLUS

DOCUMENT NUMBER: 147:355843

TITLE: Method for determining purity of 3,5-disubstituted oxazolidone compounds

INVENTOR(S): Wang, Ying; Zhu, Jin; Liu, Jinsong; Lu, Tao

PATENT ASSIGNEE(S): Sichuan Beilike Biotechnology Co., Ltd., Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 30pp. CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

Updated Search

10536687

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101021511	A	20070822	CN 2007-10088395	20070319

PRIORITY APPLN. INFO.: CN 2007-10088395 20070319

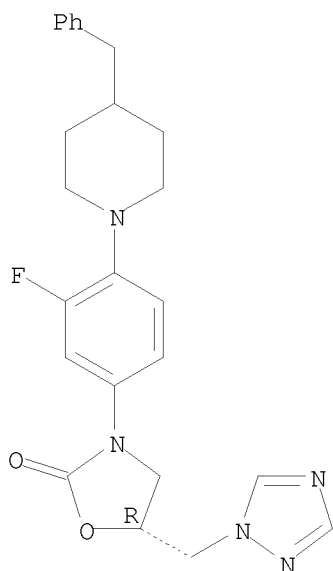
AB The title method is characterized by employing UV detector with detection wavelength of 200-300 nm, reverse phase column with column temperature of 20-50°, and a mixture of water and 30-90% of polar organic solvent (acetonitrile, methanol, ethanol, THF, chloroform, and/or isopropanol) as mobile phase. The method is simple and rapid, and has high stability and wide application.

IT 556801-57-1 947736-32-5 947736-33-6
947736-35-8
RL: ANT (Analyte); ANST (Analytical study)
(method for determination of purity of 3,5-disubstituted oxazolidone compds.)

RN 556801-57-1 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(phenylmethyl)-1-piperidinyl]phenyl]-5-(1H-1,2,4-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



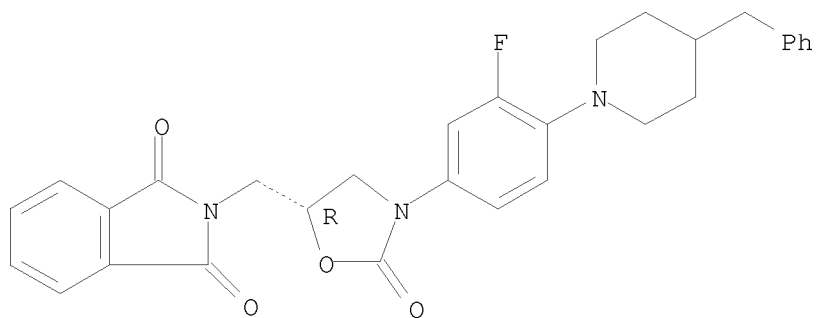
RN 947736-32-5 HCAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[[(5R)-3-[3-fluoro-4-[4-(phenylmethyl)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

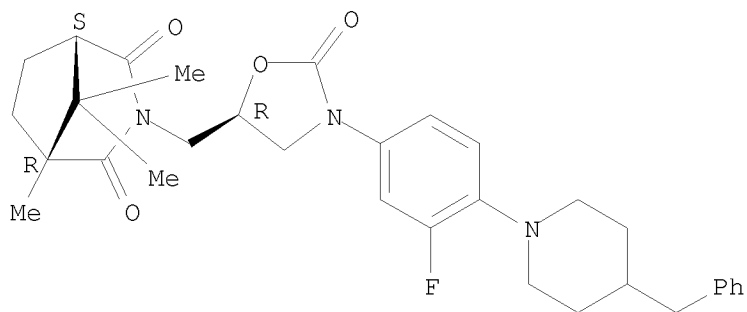
10536687



RN 947736-33-6 HCAPLUS

CN 3-Azabicyclo[3.2.1]octane-2,4-dione, 3-[[(5R)-3-[3-fluoro-4-[4-(phenylmethyl)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-1,8,8-trimethyl-, (1R,5S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 947736-35-8 HCAPLUS

CN 2,5-Pyrrolidinedione, 1-[[(5R)-3-[3-fluoro-4-[4-(phenylmethyl)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

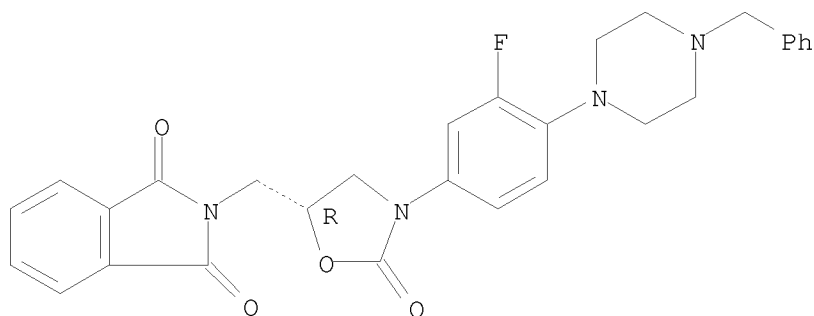
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ACCESSION NUMBER: 2007:951312 HCAPLUS
DOCUMENT NUMBER: 147:350531
TITLE: Method for dissolving 3,5-disubstituted oxazolidone
compounds
INVENTOR(S): Wang, Ying; Zhu, Jin; Liu, Jingsong; Lu, Tao
PATENT ASSIGNEE(S): Sichuan Beilike Biotechnology Co., Ltd., Peop. Rep.
China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 22pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

Updated Search

10536687

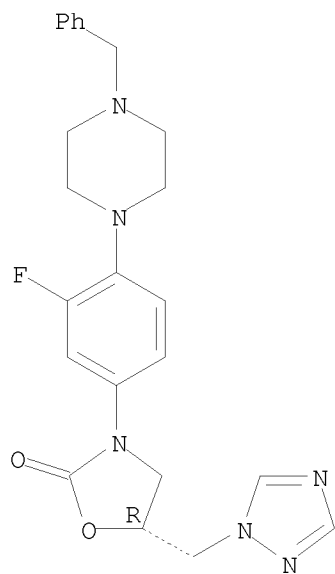
Absolute stereochemistry.



RN 948904-06-1 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(phenylmethyl)-1-piperazinyl]phenyl]-5-(1H-1,2,4-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



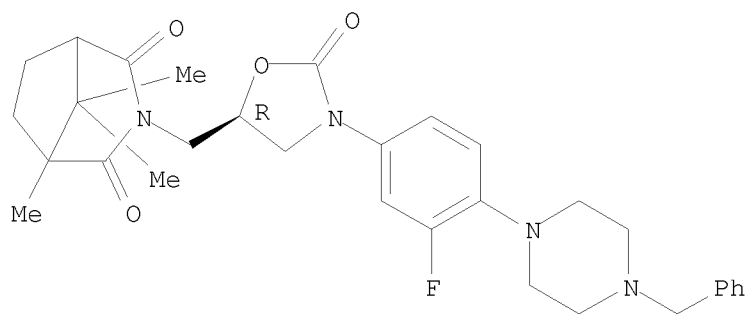
RN 948904-07-2 HCAPLUS

CN 3-Azabicyclo[3.2.1]octane-2,4-dione, 3-[[(5R)-3-[3-fluoro-4-[4-(phenylmethyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-1,8,8-trimethyl- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

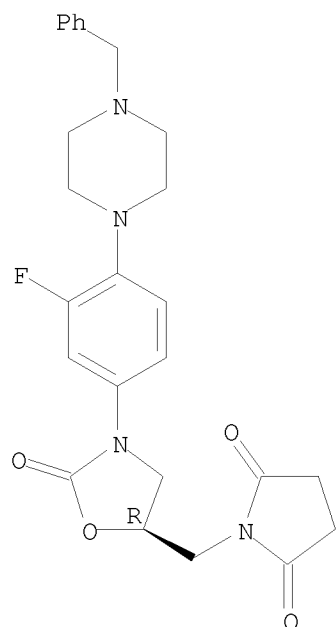
10536687



RN 948904-08-3 HCAPLUS

CN 2,5-Pyrrolidinedione, 1-[[(5R)-3-[3-fluoro-4-[4-(phenylmethyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 8 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:840788 HCAPLUS

DOCUMENT NUMBER: 147:406753

TITLE: Synthesis and antibacterial activity of oxazolidinones containing triazolyl group

AUTHOR(S): Fan, Houxing; Xu, Gang; Chen, Yilang; Jiang, Zhiteng; Zhang, Shuhua; Yang, Yushe; Ji, Ruyun

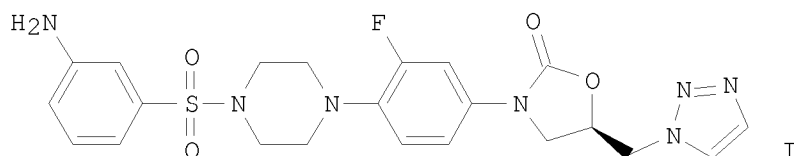
CORPORATE SOURCE: State Key Laboratory of Drug Research, Shanghai Institute of Materia Medica, Shanghai Institute for Biological Sciences, Chinese Academy of Sciences, Shanghai, 201203, Peop. Rep. China

SOURCE: European Journal of Medicinal Chemistry (2007), 42(8),

Updated Search

10536687

1137-1143
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier Masson SAS
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:406753
GI



AB A new series of oxazolidinones, e.g., I, containing triazolyl group has been synthesized and tested for in vitro antibacterial activity by MIC determination against a panel of resistant and susceptible Gram-pos. organisms. Most of the analogs in this series displayed activity superior to linezolid and vancomycin in vitro. Further, in vivo efficacies of the selected oxazolidinones were also disclosed herein.

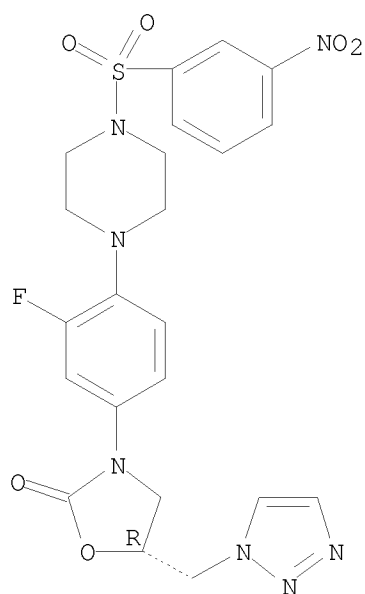
IT 897655-35-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation, antibacterial activity, and SAR of triazolyloxazolidinone derivs.)

RN 897655-35-5 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(3-nitrophenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

10536687

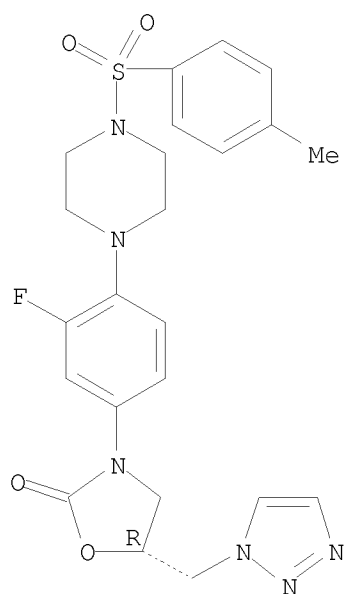


IT 897655-34-4P 897655-37-7P 897655-38-8P
897655-39-9P 897655-41-3P 897655-42-4P
897655-43-5P 897655-44-6P 897655-45-7P
897655-46-8P 897655-47-9P 897655-51-5P
897655-52-6P 897655-54-8P 897655-55-9P
897655-56-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)
(preparation, antibacterial activity, and SAR of triazolyloxazolidinone
derivs.)
RN 897655-34-4 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(4-methylphenyl)sulfonyl]-1-
piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX
NAME)

Absolute stereochemistry.

Updated Search

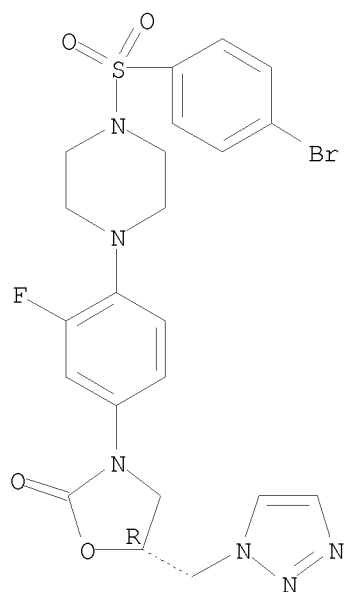
10536687



RN 897655-37-7 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-[(4-bromophenyl)sulfonyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



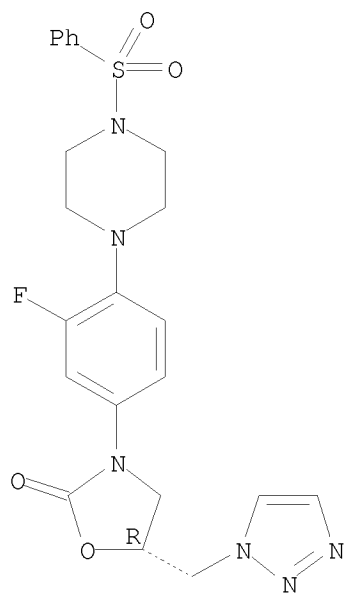
RN 897655-38-8 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(phenylsulfonyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Updated Search

10536687

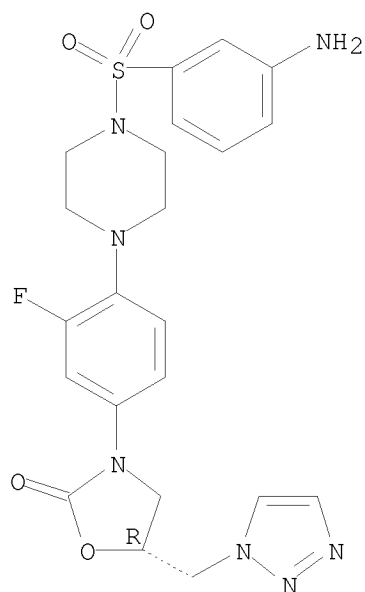
Absolute stereochemistry.



RN 897655-39-9 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-[(3-aminophenyl)sulfonyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 897655-41-3 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(2-nitrophenyl)sulfonyl]-1-

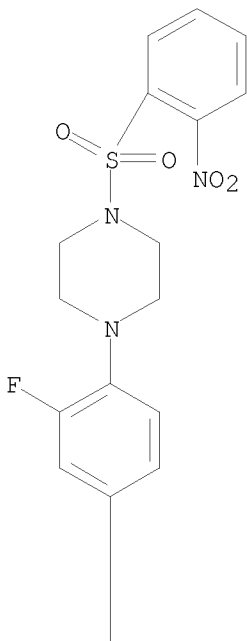
Updated Search

10536687

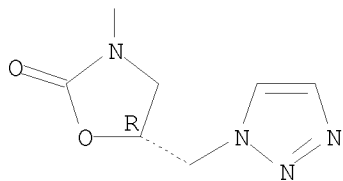
piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



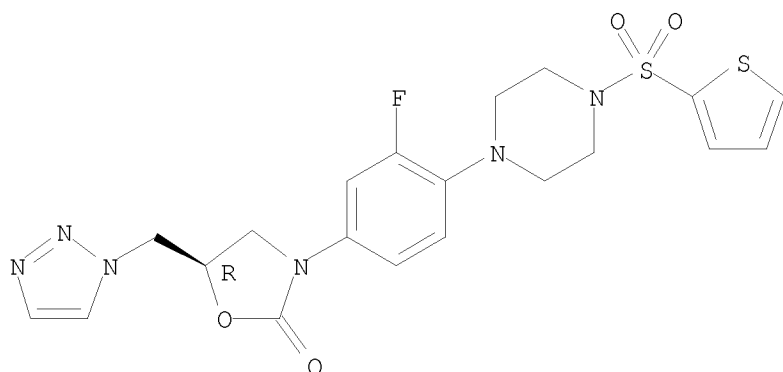
RN 897655-42-4 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(2-thienylsulfonyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

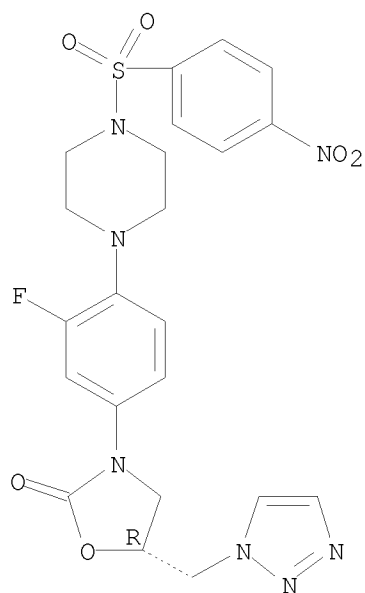
Updated Search

10536687



RN 897655-43-5 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(4-nitrophenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

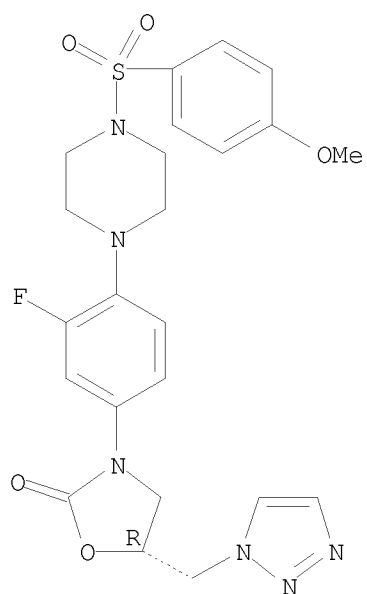


RN 897655-44-6 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(4-methoxyphenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

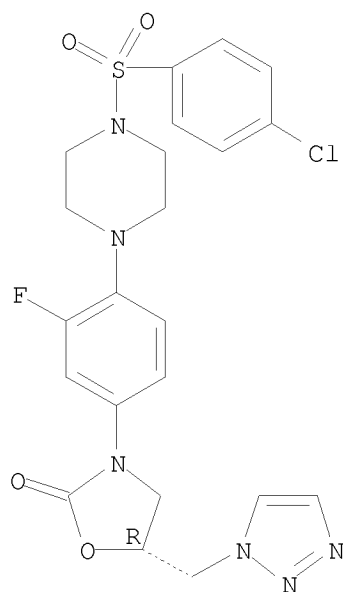
10536687



RN 897655-45-7 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-[(4-chlorophenyl)sulfonyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



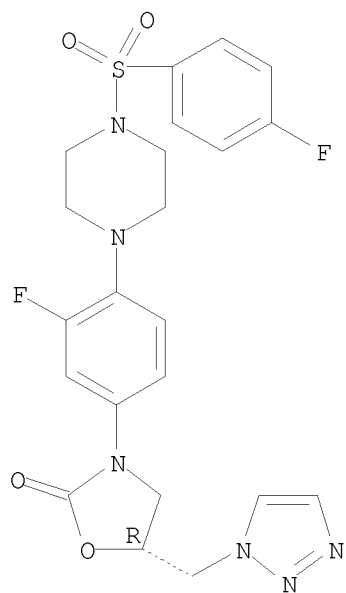
RN 897655-46-8 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(4-fluorophenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Updated Search

10536687

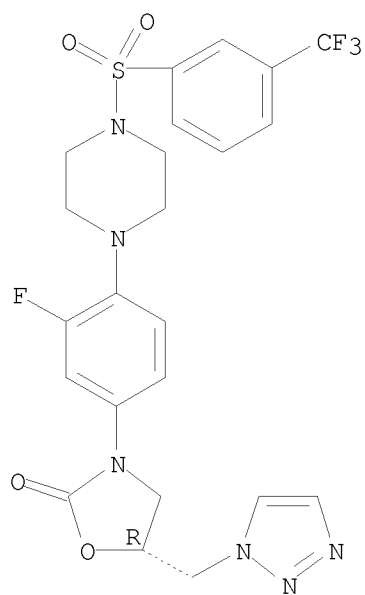
Absolute stereochemistry.



RN 897655-47-9 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[3-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



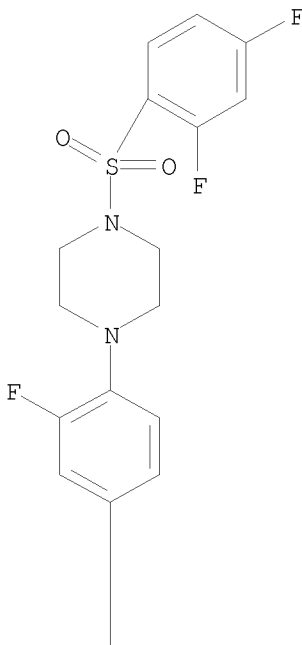
Updated Search

10536687

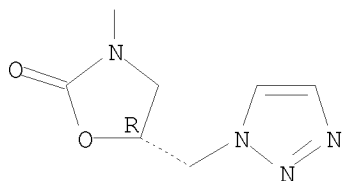
RN 897655-51-5 HCAPLUS
CN 2-Oxazolidinone, 3-[4-[4-[(2,4-difluorophenyl)sulfonyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

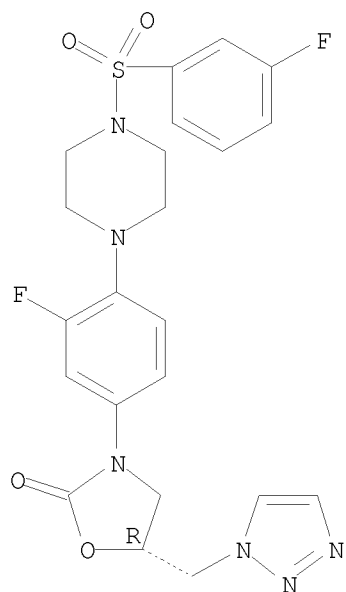


RN 897655-52-6 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(3-fluorophenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

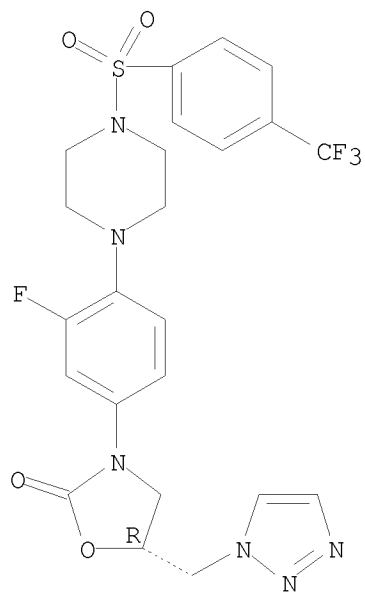
10536687



RN 897655-54-8 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[4-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



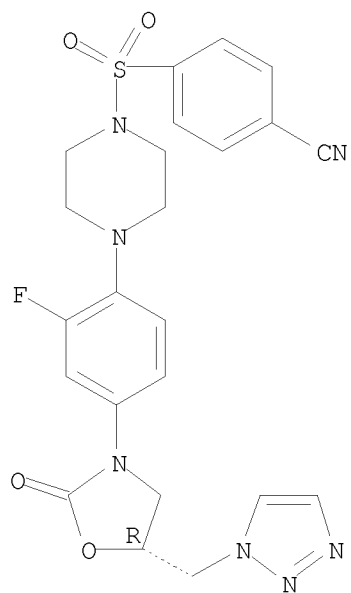
RN 897655-55-9 HCAPLUS

CN Benzonitrile, 4-[[4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1-piperazinyl]sulfonyl]- (CA INDEX NAME)

Updated Search

10536687

Absolute stereochemistry.

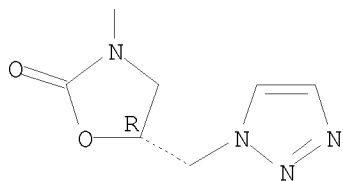
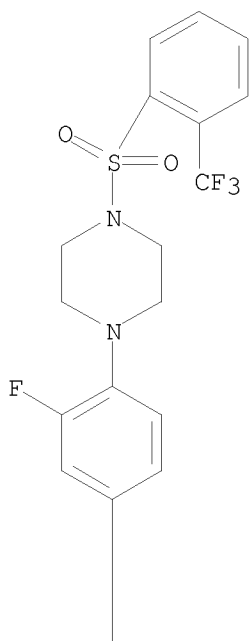


RN 897655-56-0 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[2-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

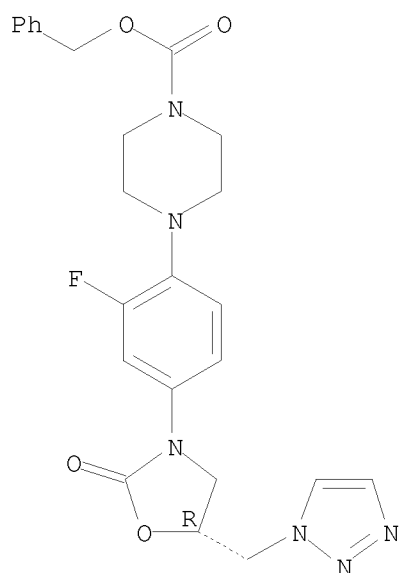
Updated Search



IT 859445-56-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation, antibacterial activity, and SAR of triazolyloxazolidinone
 derivs.)
 RN 859445-56-0 HCAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-
 1-ylmethyl)-3-oxazolidinyl]phenyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.

10536687



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:769790 HCAPLUS

DOCUMENT NUMBER: 148:517697

TITLE: Preparation of substituted thio-piperidino phenyloxazolidinones having antimicrobial activity

INVENTOR(S): Patil, Vijaykumar Jagdishwar; Patel, Mahesh Vithalbhai

PATENT ASSIGNEE(S): Wockhardt Limited, India

SOURCE: Indian Pat. Appl., 103pp.

CODEN: INXXBQ

DOCUMENT TYPE: Patent

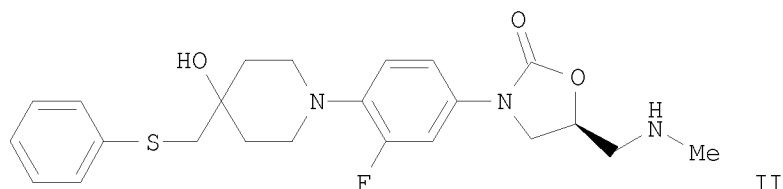
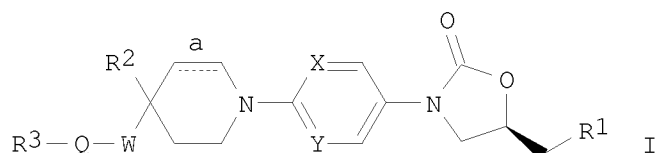
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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IN 2005MU01200	A	20070629	IN 2005-MU1200	20050927
PRIORITY APPLN. INFO.:			IN 2005-MU1200	20050927
GI				

Updated Search



AB Title compds. I [X and Y independently = CH, CF, CCl or N; R1 = alkylsulfonyloxy, , (un)substituted alkylamido, thioalkylamido, heteroaryl or NHR4; wherein R4 = CN, COORa, CSORa, CONRbRc or CSNRbRc; wherein Ra = (un)substituted alkyl, cycloalkyl or heterocyclyl; Rb and Rc = (un)substituted alkyl, 3- to 6-membered cycloalkyl or Rb and Rc together form a 5- to 6-membered cycloalkyl or heterocyclyl ring; R2 = H, CH3, OH, halo or OCOR5, wherein R5 = (un)substituted alkyl, 5- to 6-membered cycloalkyl, aryl, heteroaryl or aralkyl; W = absent, CH2 or pyridyl; a = double bond or single bond; Q = S, SO or SO2; R3 = H, CN, alkylcarbonyl, (un)substituted alkyl, alkenyl, alkylcarbonyl, aryl, heteroaryl, cycloalkyl, substituted cycloalkyl or heterocyclyl], and their pharmaceutically acceptable salts, are prepared and disclosed having antimicrobial activity for preventing and treating diseases caused by microbial infections. Thus, e.g., II was prepared from reacting (S)-N-[[3-[4-[4-hydroxy-4-[(methylsulfonyloxy)methyl]piperidin-1-yl]-3-fluorophenyl]-2-oxoxazolidin-5-yl]methyl]ethanamide with. Selected I showed antibacterial activity with MIC value of ranging from 0.5 to 8 mcg/mL for MSSA-25923 strain.

IT 1021897-60-8P 1021897-61-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

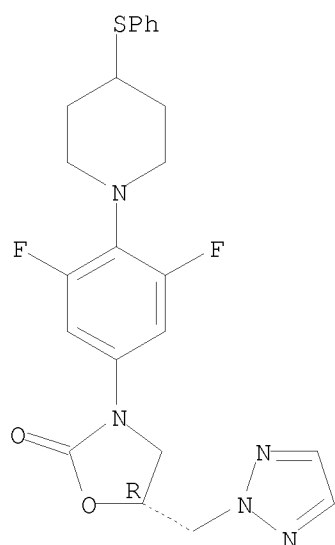
(preparation of substituted thio-piperidino phenyloxazolidinones as antimicrobials)

RN 1021897-60-8 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[4-(phenylthio)-1-piperidinyl]phenyl]-5-(2H-1,2,3-triazol-2-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

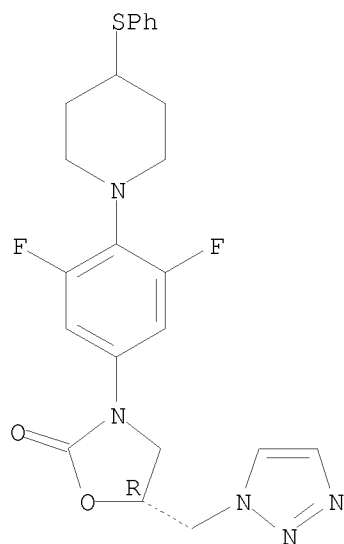
10536687



RN 1021897-61-9 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[4-(phenylthio)-1-piperidinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 1021898-27-0 1021898-28-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted thio-piperidino phenyloxazolidinones as antimicrobials)

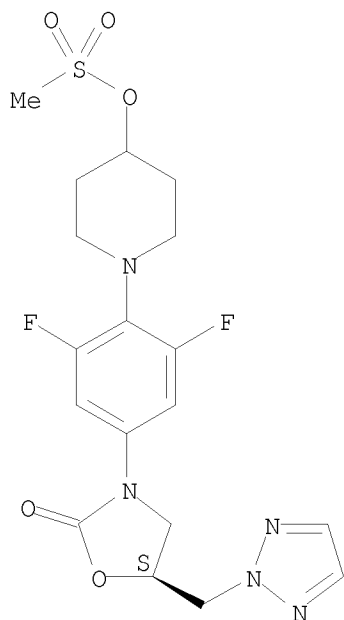
RN 1021898-27-0 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[4-[(methylsulfonyl)oxy]-1-piperidinyl]phenyl]-5-(2H-1,2,3-triazol-2-ylmethyl)-, (5S)- (CA INDEX NAME)

Updated Search

10536687

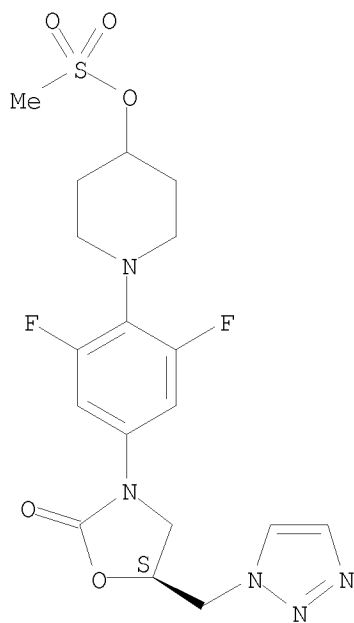
Absolute stereochemistry.



RN 1021898-28-1 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[4-[(methylsulfonyl)oxy]-1-piperidinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5S)- (CA INDEX NAME)

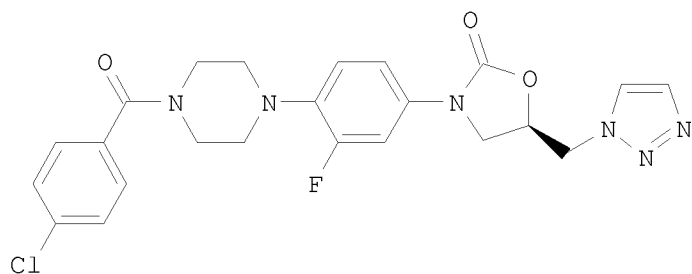
Absolute stereochemistry.



Updated Search

10536687

L7 ANSWER 10 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:228628 HCAPLUS
DOCUMENT NUMBER: 146:441755
TITLE: Structure-antibacterial activity of arylcarbonyl- and
arylsulfonyl-piperazine 5-triazolylmethyl
oxazolidinones
AUTHOR(S): Phillips, Oludotun A.; Udo, Edet E.; Ali, Ahmed A. M.;
Samuel, Santhosh M.
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of
Pharmacy, Kuwait University, Safat, 13110, Kuwait
SOURCE: European Journal of Medicinal Chemistry (2007), 42(2),
214-225
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:441755
GI



AB A series of arylcarbonyl- and arylsulfonyl-piperazinyl 5-triazolylmethyl oxazolidinones were synthesized and tested against a panel of Gram-pos. and Gram-neg. bacterial clin. isolates. The arylcarbonyl oxazolidinone derivs., e.g., I, showed strong in vitro antibacterial activity against susceptible and resistant Gram-pos. pathogenic bacteria and were more active than the arylsulfonyl derivs. Substitution of varied electron-withdrawing and electron-donating groups on the Ph ring in the arylcarbonyl series did not alter antibacterial activity significantly. However, in the arylsulfonyl series, Me substitution on the Ph ring resulted in the loss of antibacterial activity. Antibacterial activity could not be directly correlated with the calculated partition coefficient (Clog P) values in this series of compds.

IT 897655-34-4P 897655-38-8P 897655-42-4P
934686-73-4P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, anti bacterial activity and SAR of
[(arylsulfonylpiperazine)phenyl](triazolylmethyl)oxazolidinones via
amidation of (piperazinephenyl)(triazolylmethyl)oxazolidinones with
arylsulfonyl chlorides)

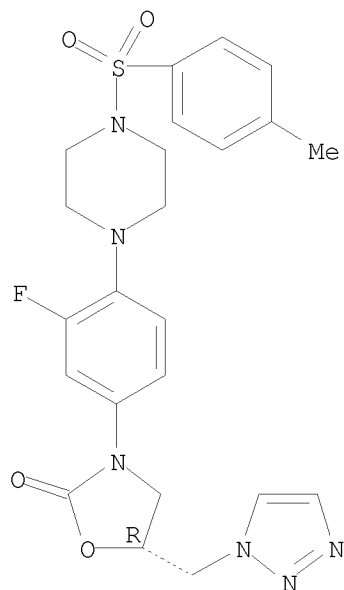
RN 897655-34-4 HCAPLUS

Updated Search

10536687

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(4-methylphenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

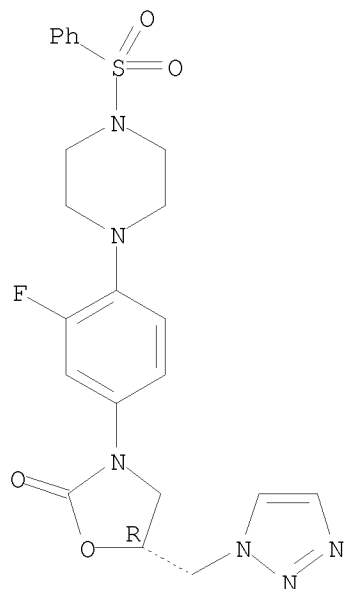
Absolute stereochemistry.



RN 897655-38-8 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(phenylsulfonyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



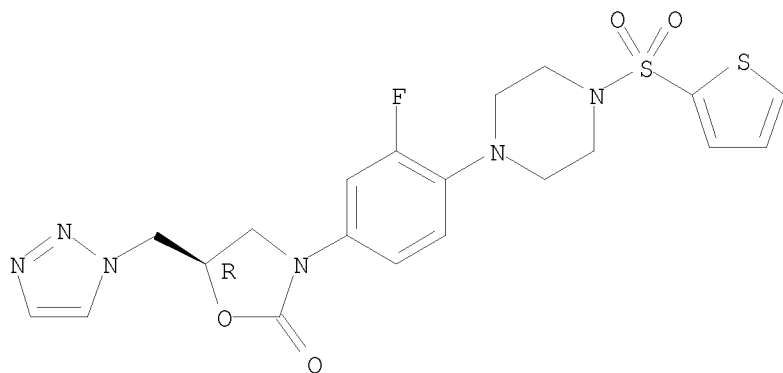
Updated Search

10536687

RN 897655-42-4 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(2-thienylsulfonyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

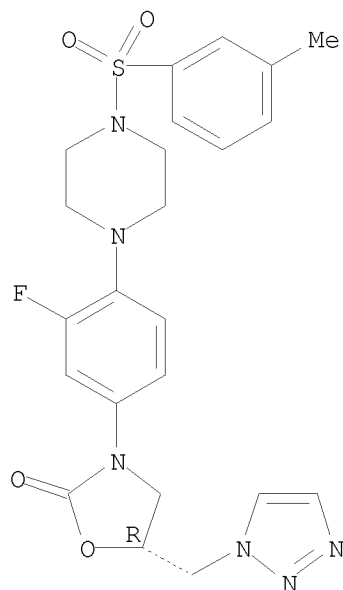
Absolute stereochemistry.



RN 934686-73-4 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(3-methylphenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 371195-29-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation, anti bacterial activity and SAR of
[(arylsulfonylpiperazine)phenyl](triazolylmethyl)oxazolidinones via

Updated Search

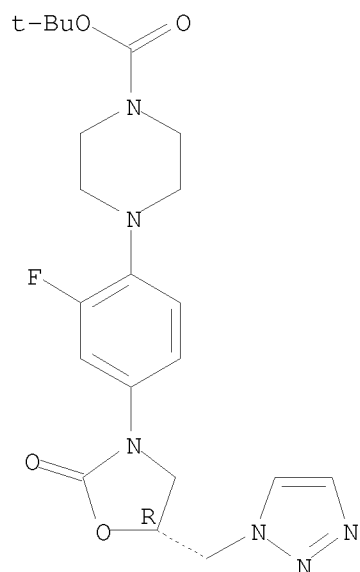
10536687

amidation of (piperazinephenyl)(triazolylmethyl)oxazolidinones with
arylsulfonyl chlorides)

RN 371195-29-8 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-
1-ylmethyl)-3-oxazolidinyl]phenyl]-, 1,1-dimethylethyl ester (CA INDEX
NAME)

Absolute stereochemistry.



IT 859445-55-9P 934686-50-7P 934686-51-8P

934686-52-9P 934686-53-0P 934686-54-1P

934686-55-2P 934686-56-3P 934686-57-4P

934686-58-5P 934686-59-6P 934686-60-9P

934686-61-0P 934686-62-1P 934686-63-2P

934686-64-3P 934686-65-4P 934686-66-5P

934686-67-6P 934686-68-7P 934686-69-8P

934686-70-1P 934686-71-2P 934686-72-3P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic
preparation); BIOL (Biological study); PREP (Preparation)

(preparation, antibacterial activity and SAR of

[(arylcarbonylpiperazine)phenyl](triazolylmethyl)oxazolidinones via
amidation of (piperazinephenyl)(triazolylmethyl)oxazolidinone with
arylcarbonyl chlorides)

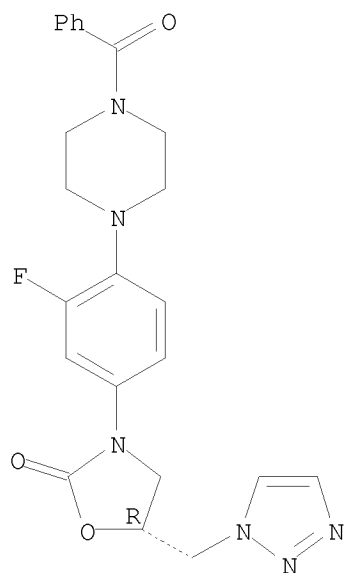
RN 859445-55-9 HCAPLUS

CN 2-Oxazolidinone, 3-[4-(4-benzoyl-1-piperazinyl)-3-fluorophenyl]-5-(1H-
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Absolute stereochemistry.

Updated Search

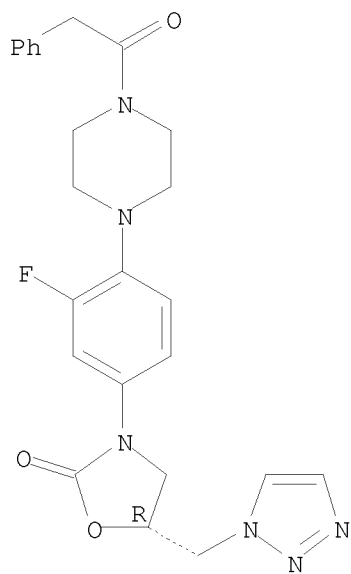
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(2-phenylacetyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 934686-51-8 HCAPLUS

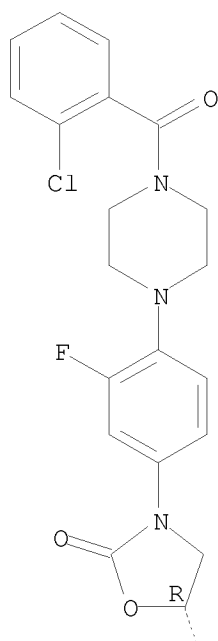
CN 2-Oxazolidinone, 3-[4-[4-(2-chlorobenzoyl)-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

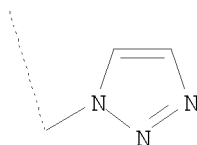
Updated Search

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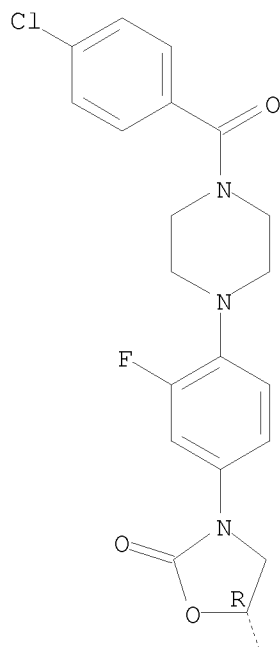
RN 934686-52-9 HCAPLUS
CN 2-Oxazolidinone, 3-[4-[4-(4-chlorobenzoyl)-1-piperazinyl]-3-fluorophenyl]-
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Absolute stereochemistry.

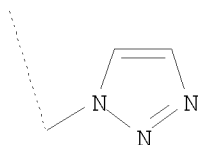
Updated Search

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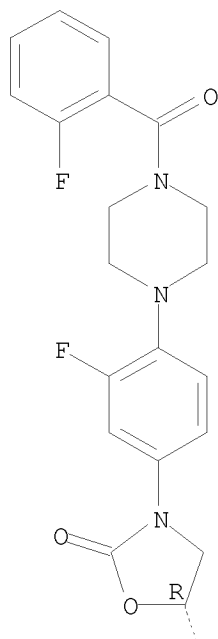
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5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

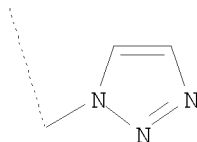
Updated Search

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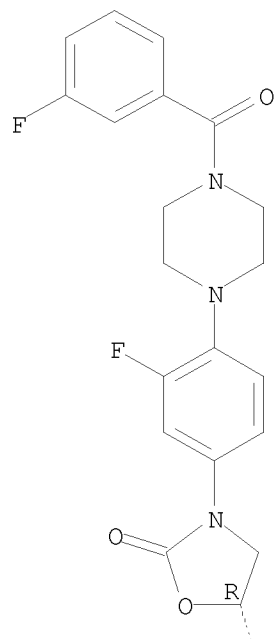
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5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

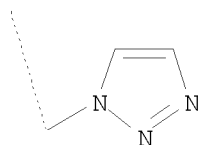
Updated Search

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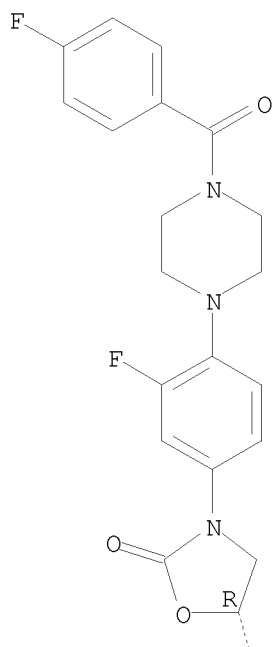
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(4-fluorobenzoyl)-1-piperazinyl]phenyl]-
5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

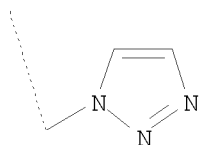
Updated Search

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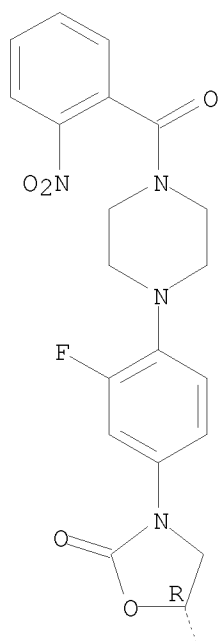
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(2-nitrobenzoyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

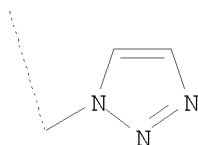
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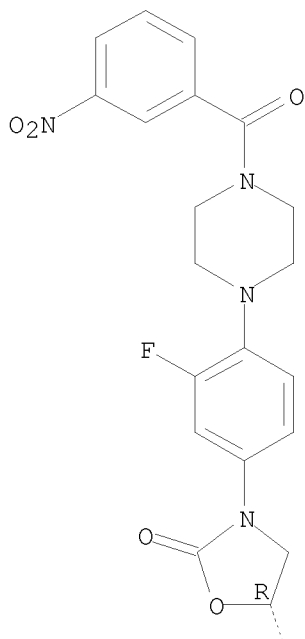
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(3-nitrobenzoyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

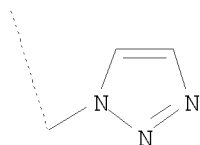
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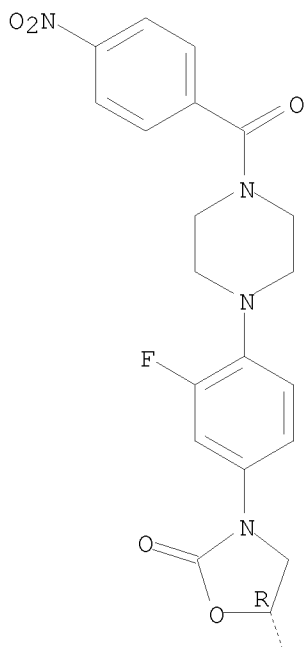
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(4-nitrobenzoyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

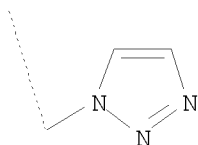
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RN 934686-59-6 HCAPLUS

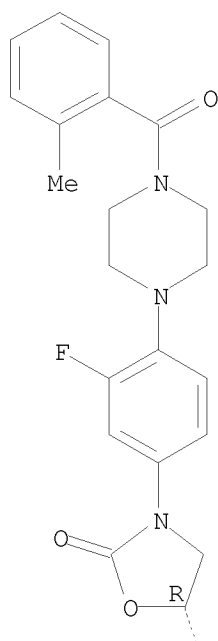
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(2-methylbenzoyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

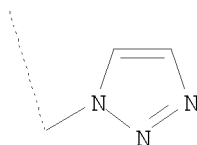
Updated Search

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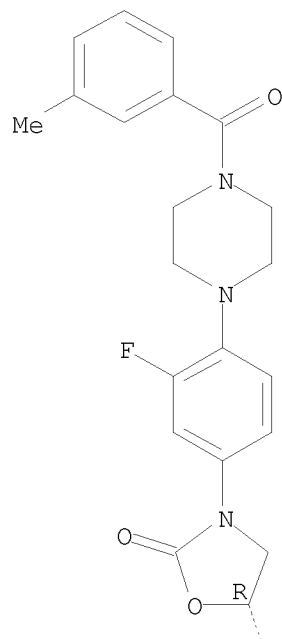
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(3-methylbenzoyl)-1-piperazinyl]phenyl]-
5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

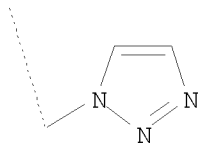
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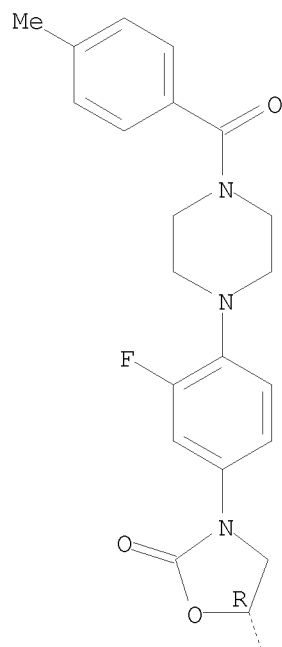
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(4-methylbenzoyl)-1-piperazinyl]phenyl]-
5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

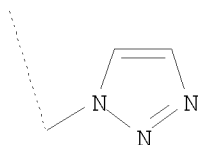
Updated Search

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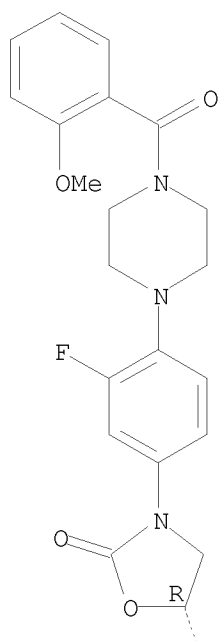
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(2-methoxybenzoyl)-1-piperazinyl]phenyl]-
5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

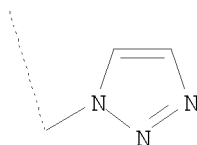
Updated Search

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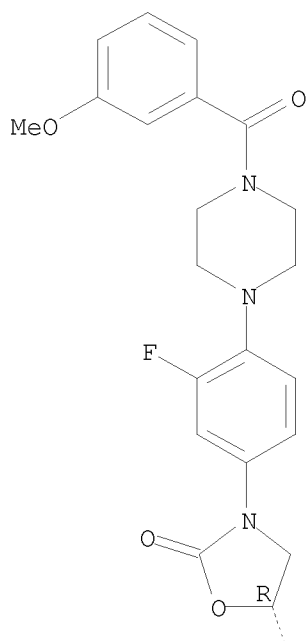
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Absolute stereochemistry.

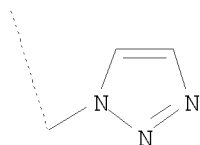
Updated Search

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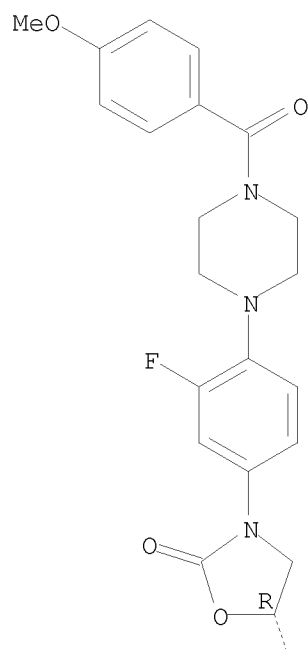
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Absolute stereochemistry.

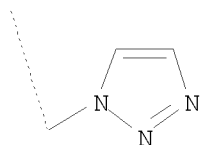
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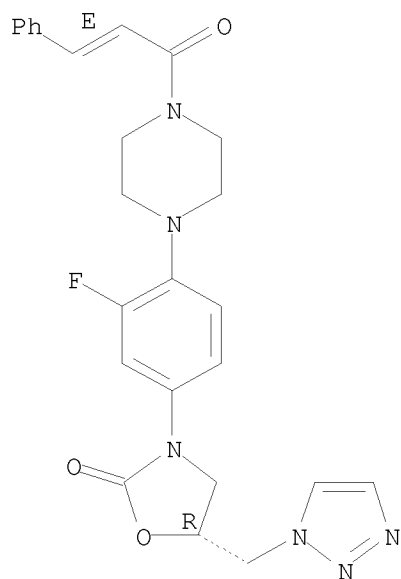
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(2E)-1-oxo-3-phenyl-2-propen-1-yl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

Updated Search

10536687

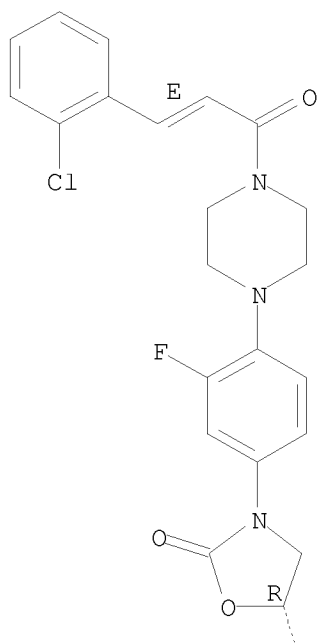


RN 934686-66-5 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-[(2E)-3-(2-chlorophenyl)-1-oxo-2-propen-1-yl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

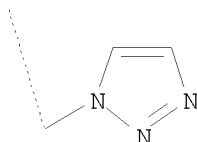
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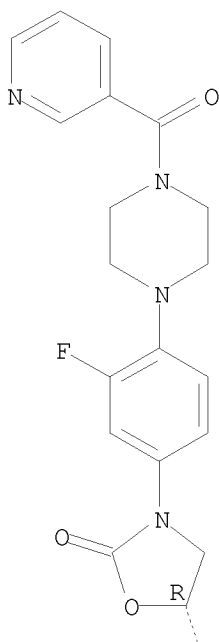
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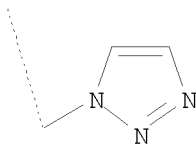
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(3-pyridinylcarbonyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

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RN 934686-68-7 HCAPLUS

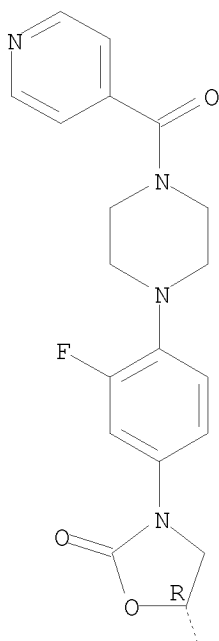
Updated Search

10536687

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(4-pyridinylcarbonyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

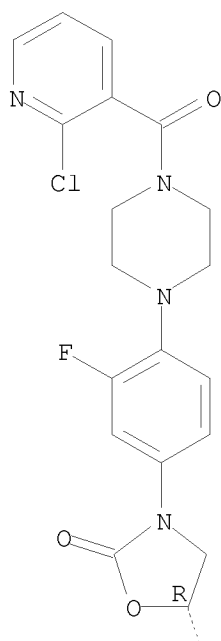
Absolute stereochemistry.

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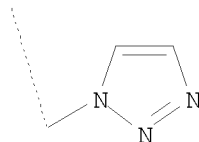


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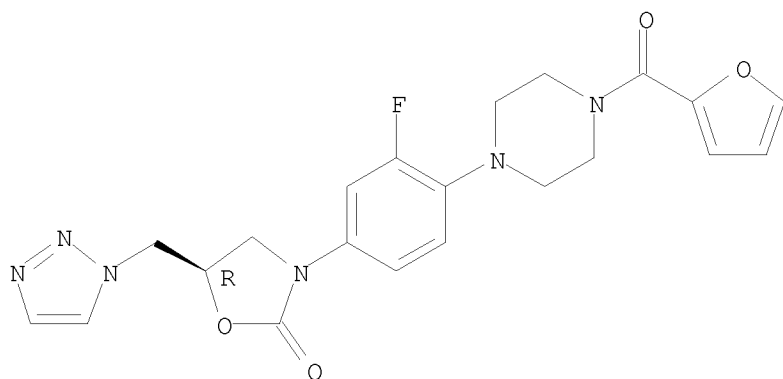


RN 934686-70-1 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(2-furanylcarbonyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

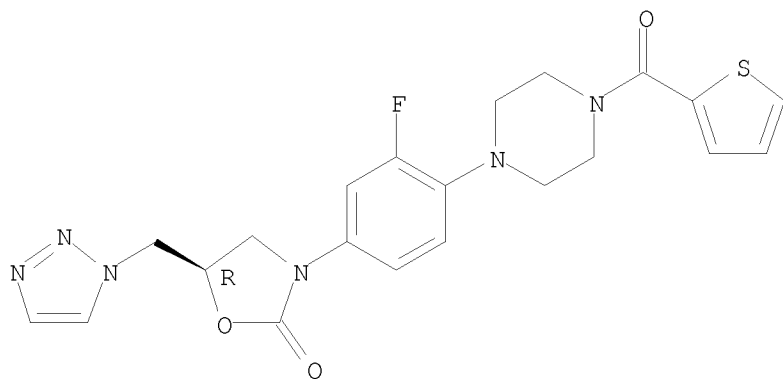
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RN 934686-71-2 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(2-thienylcarbonyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



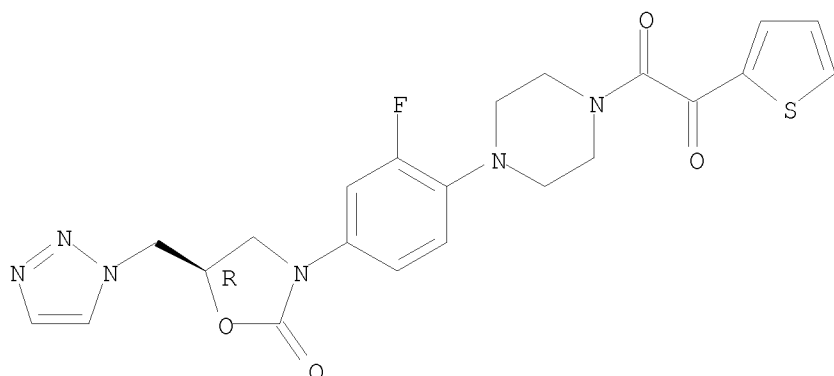
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CN 1,2-Ethanedione, 1-[4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-(2-thienyl)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

10536687



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:226910 HCAPLUS

DOCUMENT NUMBER: 146:295903

TITLE: Preparation of oxazolidinones possessing antimicrobial activity and pharmaceutical compositions thereof

INVENTOR(S): Sindkhedkar, Milind D.; Bhavsar, Satish B.; Patil, Vijaykumar J.; Deshpande, Prasad K.; Patel, Mahesh V.

PATENT ASSIGNEE(S): Sindkhedkar, Milind, D., India; Bhavsar, Satish, B.; Patil, Vijaykumar, J.; Deshpande, Prasad, K.; Patel, Mahesh, V.

SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007023507	A3	20070712		
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Updated Search

10536687

PRIORITY APPLN. INFO.:

IN 2005-MU723

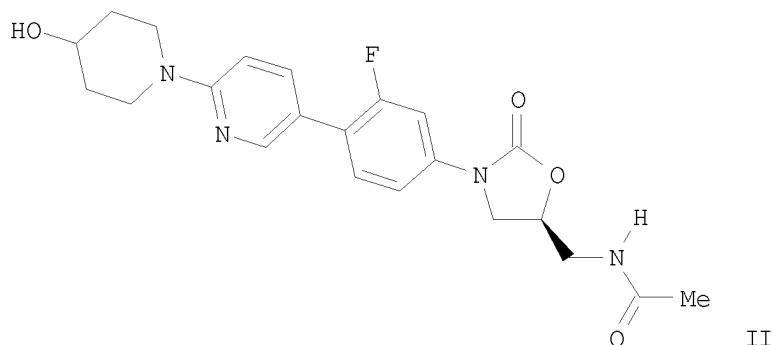
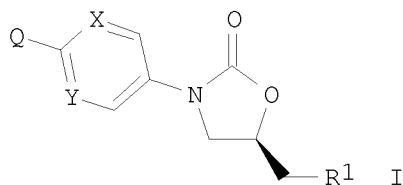
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WO 2006-IN208

W 20060619

OTHER SOURCE(S): MARPAT 146:295903

GI



AB Title compds. I [R¹ = OH, formamide, (un)substituted amine, etc.; X and Y independently = CH, CF or N; Q = (un)substituted heterocyclyl, heteroaryl, aryl, etc.], and their pharmaceutically acceptable salts, were prepared and disclosed as having antimicrobial activity. Thus, e.g., II was prepared by reduction of the corresponding oxopiperidine derivative (preparation given).

Several

microbial assays are described, e.g., selected I displayed antibacterial activity for *Staphylococcus aureus* ATCC 25923 equal to 0.5 to ≥ 8 mg/mL. Thus, the present invention provides novel oxazolidinone derivs., processes for making compds. as well as antimicrobial pharmaceutical compns. containing said derivs. as active ingredients and methods of treating microbial infections with the said derivs.

IT 928158-79-6P

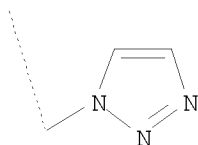
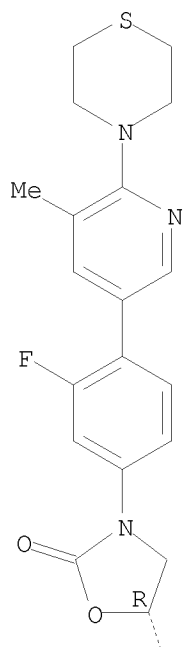
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of oxazolidinones possessing antimicrobial activity and pharmaceutical compns. thereof)

RN 928158-79-6 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[5-methyl-6-(4-thiomorpholinyl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

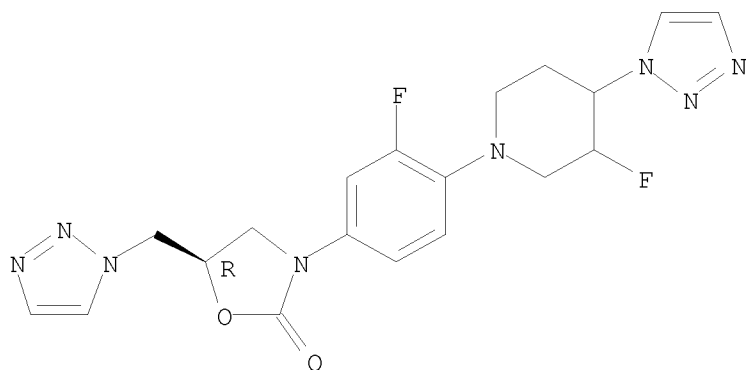
Updated Search



IT 928157-54-4P 928157-57-7P 928158-93-4P
 928159-13-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of oxazolidinones possessing antimicrobial activity and
 pharmaceutical compns. thereof)
 RN 928157-54-4 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[3-fluoro-4-(1H-1,2,3-triazol-1-yl)-1-
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Absolute stereochemistry.

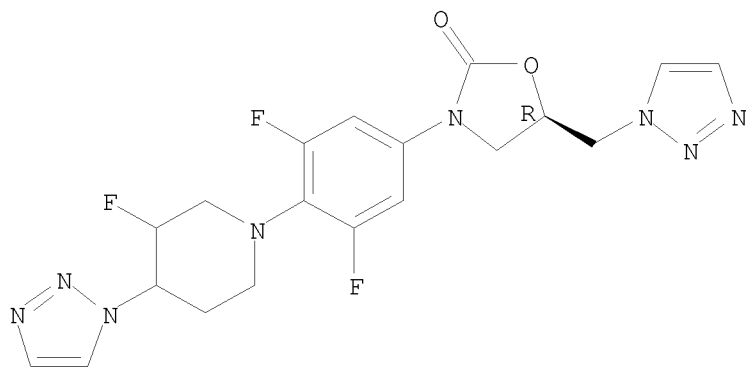
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RN 928157-57-7 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[3-fluoro-4-(1H-1,2,3-triazol-1-yl)-1-piperidinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 928158-93-4 HCAPLUS

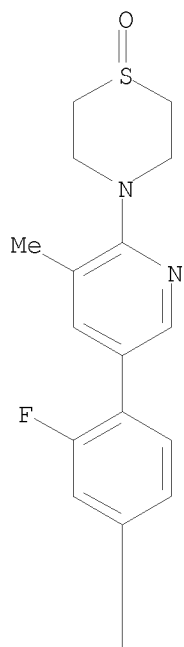
CN 2-Oxazolidinone, 3-[3-fluoro-4-[5-methyl-6-(1-oxido-4-thiomorpholinyl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

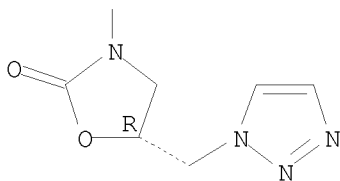
Updated Search

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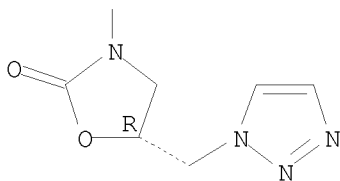
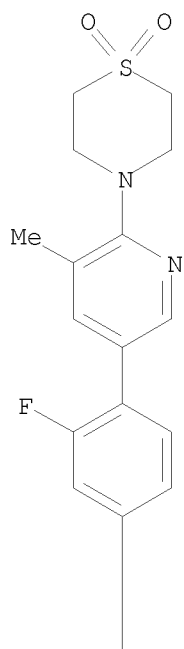
PAGE 2-A



RN 928159-13-1 HCAPLUS
CN 2-Oxazolidinone, 3-[4-[6-(1,1-dioxido-4-thiomorpholinyl)-5-methyl-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

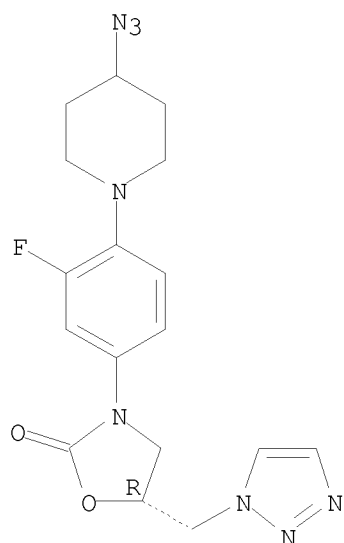
Updated Search



IT 928160-34-3 928160-36-5 928160-50-3
 928160-64-9 928160-65-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of oxazolidinones possessing antimicrobial activity and
 pharmaceutical compns. thereof)
 RN 928160-34-3 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(4-azido-1-piperidinyl)-3-fluorophenyl]-5-(1H-1,2,3-
 triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

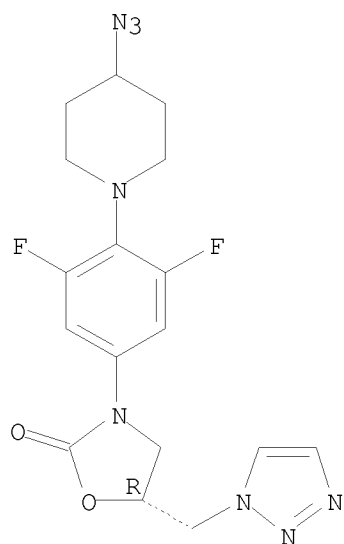
10536687



RN 928160-36-5 HCAPLUS

CN 2-Oxazolidinone, 3-[4-(4-azido-1-piperidiny)-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



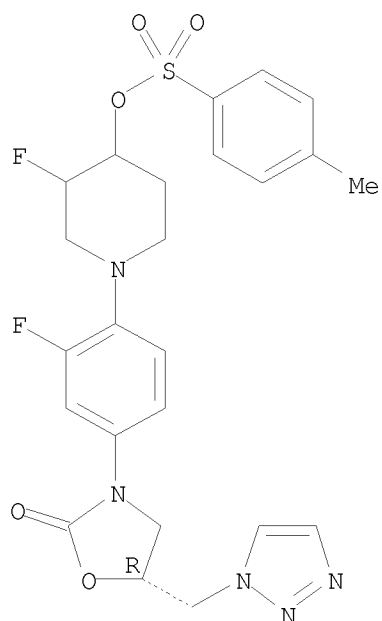
RN 928160-50-3 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[3-fluoro-4-[[4-methylphenyl)sulfonyl]oxy]-1-piperidiny]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

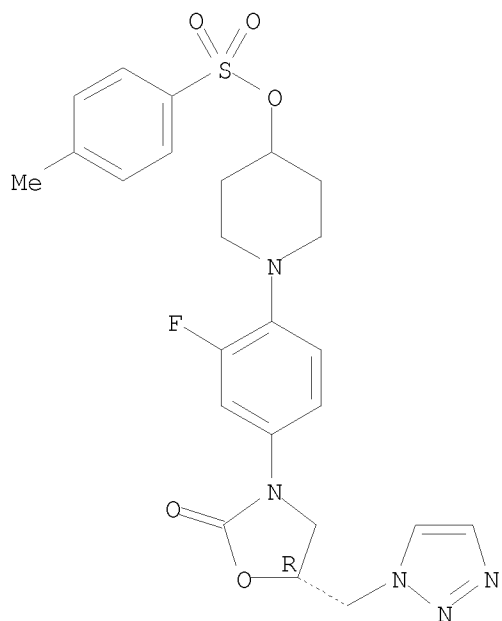
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RN 928160-64-9 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[4-methylphenyl)sulfonyl]oxy]-1-piperidinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



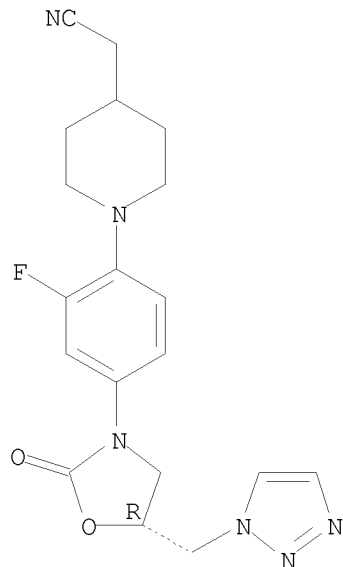
RN 928160-65-0 HCAPLUS

Updated Search

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CN 4-Piperidineacetonitrile, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 12 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:753777 HCAPLUS

DOCUMENT NUMBER: 145:271783

TITLE: Preparation of 5-(1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one derivatives for treatment of infection

INVENTOR(S): Yang, Yushe; Fan, Houxing; Chen, Kaixian; Ji, Ruyun

PATENT ASSIGNEE(S): Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Peop. Rep. China; Nanjing Changao Pharmaceutical Science and Technology Co., Ltd.

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 51 pp. CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
CN 1807427	A	20060726	CN 2006-10023990	20060220
WO 2007095784	A1	20070830	WO 2006-CN662	20060413
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

Updated Search

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

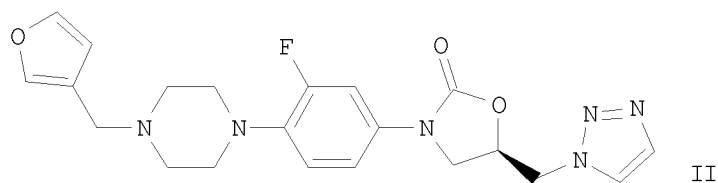
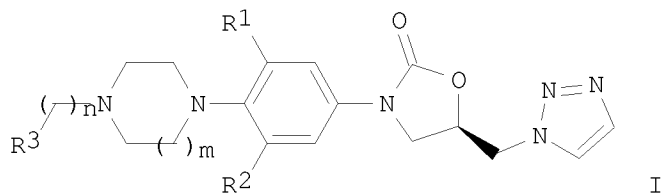
CN 2006-10023990

A 20060220

OTHER SOURCE(S):

MARPAT 145:271783

GI



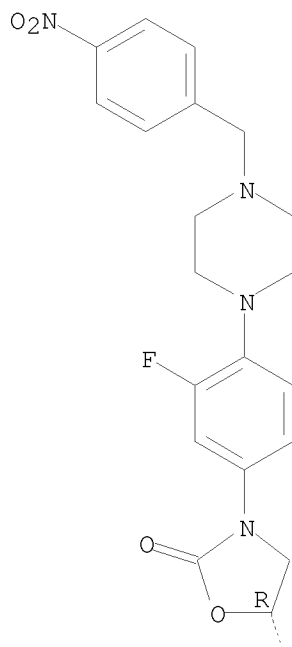
- AB The title triazole derivs. I [wherein n = 1-3; m = 1-2; R1 and R2 = independently H or F; R3 = (un)substituted alkyl or (hetero)aryl] or pharmaceutically acceptable salts thereof were prepared for the treatment of infection (no data). For example, (5R)-3-[3-fluoro-4-(piperazin-1-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one hydrochloride was reacted with 3-furancarboxaldehyde in DMF in the presence of formic acid to give II (55.2%). II showed anti-infective activity with MIC50 of 0.03 $\mu\text{g/mL}$ against staphylococcus aureus.
- IT 907594-52-9P 907594-53-0P 907594-54-1P
907594-71-2P 907594-72-3P 907594-75-6P
907594-83-6P 907594-87-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of 5-(1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one derivs. for treatment of infection)
- RN 907594-52-9 HCAPLUS
- CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(4-nitrophenyl)methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

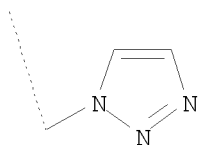
Updated Search

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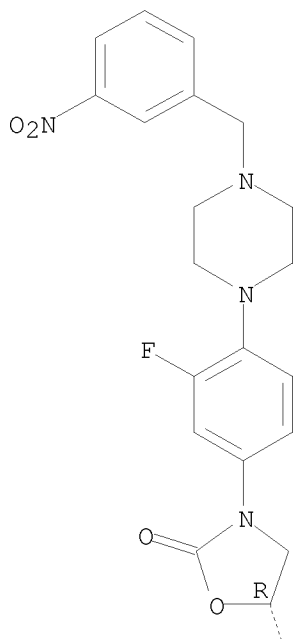
RN 907594-53-0 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(3-nitrophenyl)methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

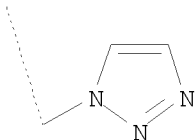
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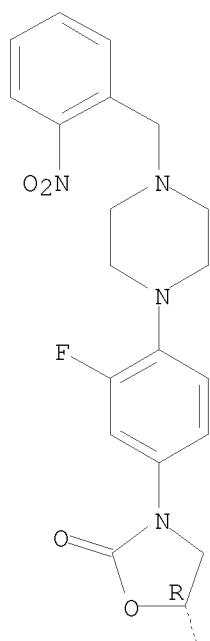
RN 907594-54-1 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(2-nitrophenyl)methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

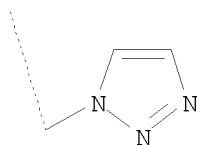
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RN 907594-71-2 HCAPLUS

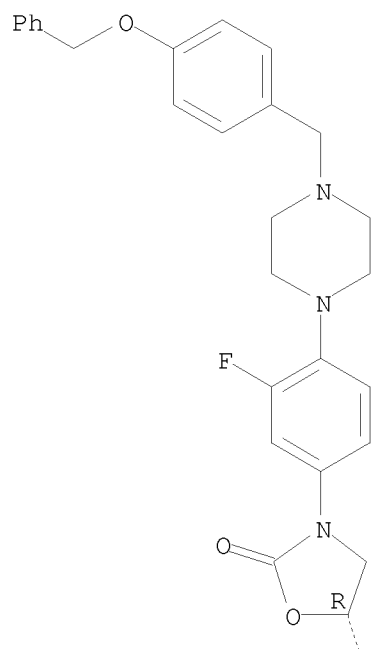
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[4-(phenylmethoxy)phenyl]methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

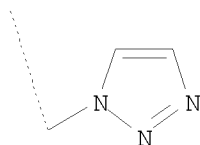
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RN 907594-72-3 HCAPLUS

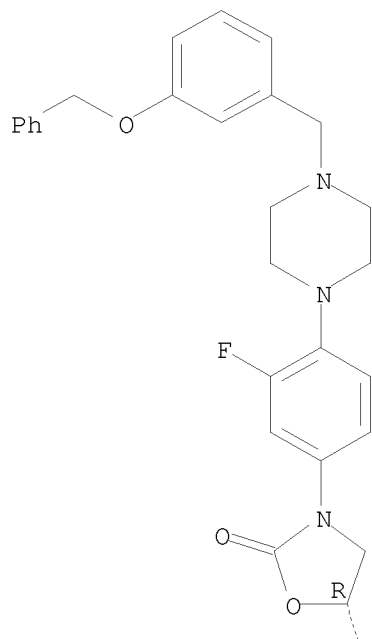
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[3-(phenylmethoxy)phenyl]methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

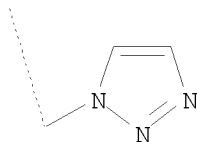
Updated Search

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RN 907594-75-6 HCAPLUS

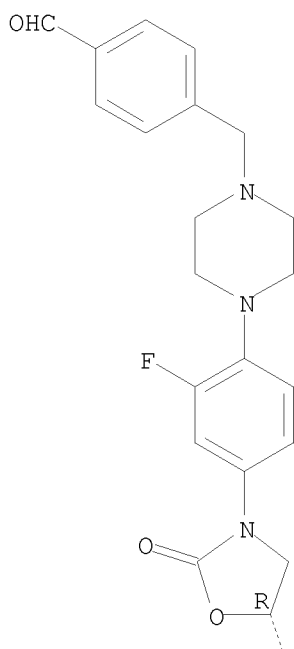
CN Benzaldehyde, 4-[[4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1-piperazinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

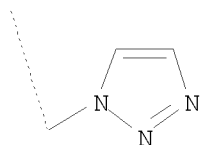
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RN 907594-83-6 HCAPLUS

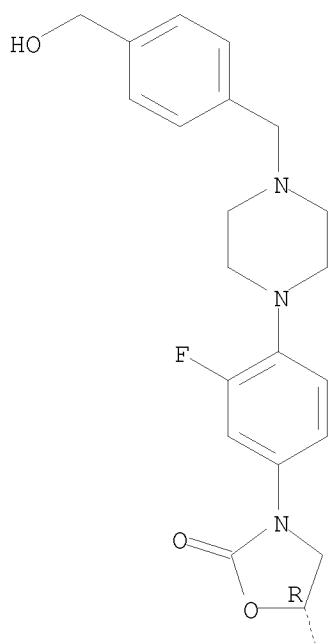
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[4-(hydroxymethyl)phenyl]methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

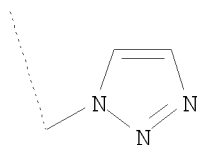
Updated Search

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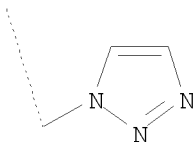
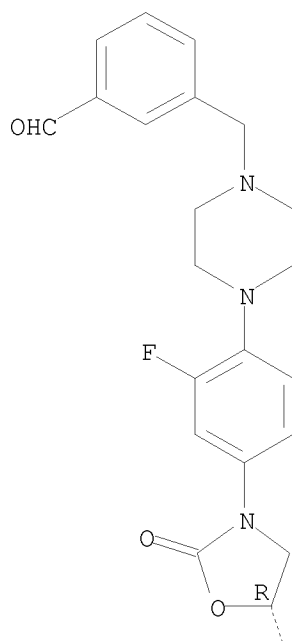


RN 907594-87-0 HCAPLUS

CN Benzaldehyde, 3-[[4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1-piperazinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search



IT 907594-55-2P 907594-56-3P 907594-57-4P
 907594-58-5P 907594-59-6P 907594-60-9P
 907594-61-0P 907594-62-1P 907594-63-2P
 907594-64-3P 907594-65-4P 907594-66-5P
 907594-67-6P 907594-68-7P 907594-69-8P
 907594-70-1P 907594-73-4P 907594-74-5P
 907594-76-7P 907594-77-8P 907594-78-9P
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 907594-93-8P 907594-94-9P 907594-95-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug candidate; preparation of 5-(1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-
 2-one derivs. for treatment of infection)

RN 907594-55-2 HCAPLUS

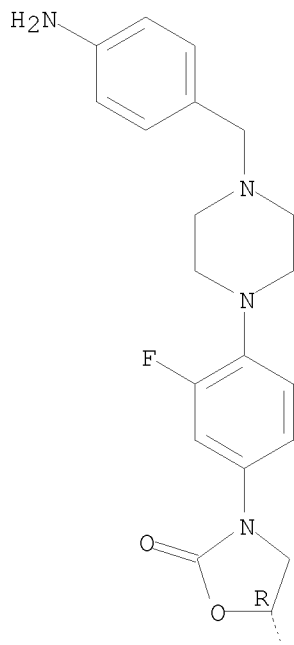
CN 2-Oxazolidinone, 3-[4-[4-[(4-aminophenyl)methyl]-1-piperazinyl]-3-

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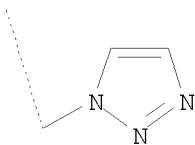
fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

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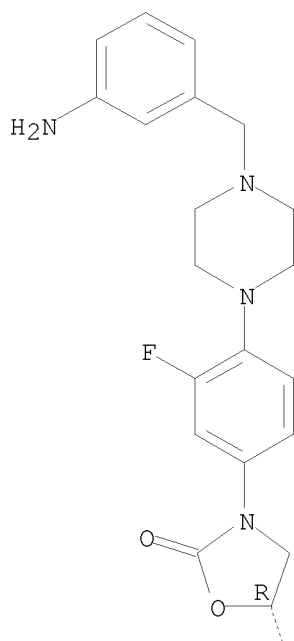
RN 907594-56-3 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-[(3-aminophenyl)methyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

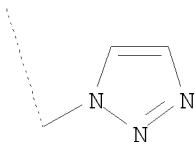
Absolute stereochemistry.

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RN 907594-57-4 HCAPLUS

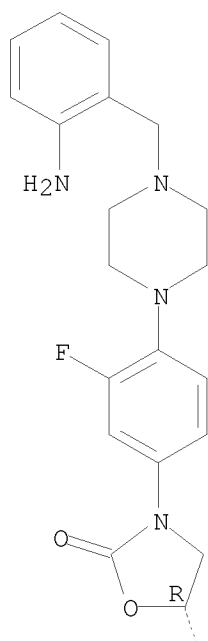
CN 2-Oxazolidinone, 3-[4-[4-[(2-aminophenyl)methyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

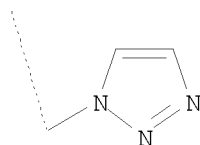
Updated Search

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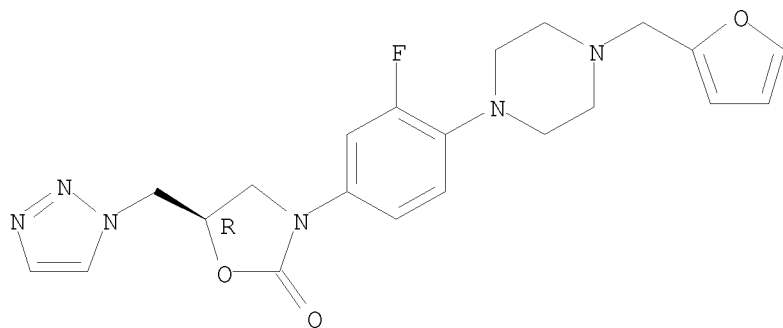
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RN 907594-58-5 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(2-furanylmethyl)-1-piperazinyl]phenyl]-
5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



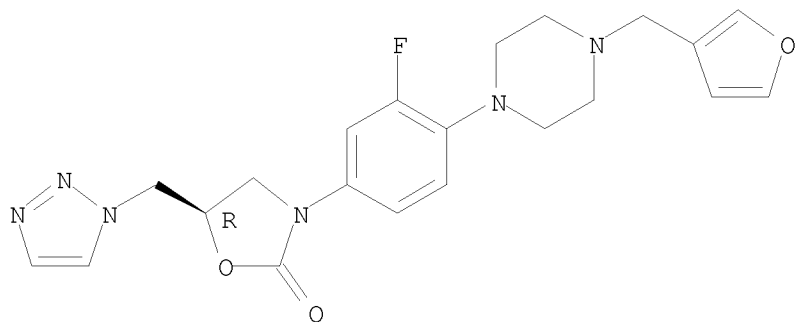
Updated Search

10536687

RN 907594-59-6 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(3-furanylmethyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

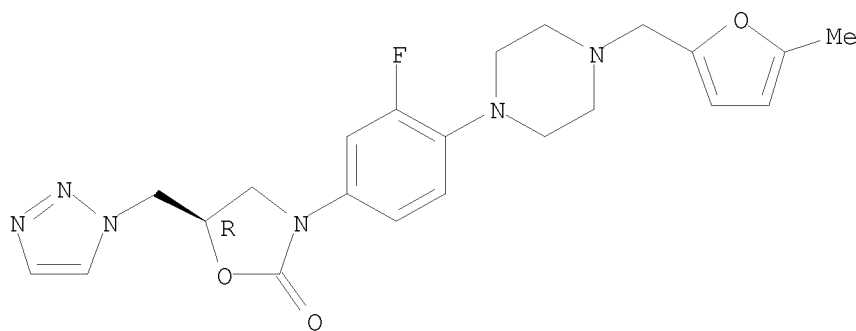
Absolute stereochemistry.



RN 907594-60-9 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(5-methyl-2-furanyl)methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



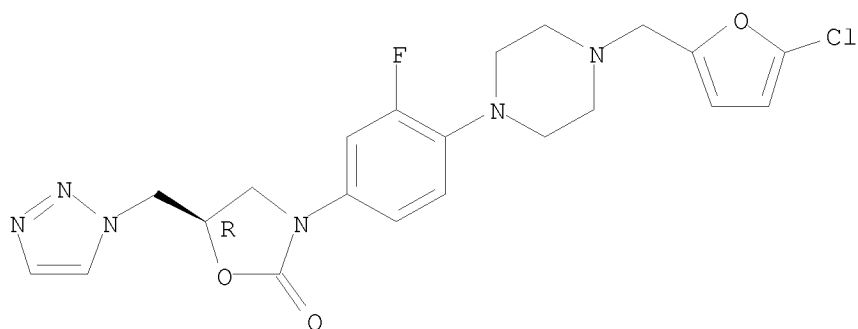
RN 907594-61-0 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-[(5-chloro-2-furanyl)methyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

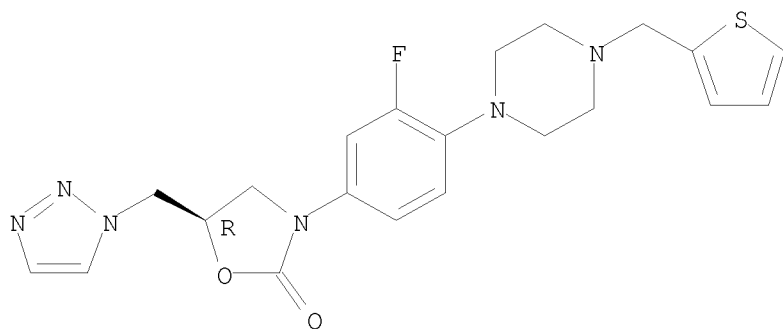
10536687



RN 907594-62-1 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(2-thienylmethyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

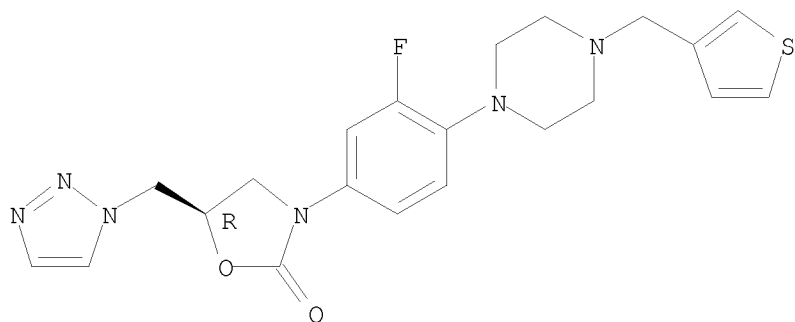
Absolute stereochemistry.



RN 907594-63-2 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(3-thienylmethyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



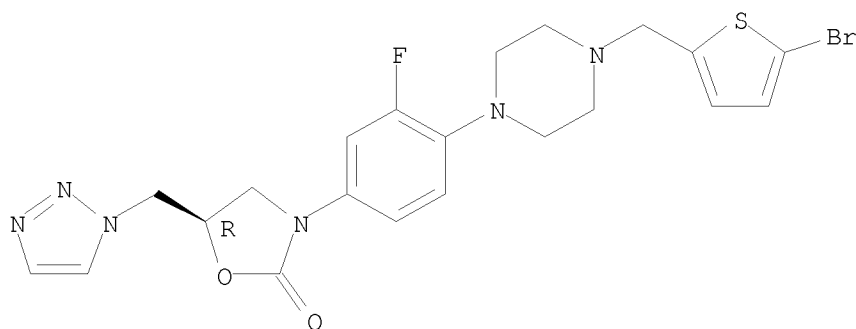
RN 907594-64-3 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-[(5-bromo-2-thienyl)methyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Updated Search

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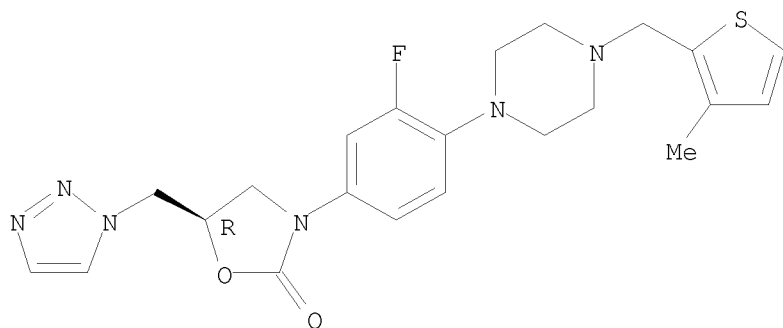
Absolute stereochemistry.



RN 907594-65-4 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(3-methyl-2-thienyl)methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



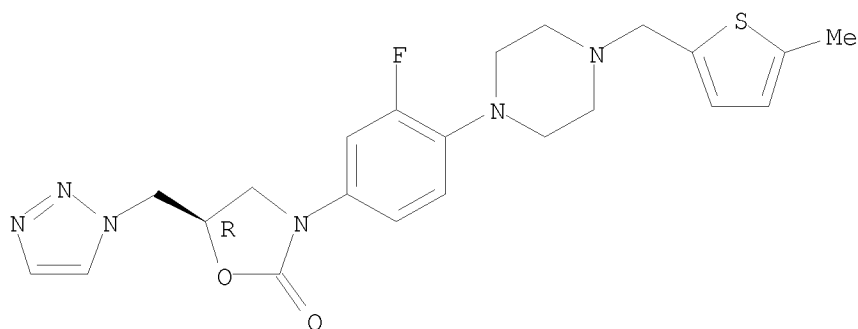
RN 907594-66-5 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(5-methyl-2-thienyl)methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

10536687

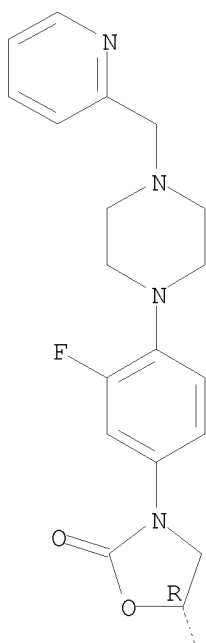


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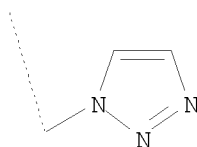
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(2-pyridinylmethyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

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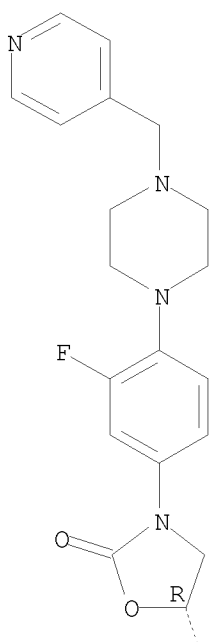
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RN 907594-68-7 HCAPLUS

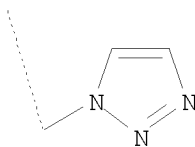
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(4-pyridinylmethyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

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RN 907594-69-8 HCAPLUS

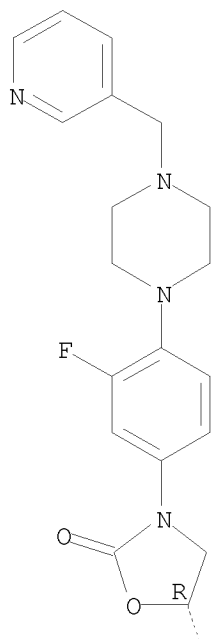
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(3-pyridinylmethyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

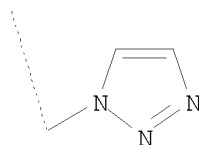
Updated Search

10536687

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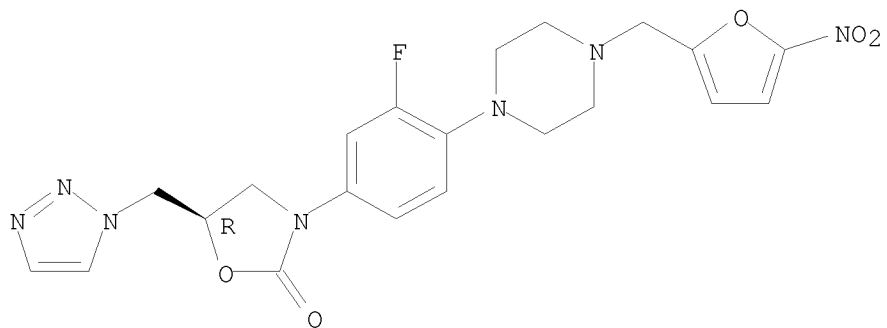
PAGE 2-A



RN 907594-70-1 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(5-nitro-2-furanyl)methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



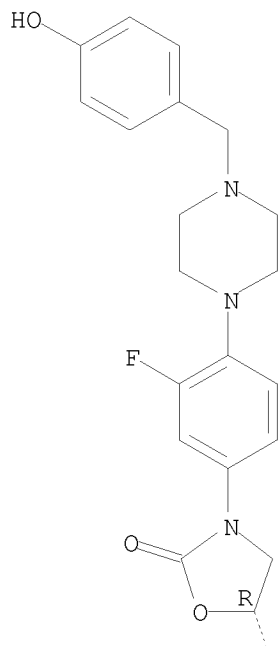
Updated Search

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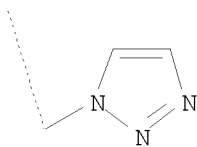
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Absolute stereochemistry.

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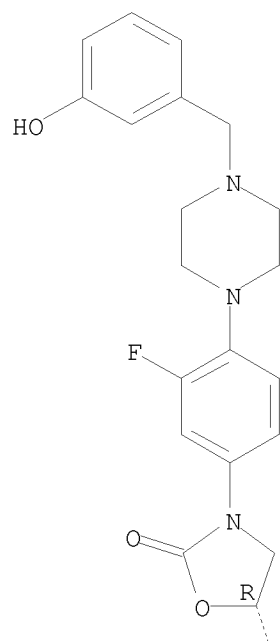
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(3-hydroxyphenyl)methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

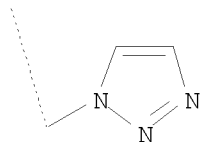
Updated Search

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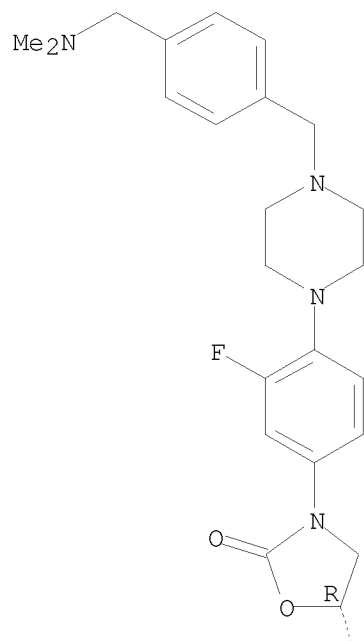
RN 907594-76-7 HCAPLUS
CN 2-Oxazolidinone, 3-[4-[4-[[4-[(dimethylamino)methyl]phenyl]methyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

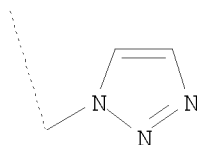
Updated Search

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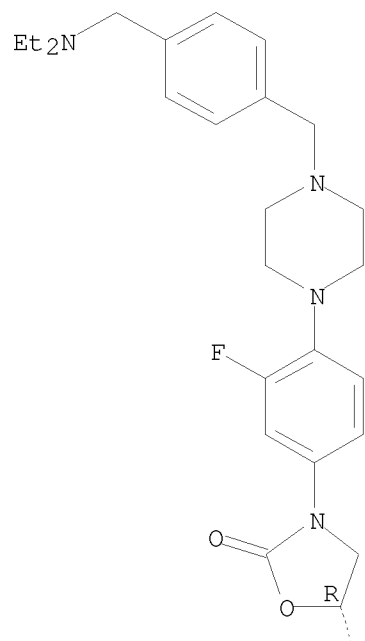
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CN 2-Oxazolidinone, 3-[4-[4-[[4-[(diethylamino)methyl]phenyl]methyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

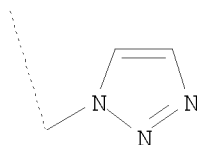
Updated Search

10536687

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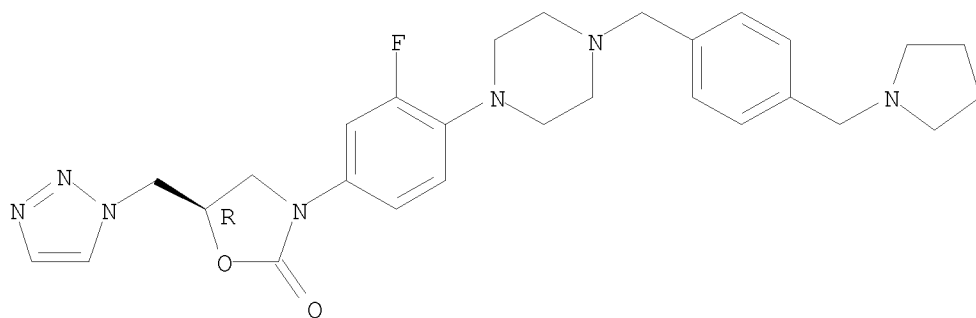
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RN 907594-78-9 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[4-(1-pyrrolidinylmethyl)phenyl]methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



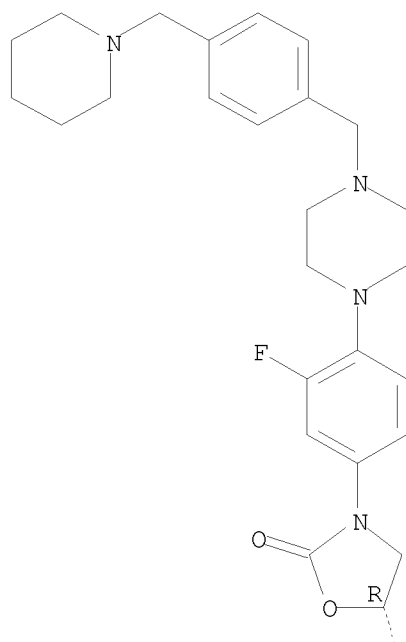
Updated Search

10536687

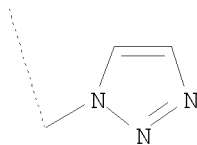
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[4-(1-piperidinylmethyl)phenyl]methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

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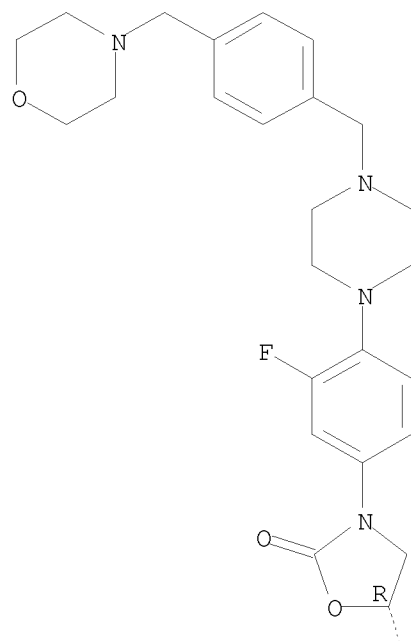
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[4-(4-morpholinylmethyl)phenyl]methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

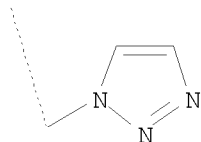
Updated Search

10536687

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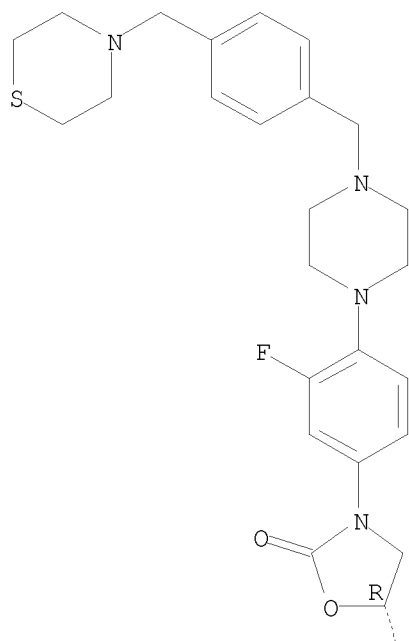
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[4-(4-thiomorpholinylmethyl)phenyl]meth
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INDEX NAME)

Absolute stereochemistry.

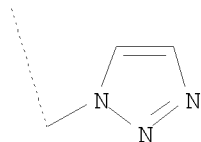
Updated Search

10536687

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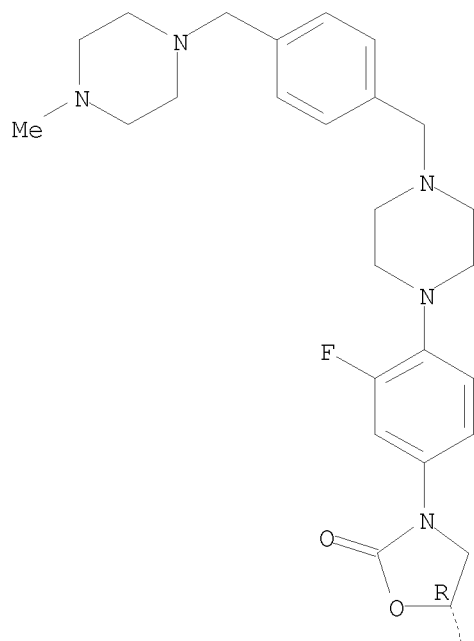
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[4-[(4-methyl-1-piperazinyl)methyl]phenyl]methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

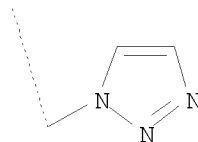
Updated Search

10536687

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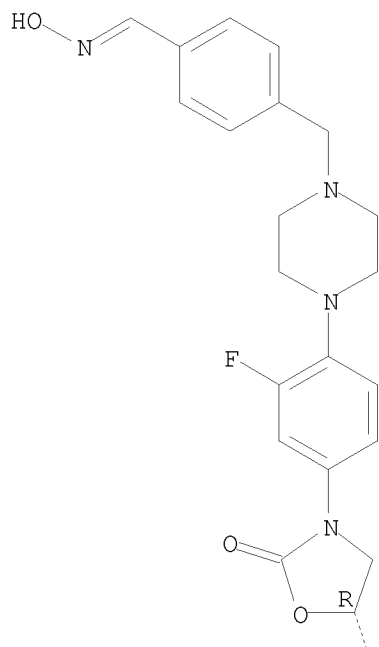
RN 907594-84-7 HCAPLUS
CN Benzaldehyde, 4-[[4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1-piperazinyl]methyl]-, 1-oxime (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

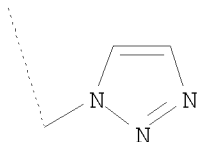
Updated Search

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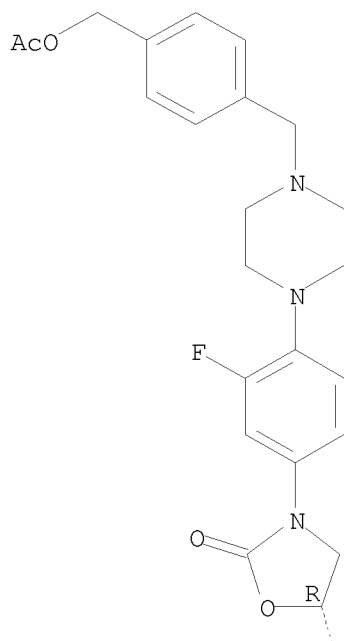
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CN 2-Oxazolidinone, 3-[4-[4-[[4-[(acetyloxy)methyl]phenyl]methyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

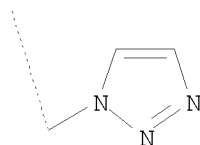
Updated Search

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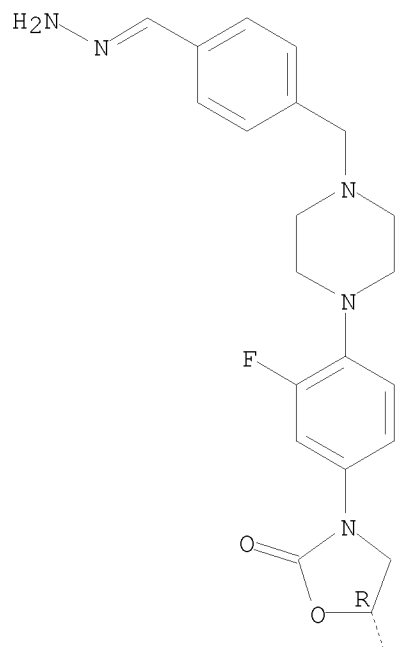
RN 907594-86-9 HCAPLUS
CN Benzaldehyde, 4-[[4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1-piperazinyl]methyl]-, 1-hydrazone (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

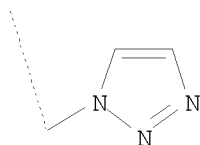
Updated Search

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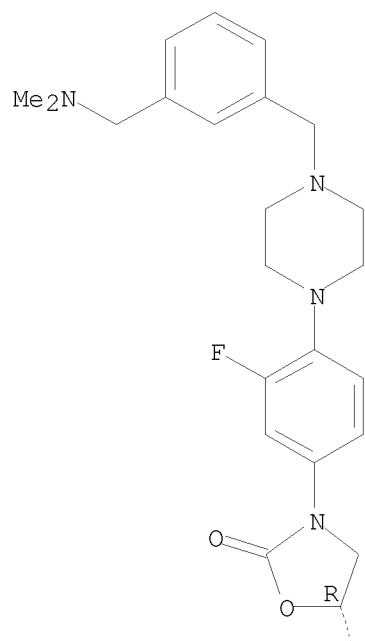
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CN 2-Oxazolidinone, 3-[4-[4-[[3-[(dimethylamino)methyl]phenyl]methyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

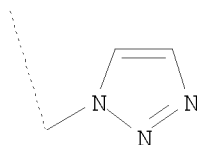
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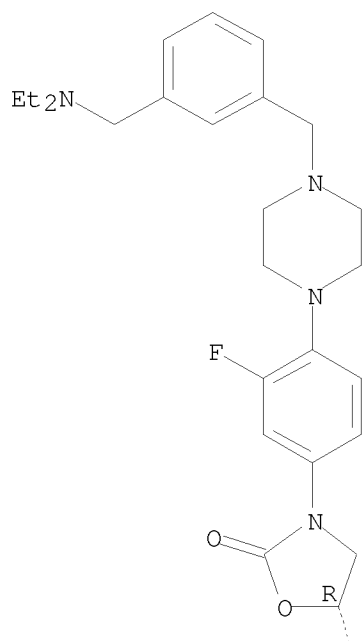
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CN 2-Oxazolidinone, 3-[4-[4-[[3-[(diethylamino)methyl]phenyl]methyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

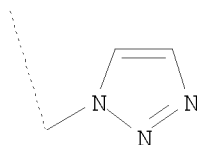
Updated Search

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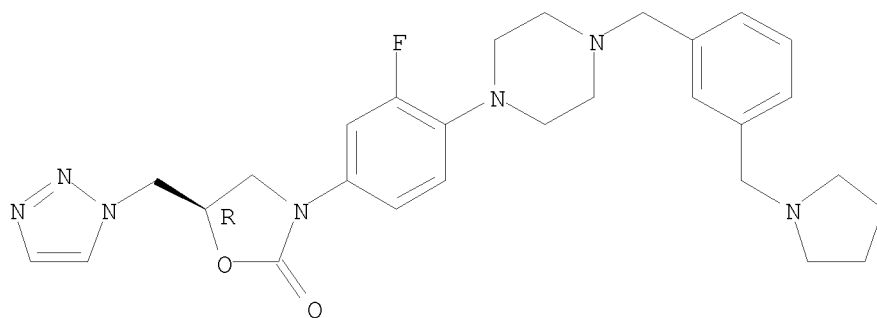
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RN 907594-90-5 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[3-(1-pyrrolidinylmethyl)phenyl]methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



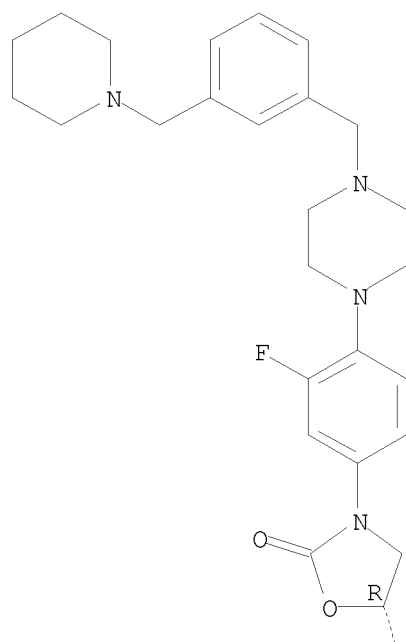
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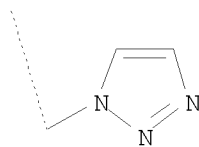
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[3-(1-piperidinylmethyl)phenyl]methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

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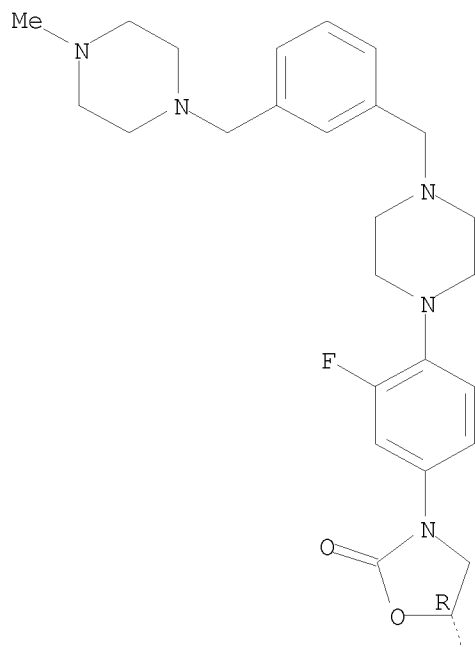
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[3-[(4-methyl-1-piperazinyl)methyl]phenyl]methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

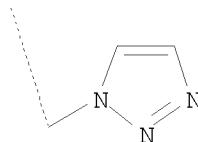
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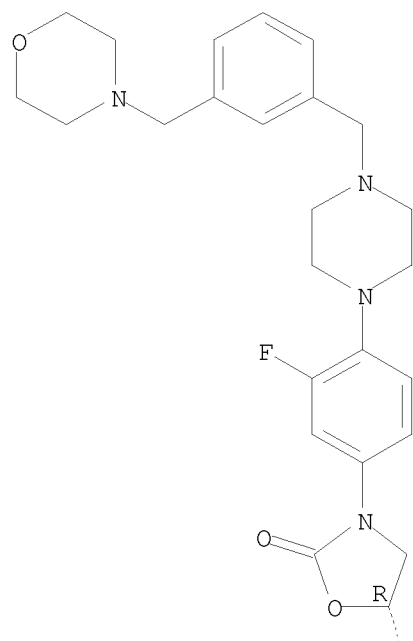
RN 907594-93-8 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[3-(4-morpholinylmethyl)phenyl]methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

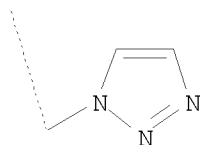
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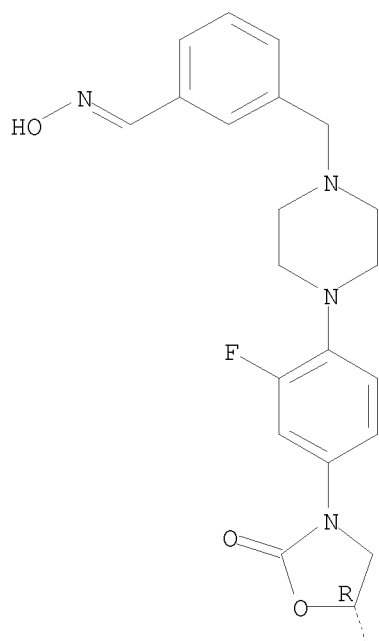
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CN Benzaldehyde, 3-[[4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1-piperazinyl]methyl]-, 1-oxime (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

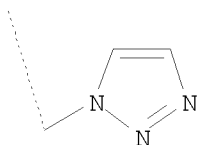
Updated Search

10536687

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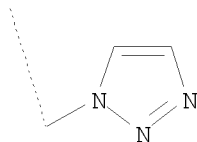
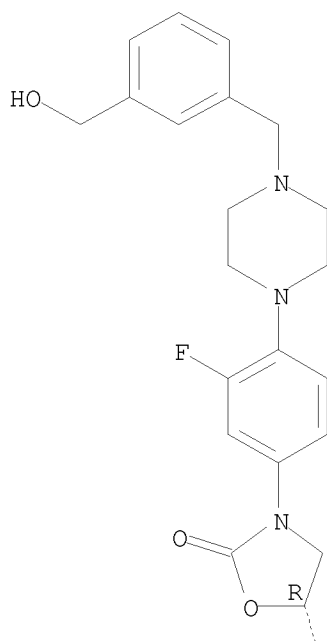


RN 907594-95-0 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[3-(hydroxymethyl)phenyl]methyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search



L7 ANSWER 13 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:382866 HCAPLUS

DOCUMENT NUMBER: 144:432790

TITLE: Preparation of oxazolidinone derivatives as antimicrobials

INVENTOR(S): Mehta, Anita; Das, Biswajit; Rudra, Sonali; Yadav, Ajay Singh; Kumari, Sangita; Salman, Mohammad; Rattan, Ashok

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

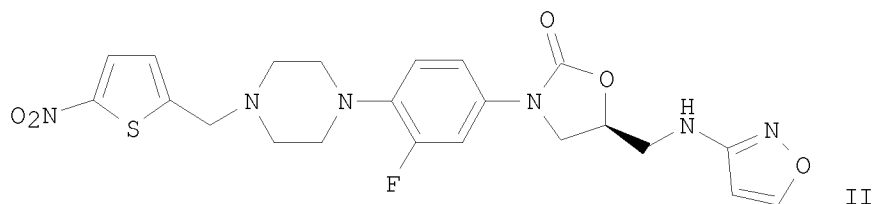
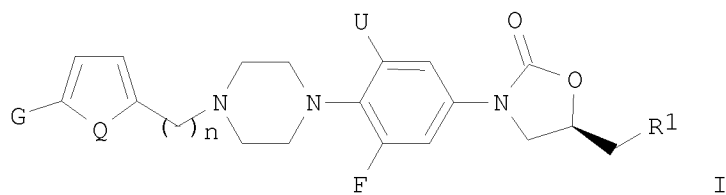
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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10536687

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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS,
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RU, TJ, TM

PRIORITY APPLN. INFO.: WO 2004-IB3439 20041020
OTHER SOURCE(S): CASREACT 144:432790; MARPAT 144:432790
GI



AB Title compds. such as I [wherein R1 = (un)substituted amine, hydroxy, thioalkyl, etc.; U = H, (un)substituted alkyl, F, etc.; Q = O, S or (alkyl)N; G = H, alkyl, CN, etc.; n = 0-3; and pharmaceutically acceptable salts, solvates, esters, polymorphs, enantiomers, diastereomers, N-oxides, prodrugs or metabolites thereof] were prepared as antimicrobial agents. For example, II was provided in a multi-step synthesis starting from tert-Bu 4-[2-fluoro-4-[(5R)-5-[(methanesulfonyl)oxy]methyl]-2-oxo-1,3-oxazolidin-3-yl]phenyl]piperazine-1-carboxylate. I showed antimicrobial activity with MIC of 16 µg/mL against ATCC 25923, 8 µg/mL against ATCC 29212, and etc. Thus, I are useful as antimicrobial agents, effective against a number of human and veterinary pathogens, including gram-pos. aerobic bacteria, such as multiple-resistant staphylococci and streptococci as well as anaerobic organisms, such as Clostridia spp. species, and acid fast organisms.

IT 885013-13-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxazolidinone derivs. as antimicrobials)

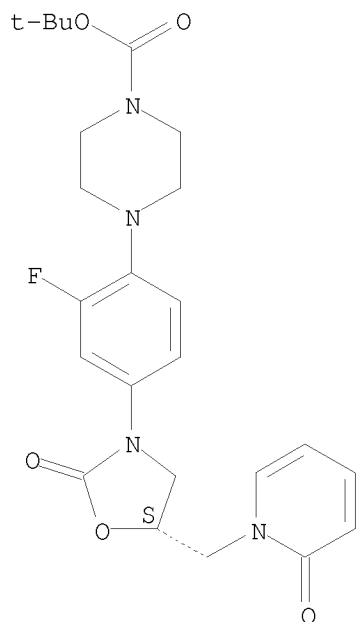
RN 885013-13-8 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5S)-2-oxo-5-[(2-oxo-1(2H)-pyridinyl)methyl]-3-oxazolidinyl]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Updated Search

10536687

Absolute stereochemistry.



IT 885013-14-9P, tert-Butyl 4-[4-[(5S)-5-[(1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)methyl]-2-oxo-1,3-oxazolidin-3-yl]-2-fluorophenyl]piperazine-1-carboxylate 885013-15-0P, tert-Butyl 4-[2-fluoro-4-[(5R)-5-[(1H-imidazol-1-yl)methyl]-2-oxo-1,3-oxazolidin-3-yl]phenyl]piperazine-1-carboxylate

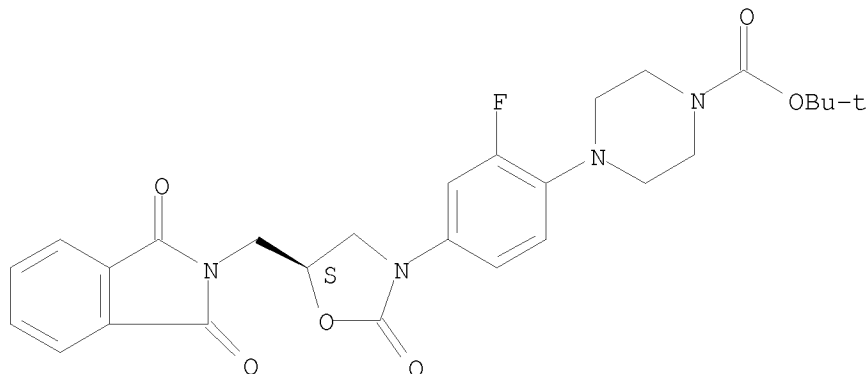
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of oxazolidinone derivs. as antimicrobials)

RN 885013-14-9 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[(5S)-5-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

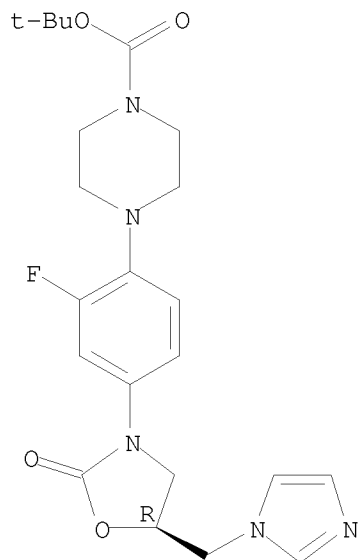


Updated Search

10536687

RN 885013-15-0 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5R)-5-(1H-imidazol-1-ylmethyl)-2-oxo-3-oxazolidinyl]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:317385 HCAPLUS

DOCUMENT NUMBER: 144:370098

TITLE: Oxazolidinone derivatives, particularly benzoxadiazole phenyloxazolidinones, useful as antimicrobials, and processes for their preparation, pharmaceutical compositions containing them, and methods of their use for treating microbial infections

INVENTOR(S): Das, Biswajit; Rudra, Sonali; Salman, Mohammad; Rattan, Ashok

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

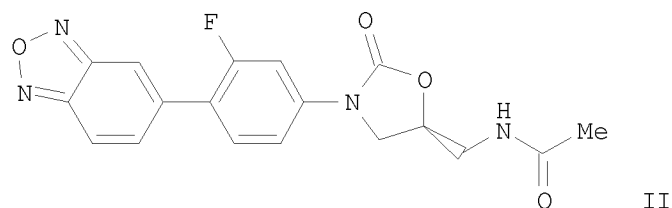
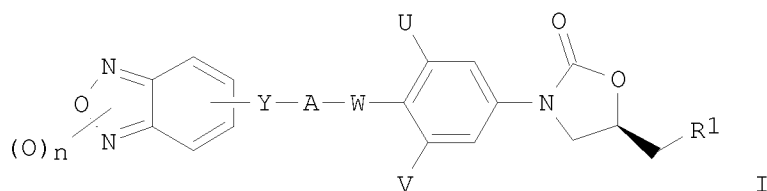
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006035283	A1	20060406	WO 2005-IB2840	20050926
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,				

Updated Search

10536687

LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ,
NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,
SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,
YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
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KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: IN 2004-DE1843 A 20040927
OTHER SOURCE(S): CASREACT 144:370098; MARPAT 144:370098
GI

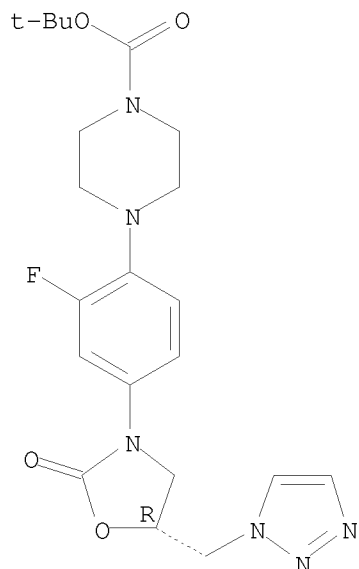


AB The invention relates to substituted phenyloxazolidinones I and processes for their preparation [wherein: R1 is OR_j, SR_j, NHY1R_f, NR_fR_q, heterocyclyl or heteroaryl; R_j is H, alkyl, alkenyl, cycloalkyl, aryl, aralkyl, heterocyclyl, heteroaryl, heteroarylalkyl or heterocyclylalkyl; Y1 is CO, C(:S) or SO₂; R_f is H, alkyl, alkenyl, alkynyl, alkoxy, cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl or heterocyclylalkyl; R_q is H, alkyl, cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl or heterocyclyl; U and V are each independently H, lower (C1-6)alkyl or halo; Y and W are each independently no atom, O, CH₂, CO, CH₂NH, NHCH₂, CH₂NHCH₂, CH₂N(R₁₁)CH₂, CH₂(Re)N, CH(R₁₁), S, CH₂(CO), NH, NRe, (CO)CH₂, N(Re)CON(Re), N(Re)C(S)N(Re), SO₂ or SO; R₁₁ is optionally substituted C1-2 alkyl, C3-12 cycloalkyl, C1-6 alkoxy, C1-6 alkyl, C1-6-alkylcarbonyl, C1-6-alkylcarboxy, aryl or heteroaryl; Re is H, optionally substituted C1-12 alkyl, C3-12 cycloalkyl, C1-6 alkoxy, C1-6 alkyl, C1-6 alkylcarbonyl, C1-6 alkylcarboxy, aryl or heteroaryl; and A is bond, piperidine-1,4-diyl with optional addnl. 4-thio or 4-oxy and/or 3,5-(CH₂)₀₋₂, piperazine-1,4-diyl, furandiyl, pyrrolediyl, thiophenediyl, pyridinediyl; X is CH, CH-S, CH-O or N; Q is O, N or S; n is 0-1; including pharmaceutically acceptable salts, solvates, enantiomers, diastereomers or polymorphs]. The invention also relates to pharmaceutical compns. comprising I. I are useful as antimicrobial agents, and can be particularly effective against a number of human and

veterinary pathogens, including gram-pos. aerobic bacteria (e.g., multiple-resistant staphylococci, streptococci and enterococci), anaerobic organisms (e.g., Bacterioides spp. and Clostridia spp.), and acid-fast organisms (e.g., Mycobacterium tuberculosis, Mycobacterium avium, and Mycobacterium spp). Fourteen compds. were prepared, and are also claimed by name. For instance, coupling of 5-bromo-2,1,3-benzoxadiazole with N-[[[(5S)-3-[3-fluoro-4-(trimethylstannyl)phenyl]-2-oxo-1,3-oxazolidin-5-yl]methyl]acetamide in the presence of Et₃N and Pd(PPh₃)₂Cl₂ in DMF at 100°, gave invention compound II. The activity of I was comparable or superior to linezolid against a wide variety of organisms. For instance, against vancomycin-resistant Enterococci ATCC 6A, the MIC of I was in some cases between 0.25 and 4 µg/mL, vs. 2 µg/mL for linezolid. Against Enterococcus faecalis 303, the MIC of I was 0.124 to 4 µg/mL, vs. 16 µg/mL for linezolid.

IT 371195-29-8P, tert-Butyl 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-3-yl]phenyl]piperazine-1-carboxylate
 882185-07-1P, tert-Butyl 4-[2-fluoro-4-[(5R)-2-oxo-5-(2H-1,2,3-triazol-2-ylmethyl)-1,3-oxazolidin-3-yl]phenyl]piperazine-1-carboxylate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of benzoxadiazole-substituted phenyloxazolidinones as antimicrobials)
 RN 371195-29-8 HCAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

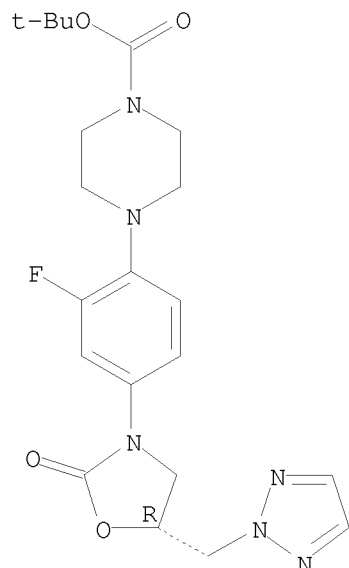
Absolute stereochemistry.



RN 882185-07-1 HCAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(2H-1,2,3-triazol-2-ylmethyl)-3-oxazolidinyl]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

10536687

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:314790 HCAPLUS

DOCUMENT NUMBER: 145:124543

TITLE: Method for preparation and application of novel oxazolidone derivatives

INVENTOR(S): Yang, Yushe; Xu, Gang; Ji, Ruyun; Li, Zhan; Huang, Haiyan

PATENT ASSIGNEE(S): Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 44 pp. CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

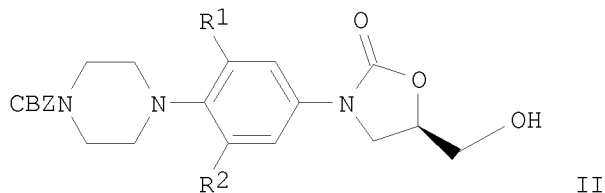
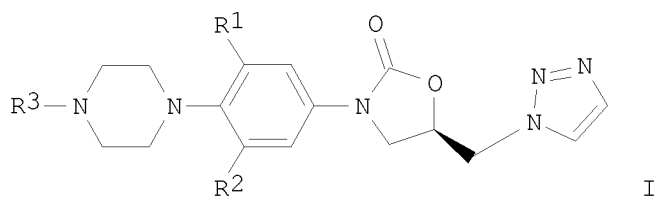
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 1749256	A	20060322	CN 2004-10066453	20040916
CN 100360525	C	20080109		
PRIORITY APPLN. INFO.:			CN 2004-10066453	20040916
OTHER SOURCE(S):			CASREACT 145:124543; MARPAT 145:124543	
GI				

Updated Search

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AB This invention relates to novel oxazolidone derivs. for treating infection disease shown as compound I (R1 = H, F; R2 = H, F; R3 = alkyl sulfonyl, aryl sulfonyl, aryl heterocyclic sulfonyl, alkyloxy carbonyl, aryl alkyloxy carbonyl, alkyl carbonyl and substituted). The title oxazolidone derivs. are prepared by reacting 3,4-difluoronitrobenzene or 3,4,5-trifluoro nitrobenzene in non-protonic solvent to give piperazylfluorobenzene; catalytic hydrogenation to get the corresponding aniline; protecting the amino group with carbobenzoxy formyl chloride (CBZ); reacting with (R)-glycidylbutyrate in THF or ether to provide an important intermediate II; methylsulfonation the hydroxy group and reacting with sodium azide, further reacting with acetylene to form triazole ring; deprotecting CBZ group by catalytic hydrogenation in the presence of catalyst Pd, Ni, Rh and reacting with acid chloride to obtain the title product. The title oxazolidone derivative comprises (5R)-3-(3-fluoro-4-(N-1-(4-tetrabutoxy carbonylpiperazine-yl))phenyl)-5-(1,2,3-triazole-1-methyl)oxazolidine-2-one etc. and can be used as antibacterial agent for treating infection disease.

IT 859445-56-0P 897655-35-5P 897655-43-5P
897655-49-1P 897655-57-1P

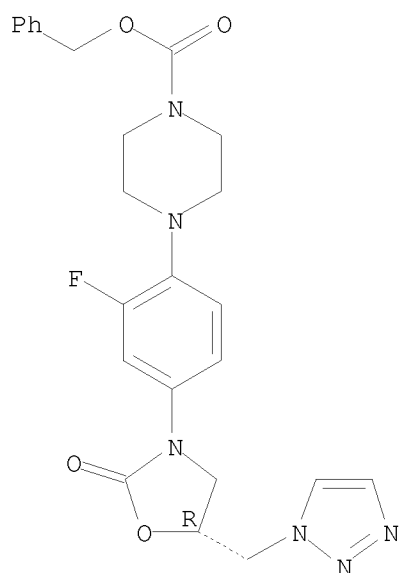
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis of oxazolidone derivs. as antibacterial agent)

RN 859445-56-0 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.

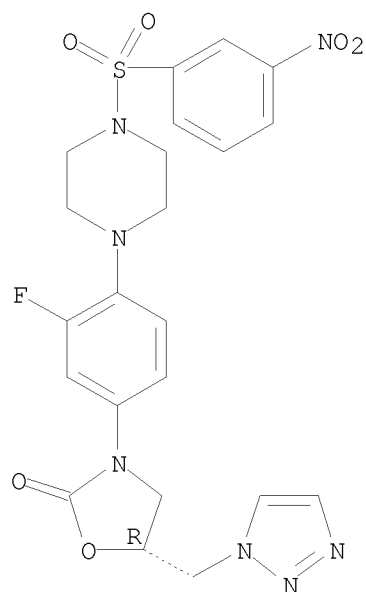
10536687



RN 897655-35-5 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(3-nitrophenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



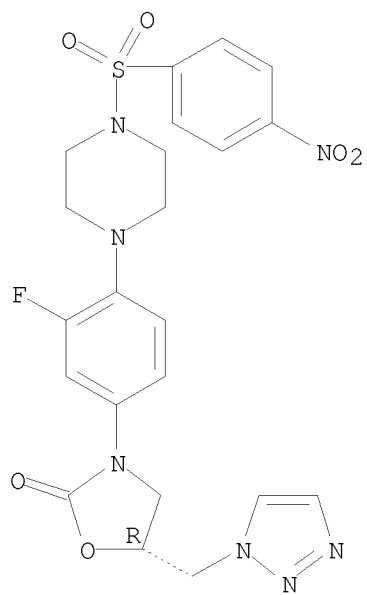
RN 897655-43-5 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(4-nitrophenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Updated Search

10536687

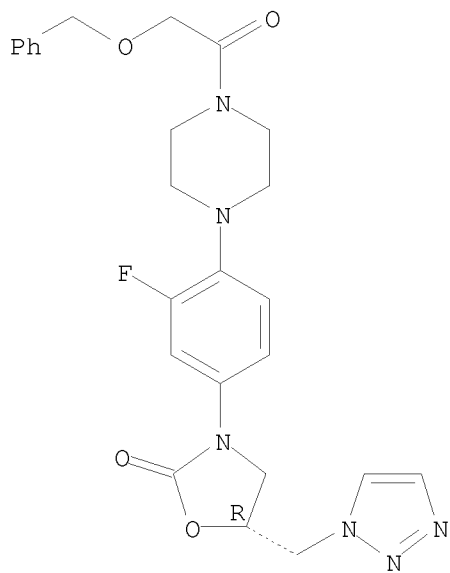
Absolute stereochemistry.



RN 897655-49-1 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[2-(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



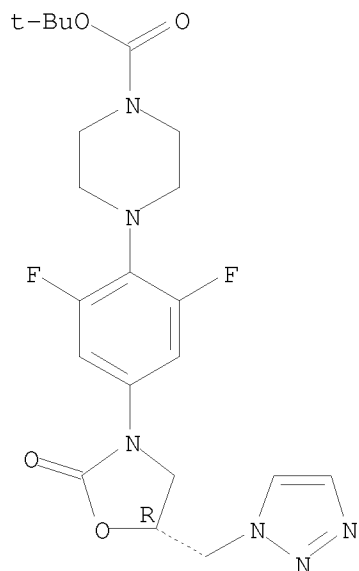
RN 897655-57-1 HCAPLUS

Updated Search

10536687

CN 1-Piperazinecarboxylic acid, 4-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



IT 371195-29-8P 859445-47-9P 859445-49-1P
897655-34-4P 897655-36-6P 897655-37-7P
897655-38-8P 897655-39-9P 897655-40-2P
897655-41-3P 897655-42-4P 897655-44-6P
897655-45-7P 897655-46-8P 897655-47-9P
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897655-63-9P 897655-64-0P 897655-65-1P
897655-66-2P 897655-67-3P 897655-68-4P
897655-69-5P 897655-70-8P 897655-71-9P
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897655-75-3P 897655-76-4P 897655-77-5P
897655-78-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of oxazolidone derivs. as antibacterial agent)

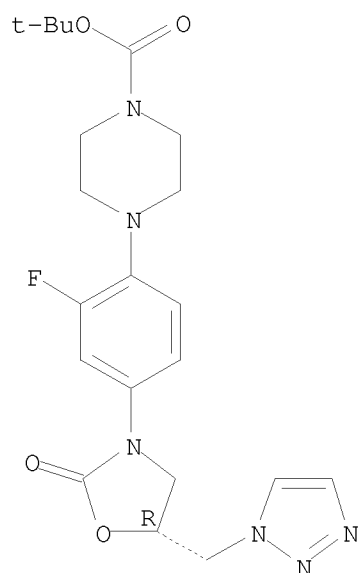
RN 371195-29-8 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

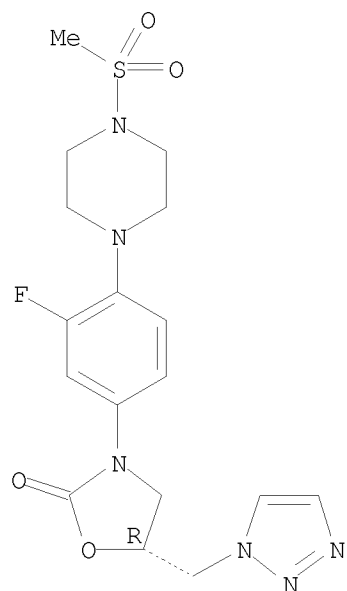
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RN 859445-47-9 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(methanesulfonyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



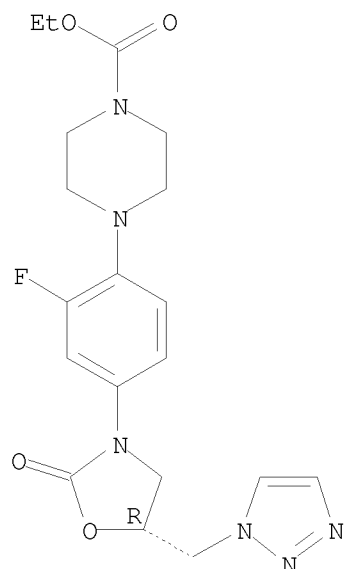
RN 859445-49-1 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

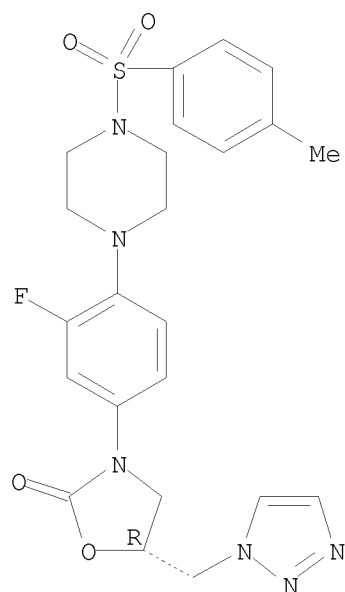
Updated Search

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RN 897655-34-4 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(4-methylphenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

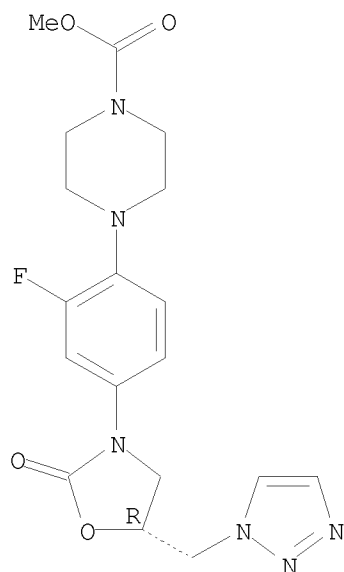


RN 897655-36-6 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, methyl ester (CA INDEX NAME)

Updated Search

10536687

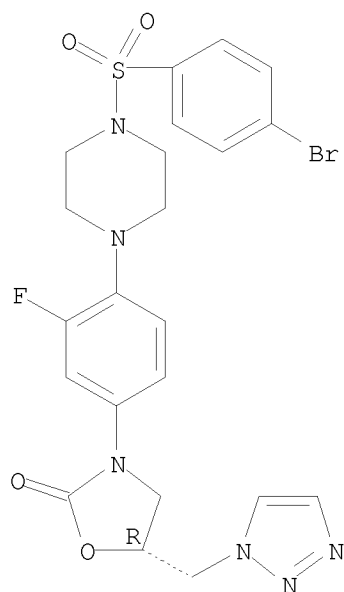
Absolute stereochemistry.



RN 897655-37-7 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-[(4-bromophenyl)sulfonyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 897655-38-8 HCAPLUS

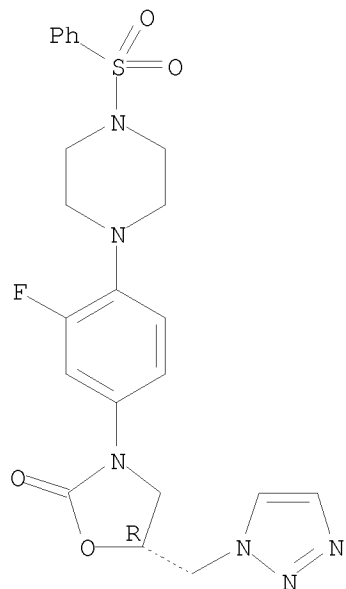
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(phenylsulfonyl)-1-piperazinyl]phenyl]-5-

Updated Search

10536687

(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

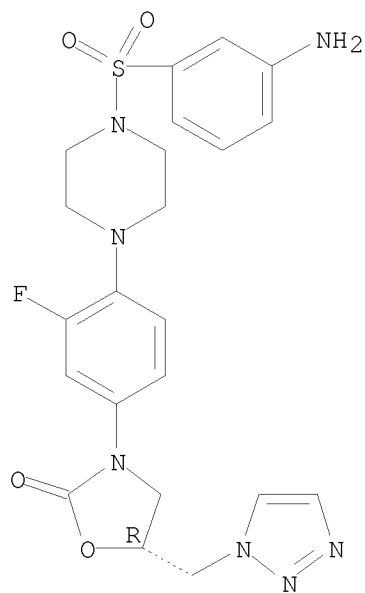
Absolute stereochemistry.



RN 897655-39-9 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-[(3-aminophenyl)sulfonyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



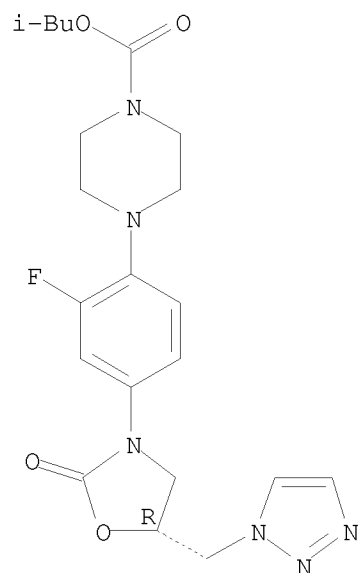
Updated Search

10536687

RN 897655-40-2 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 2-methylpropyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 897655-41-3 HCAPLUS

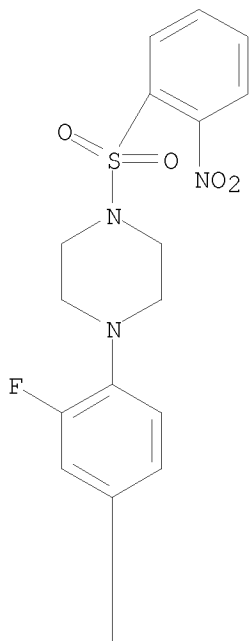
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(2-nitrophenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

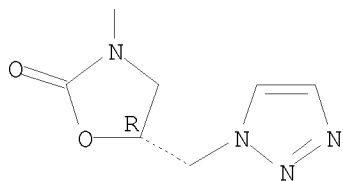
Updated Search

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PAGE 1-A



PAGE 2-A

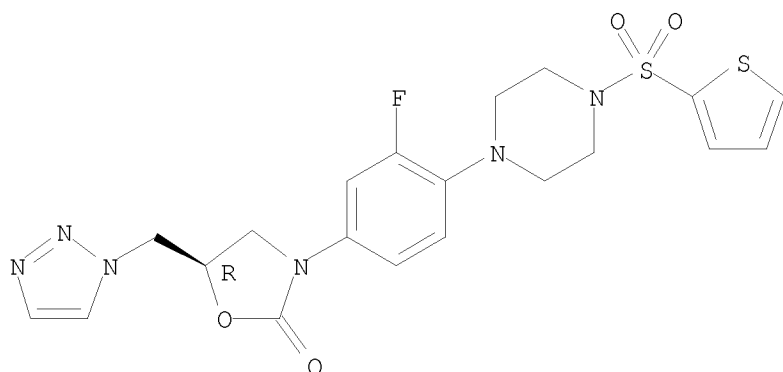


RN 897655-42-4 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(2-thienylsulfonyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

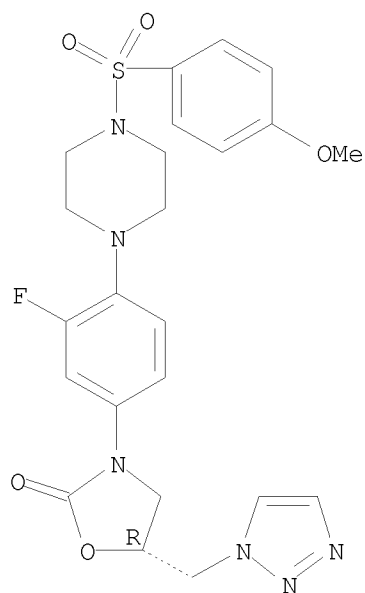
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RN 897655-44-6 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(4-methoxyphenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



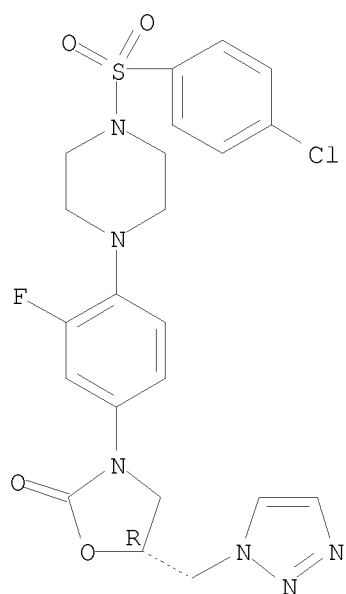
RN 897655-45-7 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-[(4-chlorophenyl)sulfonyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

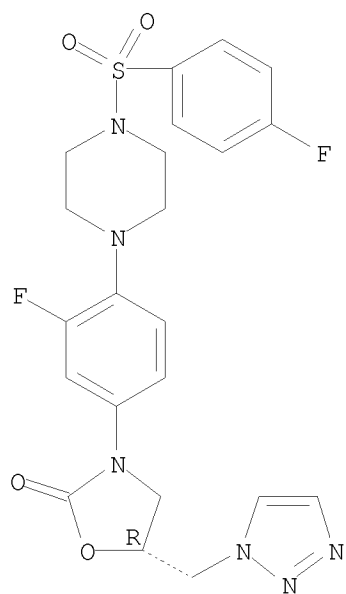
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RN 897655-46-8 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(4-fluorophenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 897655-47-9 HCAPLUS

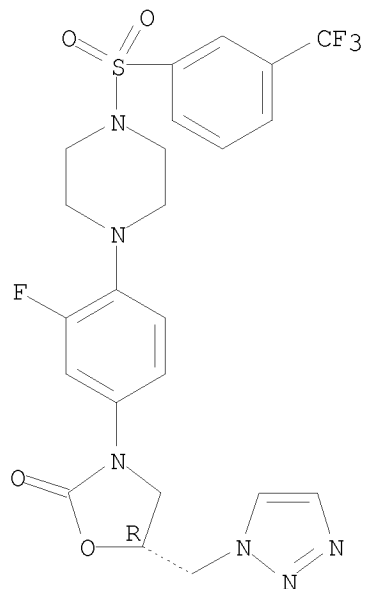
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[3-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Updated Search

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NAME)

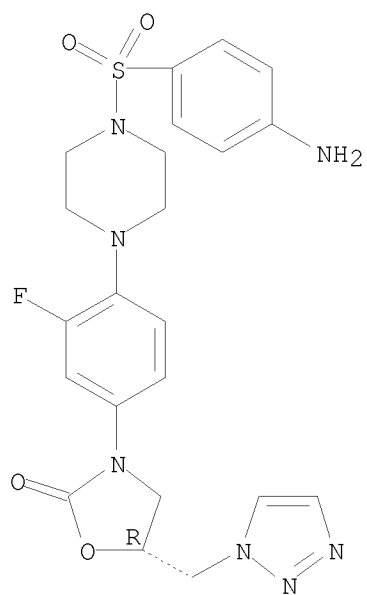
Absolute stereochemistry.



RN 897655-48-0 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-[(4-aminophenyl)sulfonyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



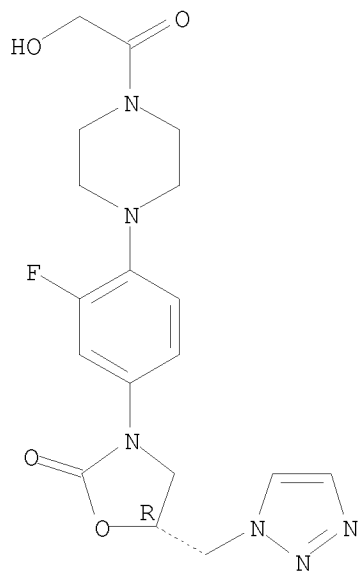
Updated Search

10536687

RN 897655-50-4 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(2-hydroxyacetyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 897655-51-5 HCAPLUS

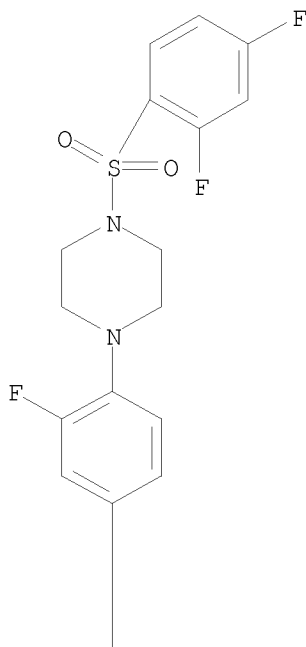
CN 2-Oxazolidinone, 3-[4-[4-[(2,4-difluorophenyl)sulfonyl]-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

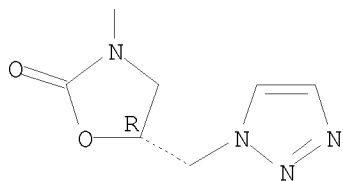
Updated Search

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PAGE 1-A



PAGE 2-A

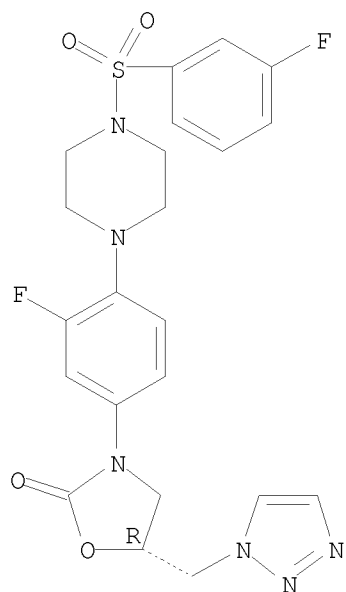


RN 897655-52-6 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[(3-fluorophenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

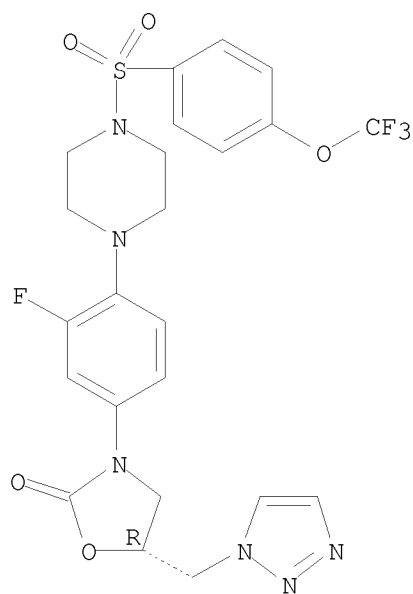
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RN 897655-53-7 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[4-(trifluoromethoxy)phenyl]sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 897655-54-8 HCAPLUS

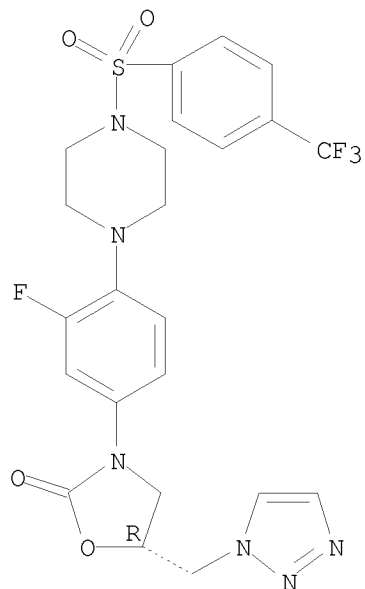
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[4-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Updated Search

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NAME)

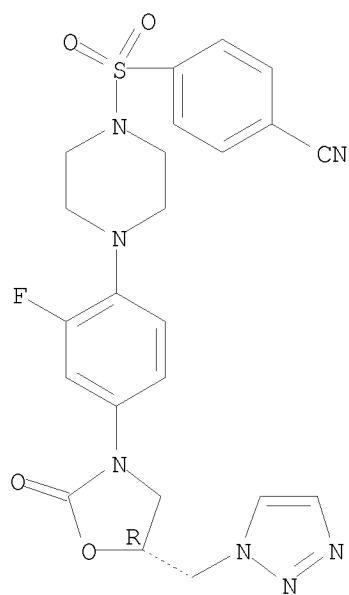
Absolute stereochemistry.



RN 897655-55-9 HCAPLUS

CN Benzonitrile, 4-[[4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1-piperazinyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.



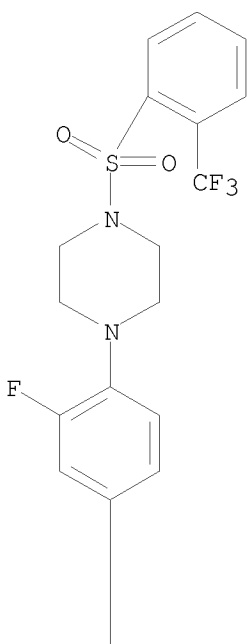
Updated Search

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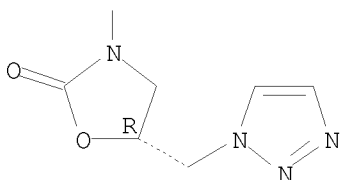
RN 897655-56-0 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-[[2-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

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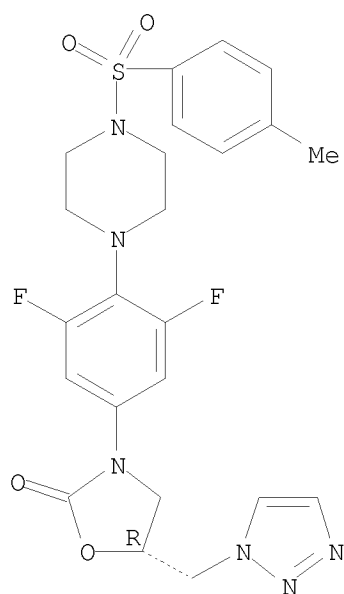


RN 897655-59-3 HCAPLUS
CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[4-[(4-methylphenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

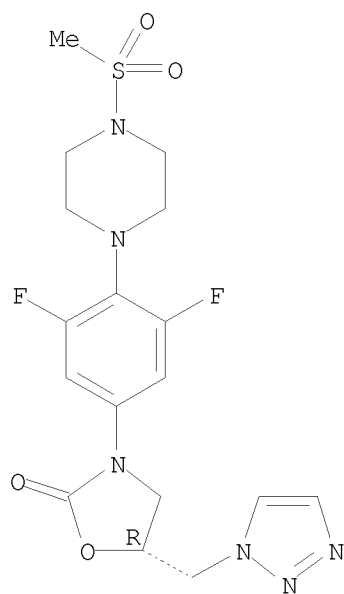
Updated Search

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RN 897655-60-6 HCAPLUS
CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[4-(methylsulfonyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



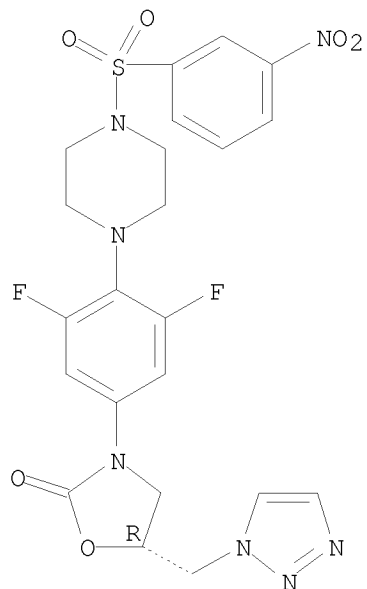
RN 897655-61-7 HCAPLUS
CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[4-[(3-nitrophenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Updated Search

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NAME)

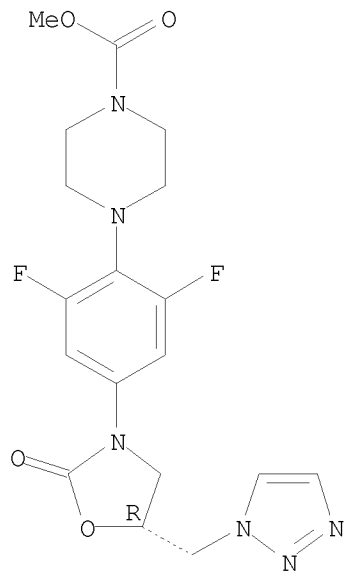
Absolute stereochemistry.



RN 897655-62-8 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



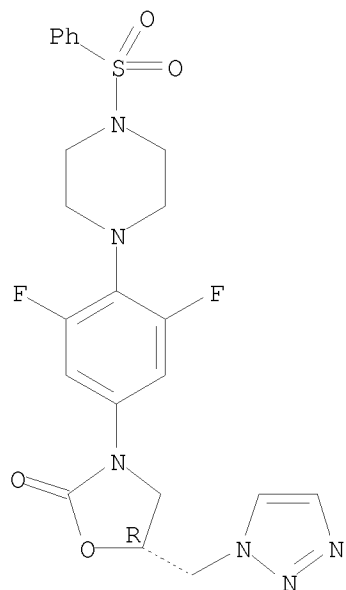
RN 897655-63-9 HCAPLUS

Updated Search

10536687

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[4-(phenylsulfonyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

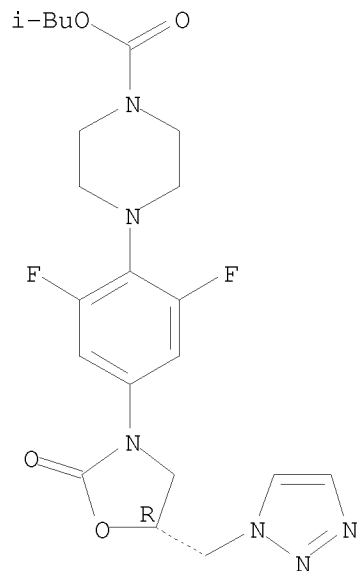
Absolute stereochemistry.



RN 897655-64-0 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 2-methylpropyl ester (CA INDEX NAME)

Absolute stereochemistry.



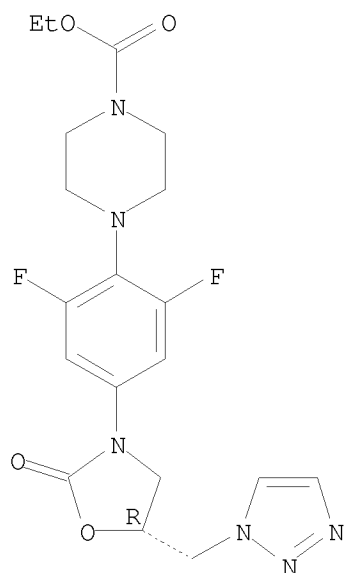
Updated Search

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RN 897655-65-1 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 897655-66-2 HCAPLUS

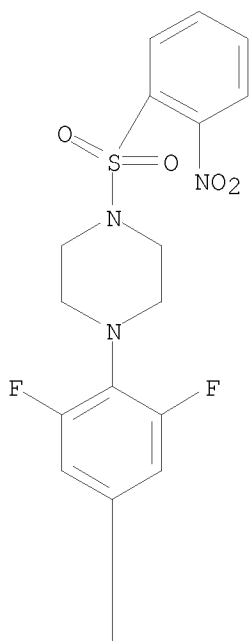
CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[4-[(2-nitrophenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

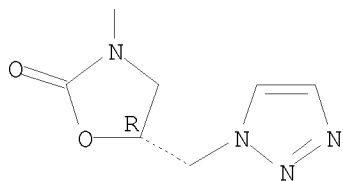
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PAGE 1-A



PAGE 2-A

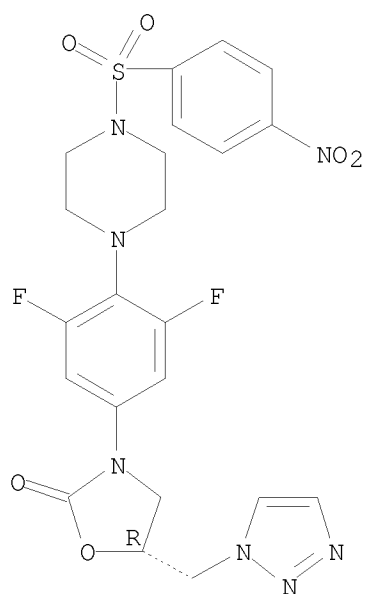


RN 897655-67-3 HCAPLUS
CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[4-[(4-nitrophenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

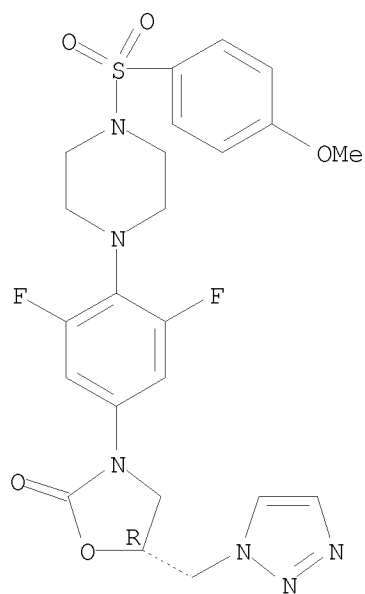
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RN 897655-68-4 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[4-[(4-methoxyphenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



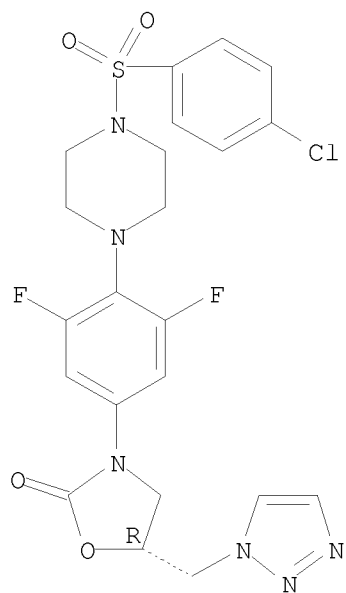
RN 897655-69-5 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-[(4-chlorophenyl)sulfonyl]-1-piperazinyl]-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Updated Search

10536687

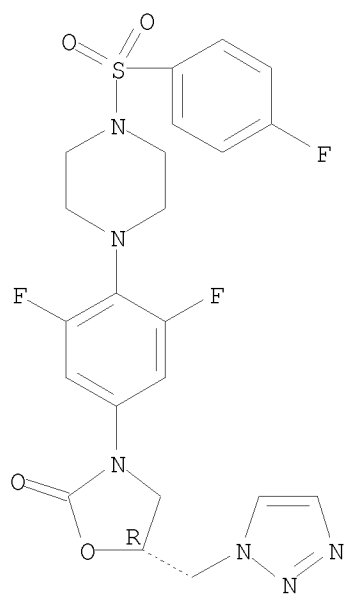
Absolute stereochemistry.



RN 897655-70-8 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[4-[(4-fluorophenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



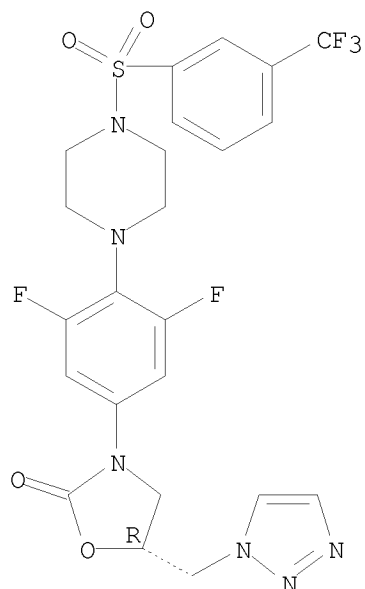
Updated Search

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RN 897655-71-9 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[4-[[3-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



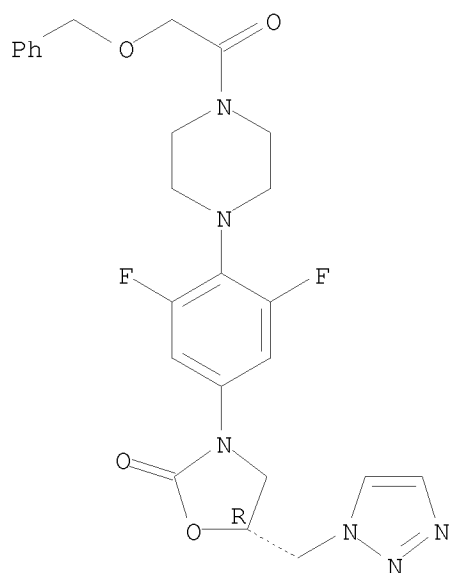
RN 897655-72-0 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[4-[2-(phenylmethoxy)acetyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

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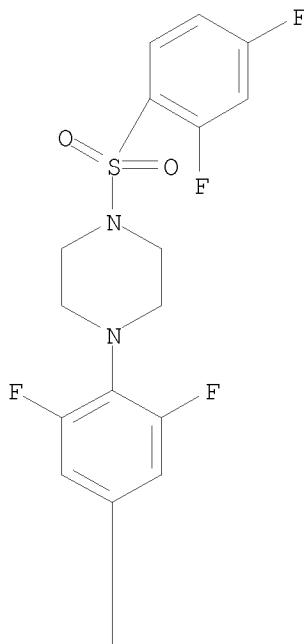


RN 897655-73-1 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-[(2,4-difluorophenyl)sulfonyl]-1-piperazinyl]-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

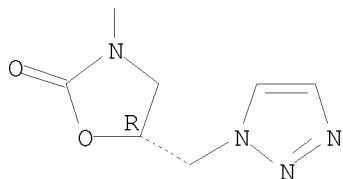
PAGE 1-A



Updated Search

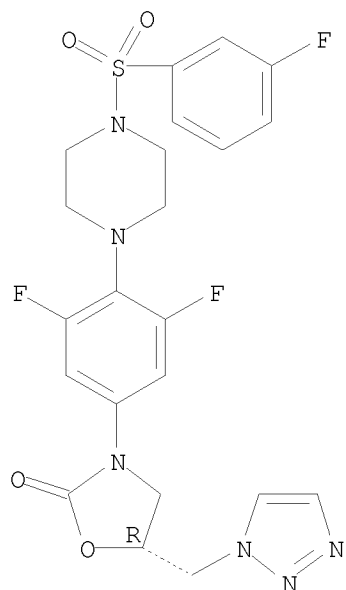
10536687

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RN 897655-74-2 HCAPLUS
CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[4-[(3-fluorophenyl)sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

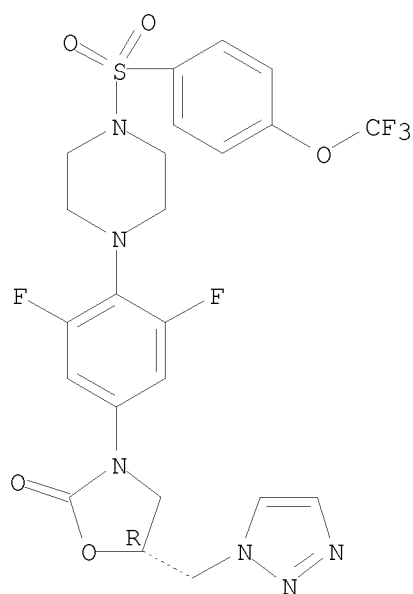


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CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[4-[[4-(trifluoromethoxy)phenyl]sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

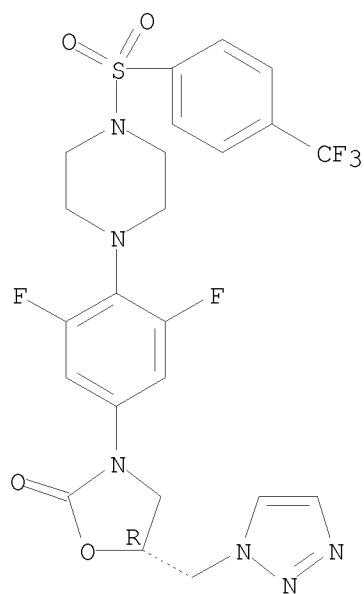
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RN 897655-76-4 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[4-[[4-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



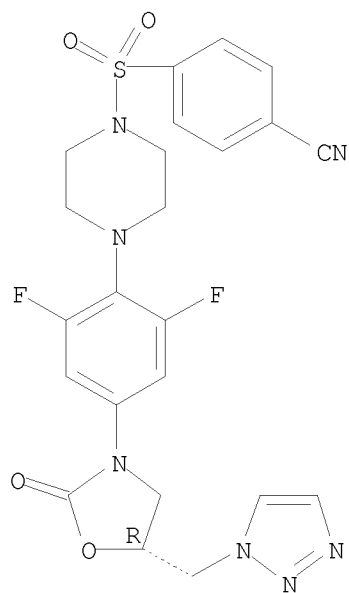
RN 897655-77-5 HCAPLUS

CN Benzonitrile, 4-[[4-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1-piperazinyl]sulfonyl]- (CA INDEX NAME)

Updated Search

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Absolute stereochemistry.

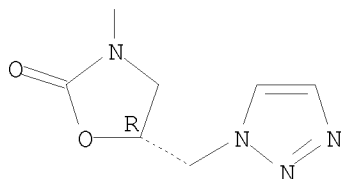
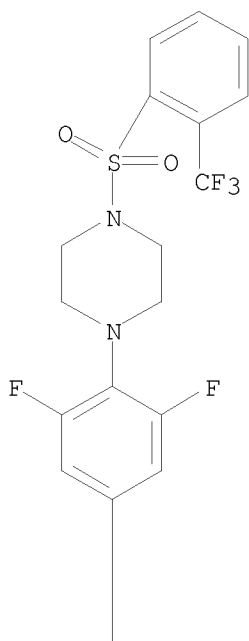


RN 897655-78-6 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[4-[[2-(trifluoromethyl)phenyl]sulfonyl]-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search



L7 ANSWER 16 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:465012 HCAPLUS
 DOCUMENT NUMBER: 143:149749
 TITLE: Synthesis and antibacterial activity of new N-linked 5-triazolylmethyl oxazolidinones
 AUTHOR(S): Phillips, Oludotun A.; Udo, Edet E.; Ali, Ahmed A. M.; Samuel, Santhosh M.
 CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Kuwait University, Safat, 13110, Kuwait
 SOURCE: Bioorganic & Medicinal Chemistry (2005), 13(12), 4113-4123
 CODEN: BMECEP; ISSN: 0968-0896
 PUBLISHER: Elsevier Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 143:149749
 AB A new series of N-linked 5-triazolylmethyl oxazolidinones with varying

10536687

substitution at the piperazine nitrogen 4-position were synthesized and tested against a panel of Gram-pos. and Gram-neg. bacteria including clin. isolates. Most of the compds. showed excellent antibacterial activity against susceptible and resistant Gram-pos. organisms. One of the compds. showed enhanced antibacterial activity against *Moraxella catarrhalis*.

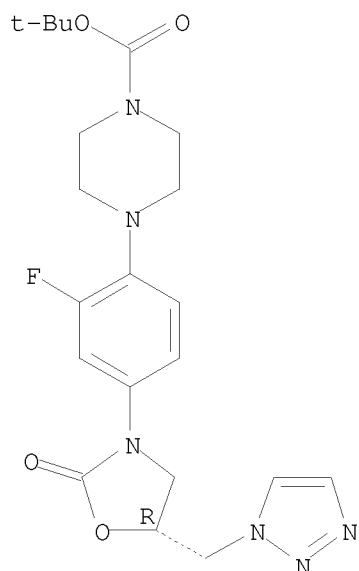
IT 371195-29-8P

RL: BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (synthesis and antibacterial activity of new N-linked 5-triazolylmethyl oxazolidinones)

RN 371195-29-8 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



IT 859445-41-3P 859445-42-4P 859445-43-5P
859445-44-6P 859445-45-7P 859445-46-8P
859445-47-9P 859445-48-0P 859445-49-1P
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859445-56-0P

RL: BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (synthesis and antibacterial activity of new N-linked 5-triazolylmethyl oxazolidinones)

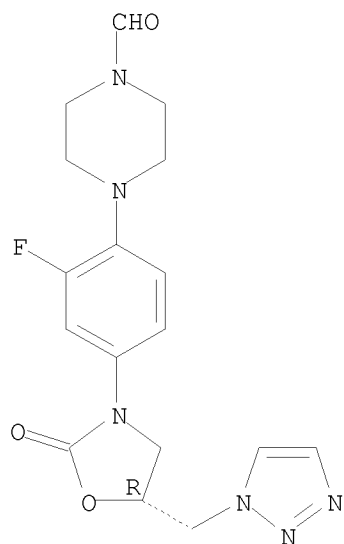
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CN 1-Piperazinecarboxaldehyde, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

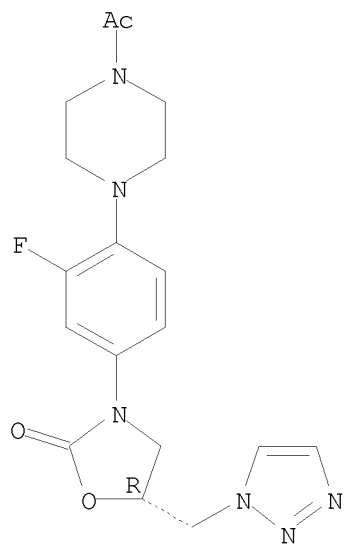
10536687



RN 859445-42-4 HCAPLUS

CN 2-Oxazolidinone, 3-[4-(4-acetyl-1-piperazinyl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



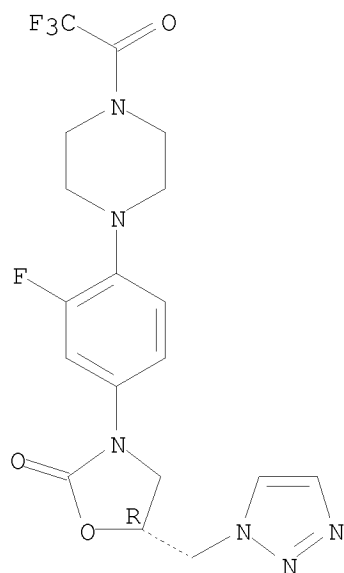
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CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(2,2,2-trifluoroacetyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

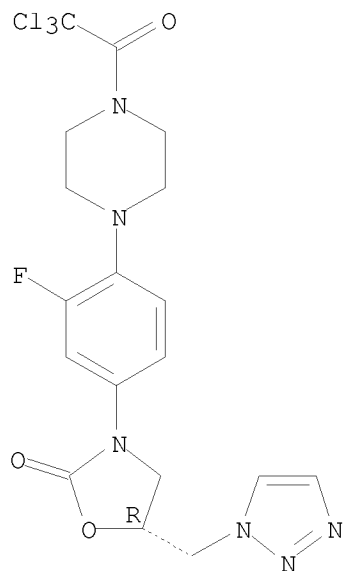
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RN 859445-44-6 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(2,2,2-trichloroacetyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



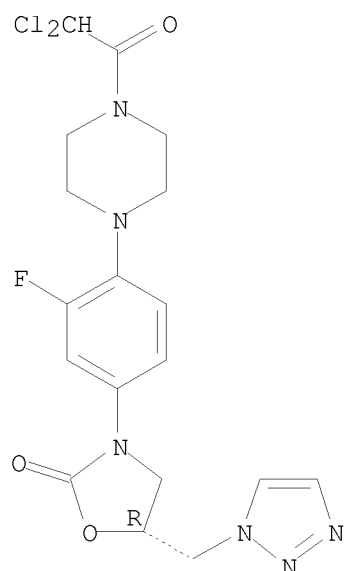
RN 859445-45-7 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-(2,2-dichloroacetyl)-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

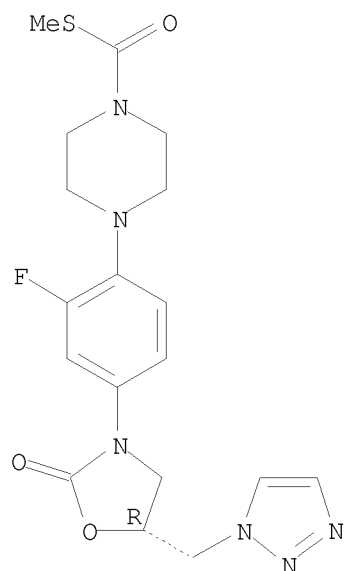
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RN 859445-46-8 HCAPLUS

CN 1-Piperazinecarbothioic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, S-methyl ester (CA INDEX NAME)

Absolute stereochemistry.



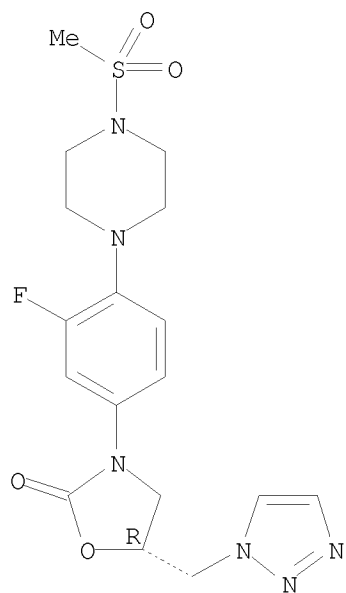
RN 859445-47-9 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(methylsulfonyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Updated Search

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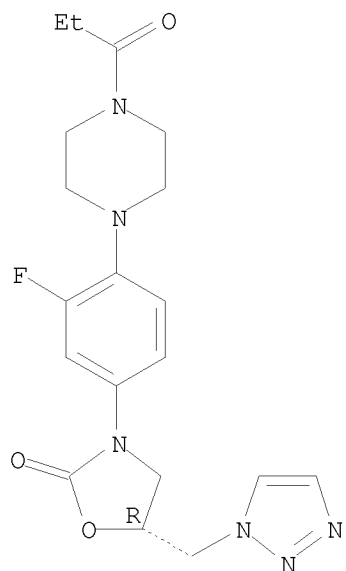
Absolute stereochemistry.



RN 859445-48-0 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(1-oxopropyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



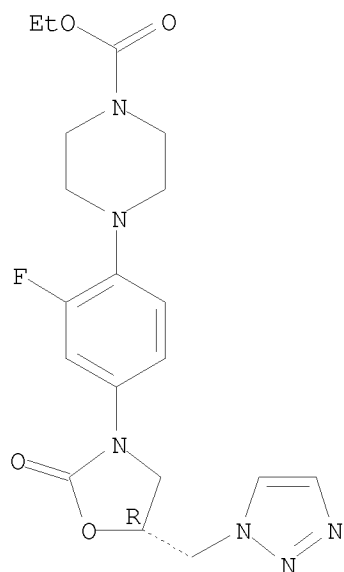
RN 859445-49-1 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, ethyl ester (CA INDEX NAME)

Updated Search

10536687

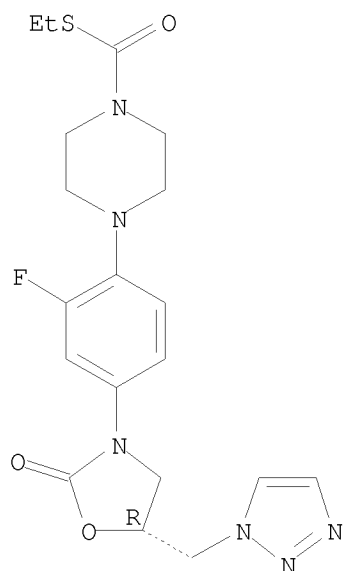
Absolute stereochemistry.



RN 859445-50-4 HCAPLUS

CN 1-Piperazinecarbothioic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, S-ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 859445-51-5 HCAPLUS

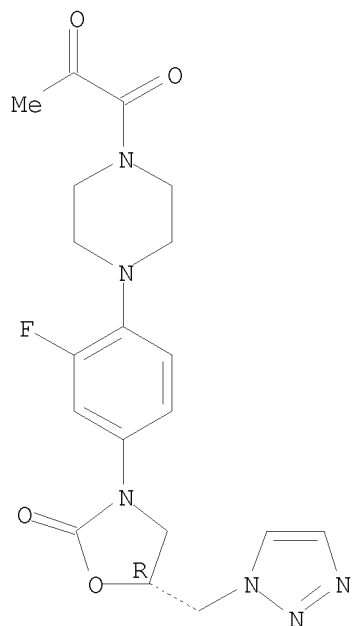
CN 1,2-Propanedione, 1-[4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-

Updated Search

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ylmethyl)-3-oxazolidinyl]phenyl]-1-piperazinyl]- (CA INDEX NAME)

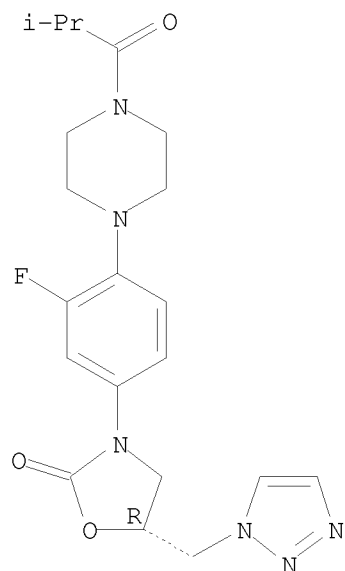
Absolute stereochemistry.



RN 859445-52-6 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(2-methyl-1-oxopropyl)-1-piperazinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



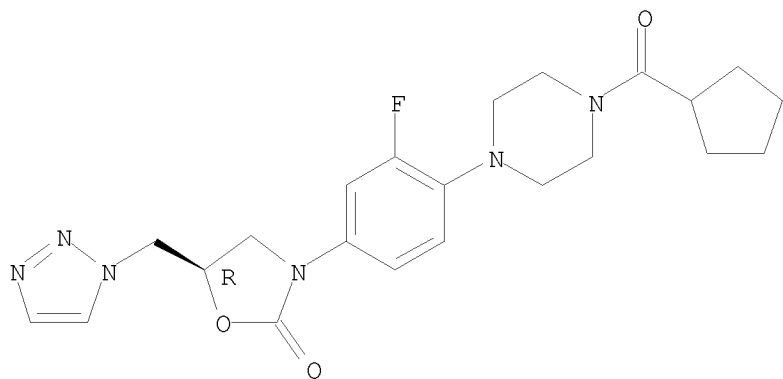
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RN 859445-53-7 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-(cyclopentylcarbonyl)-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

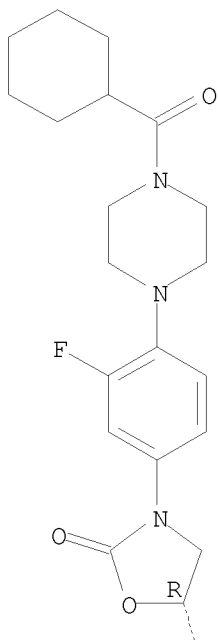


RN 859445-54-8 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[4-(cyclohexylcarbonyl)-1-piperazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

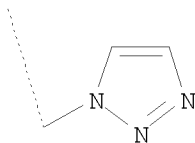
PAGE 1-A



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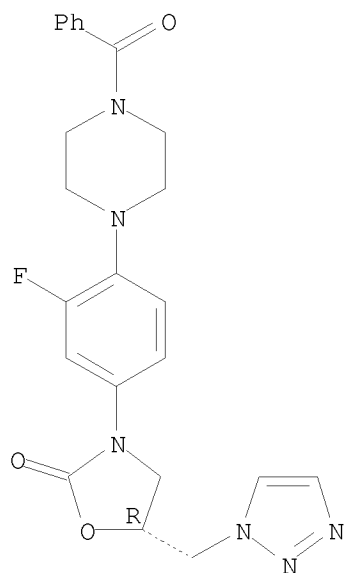
PAGE 2-A



RN 859445-55-9 HCAPLUS

CN 2-Oxazolidinone, 3-[4-(4-benzoyl-1-piperazinyl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



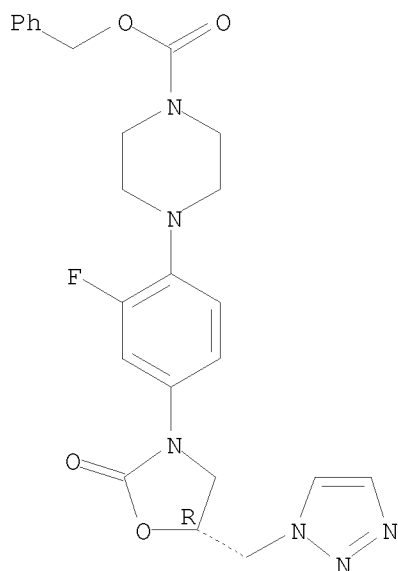
RN 859445-56-0 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.

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REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:409511 HCAPLUS

DOCUMENT NUMBER: 142:463731

TITLE: A preparation of novel oxazolidinone derivatives, useful as antibacterial agents

INVENTOR(S): Kang, Jae-Hoon; Park, Chun-Ho; Kwon, Jin-Sun

PATENT ASSIGNEE(S): Il-Dong Pharm. Co., Ltd., S. Korea

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

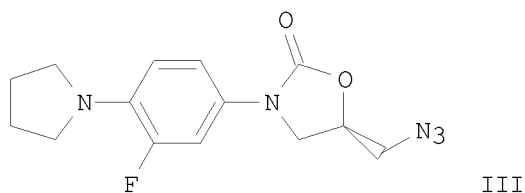
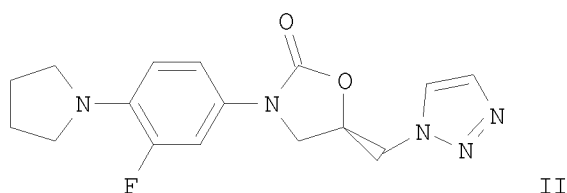
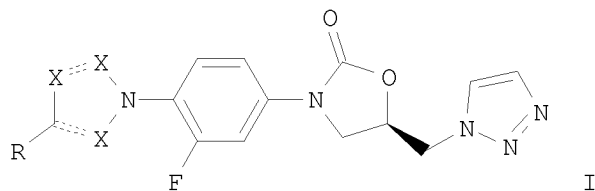
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042523	A1	20050512	WO 2004-KR2805	20041103
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
KR 2005042446	A	20050509	KR 2004-82328	20041014
PRIORITY APPLN. INFO.:			KR 2003-77372	A 20031103
			KR 2004-82328	A 20041014

Updated Search

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OTHER SOURCE(S): CASREACT 142:463731; MARPAT 142:463731
GI



AB The invention relates to a preparation of novel oxazolidinone derivs. of formula I (R is H, amide, aldehyde, or nitrile, etc.; each X is independently N or CH), useful as antibacterial agents. For instance, oxazolidinone derivative II [MIC ($\mu\text{g/mL}$): str. pyogenes 77A - 0.4, s. aureus 285 - 0.8, MRSA 2 - 1.6; LD50 >5000 mg/kg] was prepared via 1,3-dipolar cycloaddn. of vinyl acetate to (azidomethyl)oxazolidinone derivative III with a yield of 74%.

IT 851529-81-2P 851529-82-3P 851529-83-4P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel oxazolidinone derivs. useful as antibacterial agents)

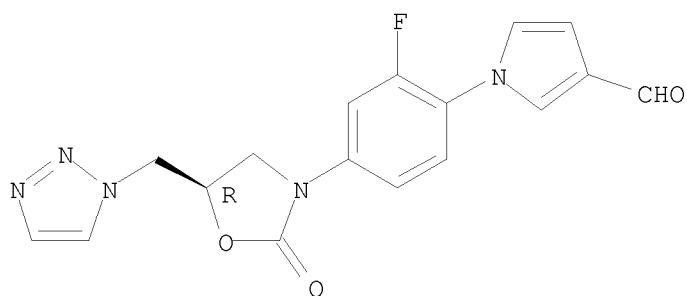
RN 851529-81-2 HCAPLUS

CN 1H-Pyrrole-3-carboxaldehyde, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

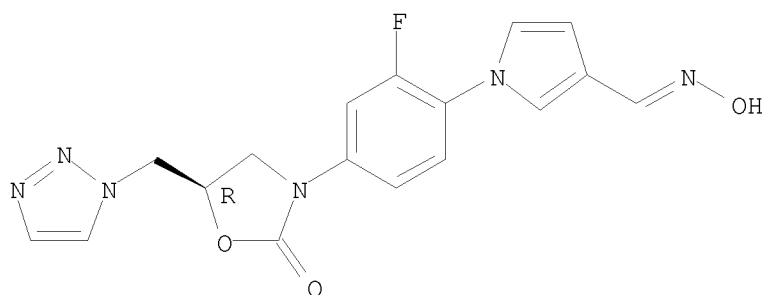
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RN 851529-82-3 HCAPLUS

CN 1H-Pyrrole-3-carboxaldehyde, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 3-oxime (CA INDEX NAME)

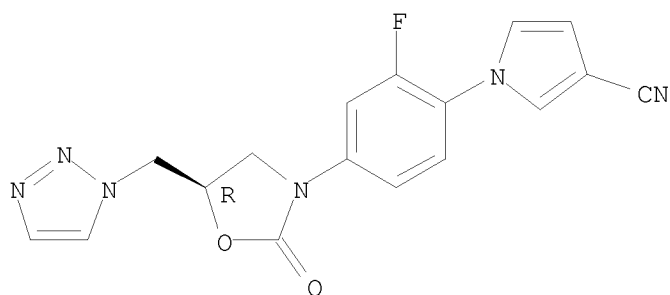
Absolute stereochemistry.
Double bond geometry unknown.



RN 851529-83-4 HCAPLUS

CN 1H-Pyrrole-3-carbonitrile, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 851529-89-0P 851529-92-5P 851529-95-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel oxazolidinone derivs. useful as antibacterial agents)

RN 851529-89-0 HCAPLUS

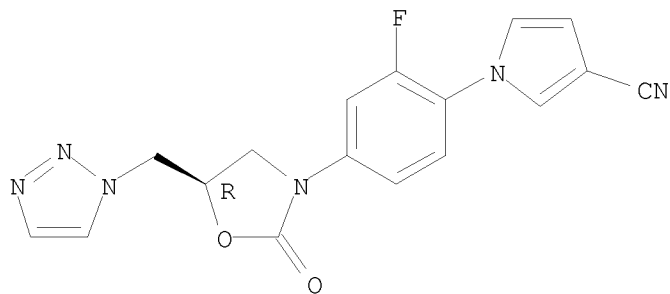
CN 1H-Pyrrole-3-carbonitrile, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-

Updated Search

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ylmethyl)-3-oxazolidinyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 851529-92-5 HCAPLUS

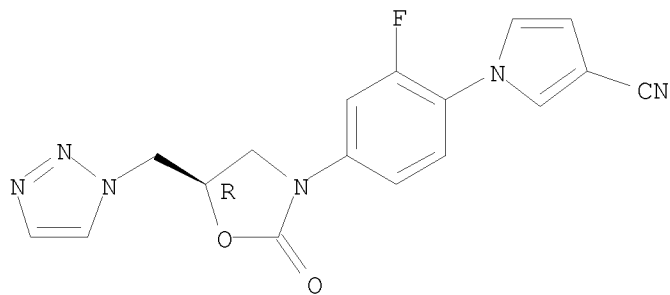
CN 1H-Pyrrole-3-carbonitrile, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, sulfate (1:1) (CA INDEX NAME)

CM 1

CRN 851529-83-4

CMF C17 H13 F N6 O2

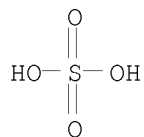
Absolute stereochemistry.



CM 2

CRN 7664-93-9

CMF H2 O4 S



Updated Search

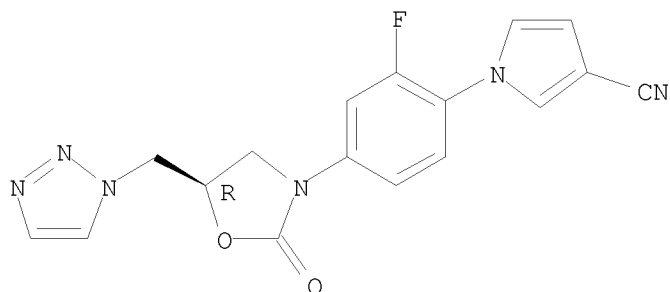
10536687

RN 851529-95-8 HCAPLUS
CN 1H-Pyrrole-3-carbonitrile, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (CA INDEX NAME)

CM 1

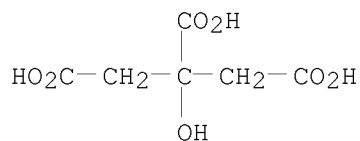
CRN 851529-83-4
CMF C17 H13 F N6 O2

Absolute stereochemistry.



CM 2

CRN 77-92-9
CMF C6 H8 O7



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:347264 HCAPLUS
DOCUMENT NUMBER: 142:404216
TITLE: Fluorescent probes for ribosomes and method of use
INVENTOR(S): Ma, Zhenkun; Li, Jing; Kim, In Ho; Jin, Yafei; Lynch, Anthony Simon; Roche, Eric; Beeman, Doug
PATENT ASSIGNEE(S): Cumbre Inc., USA
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

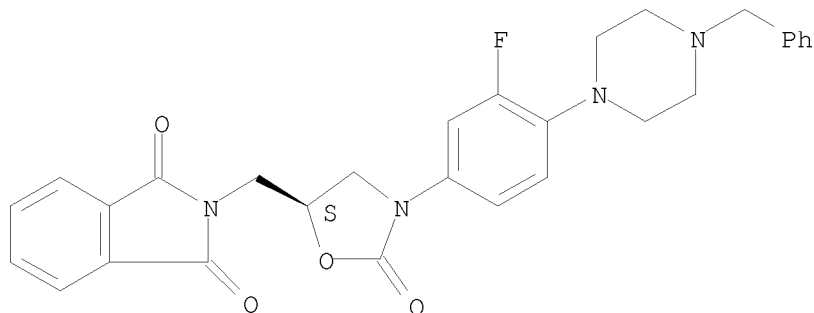
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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Updated Search

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WO 2005036169      A2      20050421      WO 2004-US32196      20040930
WO 2005036169      A3      20050909
W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
    CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
    GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
    LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
    NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
    TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW:  BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
    AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
    EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
    SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
    SN, TD, TG
US 20050118624      A1      20050602      US 2004-954996      20040930
PRIORITY APPLN. INFO.:      US 2003-508401P      P 20031003
OTHER SOURCE(S):      MARPAT 142:404216
AB  Fluorescent probes are disclosed that have binding affinity to ribosomes.
    The fluorescent probes are useful tools for identifying small mols. that
    bind to the 50S or 30S subunits of the bacterial and other ribosome
    inhibitors. These probes are also useful for determining the interactions
    between a specific ligand and the ribosome. Preparation of
    antibiotic-fluorophor conjugates is included.
IT  850220-41-6P
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
    (Reactant or reagent)
    (fluorescent probes for ribosomes and method of use)
RN  850220-41-6 HCAPLUS
CN  1H-Isoindole-1,3(2H)-dione, 2-[[ (5S)-3-[3-fluoro-4-[4-(phenylmethyl)-1-
    piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)
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Absolute stereochemistry.



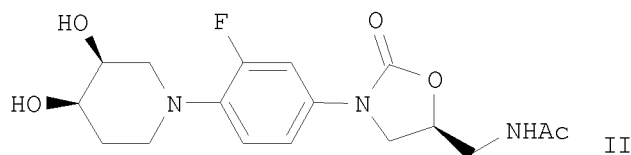
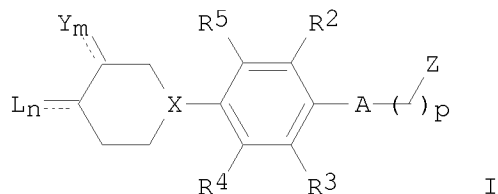
L7 ANSWER 19 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:182660 HCAPLUS
DOCUMENT NUMBER: 142:280197
TITLE: Preparation of aryloxazolidinone derivatives as
antimicrobial agents
INVENTOR(S): Gordeev, Mikhail; Wang, Qiang
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2

Updated Search

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DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019214	A1	20050303	WO 2004-IB2669	20040813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2536480	A1	20050303	CA 2004-2536480	20040813
EP 1660488	A1	20060531	EP 2004-744290	20040813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004013838	A	20061024	BR 2004-13838	20040813
JP 2007503426	T	20070222	JP 2006-524441	20040813
US 20050065187	A1	20050324	US 2004-924752	20040824
MX 2006PA02188	A	20060427	MX 2006-PA2188	20060224
PRIORITY APPLN. INFO.:			US 2003-497531P	P 20030825
			WO 2004-IB2669	W 20040813
OTHER SOURCE(S):		CASREACT 142:280197; MARPAT 142:280197		
GI				



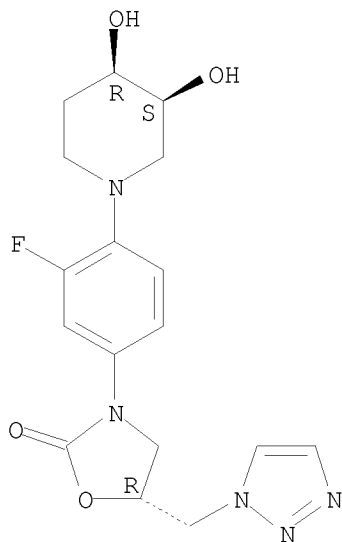
AB Title compds. represented by the formula I [wherein A = isoxazolin-3,5-diyl, 2-oxazolinone-3,5-diyl, 2-furanone-3,5-diyl, 5-isoxazolinone-2,4-diyl; X = N or C; Z = oxy-isoxazolyl, (oxy)-1,2,3-triazolyl, aminocarbonylmethyl, etc.; R2-R5 = independently H,

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Cl, F, Me, NH₂, or OH; L, Y = independently H, OH, F, O, NOH, NOalkyl; m, n, p = independently 0 or 1; and pharmaceutically acceptable salts thereof] were prepared as antimicrobial agents. For example, II was given in a multi-step synthesis starting from the reaction of 1,2,3,6-tetrahydropyridine with 3,4-difluoronitrobenzene. I were tested for inhibition against SAUR 9213, SPNE 9912, HINF 30063 and MC 30603 with MIC values of 0.25-64 µg/mL. Thus, I and their pharmaceutical compns. are useful as antibacterial agents for the treatment of a gram-neg. microbial infection.

IT 847256-81-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aryloxazolidinone derivs. as antimicrobial agents)
RN 847256-81-9 HCAPLUS
CN 2-Oxazolidinone, 3-[4-[(3S,4R)-3,4-dihydroxy-1-piperidinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

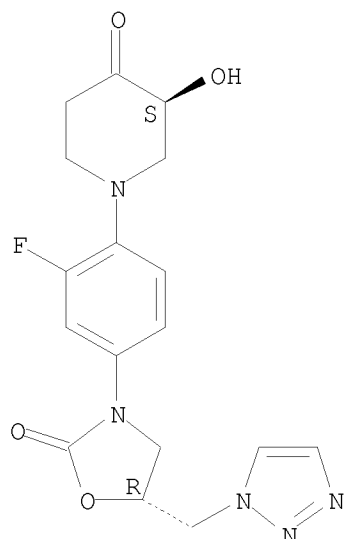


IT 847256-82-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryloxazolidinone derivs. as antimicrobial agents)
RN 847256-82-0 HCAPLUS
CN 4-Piperidinone, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-hydroxy-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

10536687



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 20 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:58200 HCAPLUS

DOCUMENT NUMBER: 142:155957

TITLE: Preparation of 3-aryloxazolidin-2-one derivatives as antibiotics

INVENTOR(S): Hammond, Milton L.; Fukuda, Yasumichi

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Kyorin Pharmaceutical Co., Ltd.

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

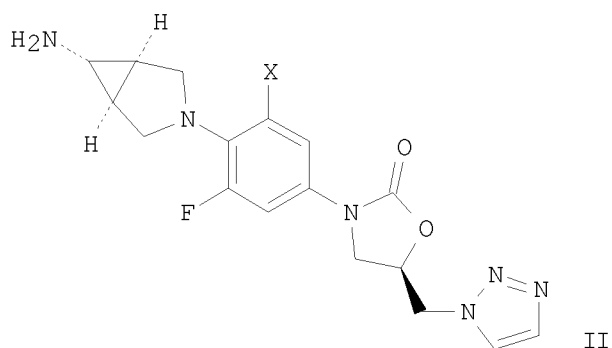
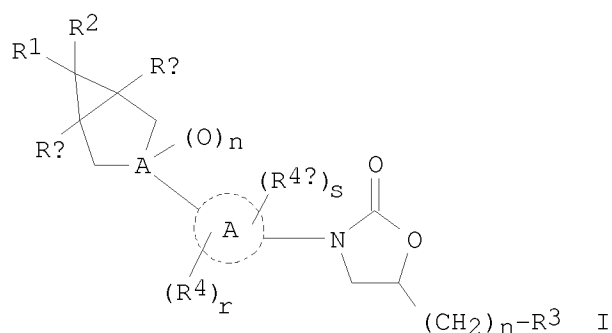
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005005422	A1	20050120	WO 2004-US20738	20040629
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004256086	A1	20050120	AU 2004-256086	20040629
AU 2004256086	B2	20071206		
CA 2529294	A1	20050120	CA 2004-2529294	20040629

Updated Search

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EP 1646630	A1	20060419	EP 2004-777200	20040629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
CN 1816547	A	20060809	CN 2004-80018955	20040629
JP 2007521284	T	20070802	JP 2006-517740	20040629
US 20070293493	A1	20071220	US 2007-559868	20070302
PRIORITY APPLN. INFO.:			US 2003-483901P	P 20030702
			US 2004-546985P	P 20040224
			WO 2004-US20738	W 20040629
OTHER SOURCE(S):			CASREACT 142:155957; MARPAT 142:155957	
GI				



AB New oxazolidinones having a cyclopropyl moiety (I) [R¹, R² = independently H, NR⁵R⁶, CR⁷R⁸R⁹, C(R)²OR¹⁴, CH₂NHR¹⁴, C(O)R¹³, C(:NOH)H, C(:NOR¹³)H, C(:NR¹³)R¹³, C(:NOR³³)R, C(O)N(R¹³)₂, C(O)N(R¹³)₂, C(=NOH)N(R¹³)₂, NHC(:X¹)N(R¹³)₂, (C:NH)R⁷, N(R¹³)C(:X¹)N(R¹³)₂, CO₂R¹³, SO₂R¹⁴, N(R¹³)SO₂R¹⁴, N(R¹³)COR¹⁴, cyano-C₁₋₆ alkyl, cyano, CH:C(R)₂, etc.; A = C, CH, N; --- represents an optional bond; ring A = aryl, heteroaryl, heterocyclyl; R_x = H, C₁₋₆ alkyl; R³ = (un)substituted aromatic heterocyclic group containing at least one nitrogen in the ring which is attached through a bond on any N; R⁴, R^{4a} = H, halogen, C₁₋₆ alkoxy, C₁₋₆ alkyl; r, s = 1-3, provided that r+s≤4; R⁵, R⁶ = H, each (un)substituted C₁₋₆ alkyl, C₁₋₆ acyl, or C₁₋₆ alkylsulfonyl, etc.; R⁷ = H, halo, cyano, CO₂R, CON(R)₂, CHO, CH₂NHAc, C(:NOR), OH, C₁₋₆ alkoxy, C₁₋₆ alkyl, alkenyl, (CH₂)_nNH₂, etc.; R⁸, R⁹ = H, cyano, each (un)substituted C₁₋₆ alkyl or Ph; X¹ = O, S, NR¹³, NCN, NCO₂R¹⁶, or NSO₂R¹⁴; R¹³ = H, C₁₋₆ alkyl, C₆₋₁₀

Updated Search

aryl, NR5R6, SR8, S(O)R8, S(O)2R8, cyano, OH, C1-6 alkoxy carbonyl, CO₂H, etc.; R14 = amino, C1-6 alkyl, C1-6 haloalkyl, (un)substituted Ph, etc.; R15 = C1-6 alkyl or (un)substituted benzyl; m, n, p, q = 0, 1], enantiomers, diastereomers, or pharmaceutically acceptable salts, hydrates, or prodrugs thereof are prepared. These compds. are effective as antibacterial agents against aerobic and anaerobic pathogens such as multi-resistant staphylococci, streptococci and enterococci, *Bacteroides* sp., *Clostridia* sp., as well as acid-fast organisms such as *Mycobacterium tuberculosis* and other mycobacterial species. They are coadministered with vitamin B₂, vitamin B₄, vitamin B₁₂, or folic acid to prevent or treat oxazolidinone-associated side effect such as normocytic anemia, peripheral sensory neuropathy, sideroblastic anemia, peripheral sensory neuropathy, optic neuropathy, seizures, thrombocytopenia, cheilosis, hypo-regenerative anemia, megaloblastic anemia and seborrheic dermatitis. Thus, (R)-5-azidomethyl-3-[4-[(1 α , 5 α , 6 α)-6-(tert-butoxycarbonylamino)-3-azabicyclo[3.1.0]hexan-3-yl]-3-fluorophenyl]oxazolidin-2-one (370 mg) and 2,5-norbornadiene (891 mg) in dioxane (65 mL) was heated at 70° for 6 h, and then concentrated in vacuo. A suspension of the residue in diethylene glycol di-Me ether (18.5 mL) was heated at 140° for 10 min to give 1-[(5R)-3-[4-[(1 α , 5 α , 6 α)-6-(tert-butoxycarbonylamino)-3-azabicyclo[3.1.0]hexan-3-yl]-3-fluorophenyl]-2-oxoxazolidin-5-ylmethyl]-1,2,3-triazole which was treated with 12 N HCl/MeOH at room temperature for

10.5

h to give, after workup, compound (II) (X = H). II (X = F) showed min. inhibitory concentration of 0.25, 0.25, 1, and 1 μ g/mL against methicillin-resistant *Staphylococcus aureus*, penicillin- and quinolone-resistant *S. pneumoniae*, *S. pyogenes* IID692, vancomycin- and quinolone-resistant *Enterococcus faecium*, and *Moraxella catarrhalis* ATCC25238, resp.

IT 828252-93-3P 828252-94-4P

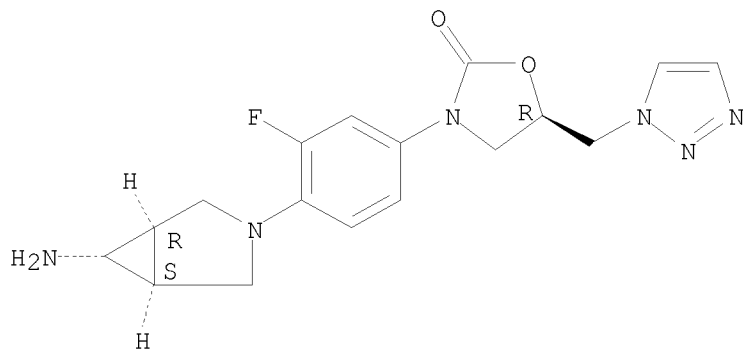
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryloxazolidin-2-one derivs. as antibacterial agents)

RN 828252-93-3 HCAPLUS

CN 2-Oxazolidinone, 3-[4-(6-amino-3-azabicyclo[3.1.0]hex-3-yl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



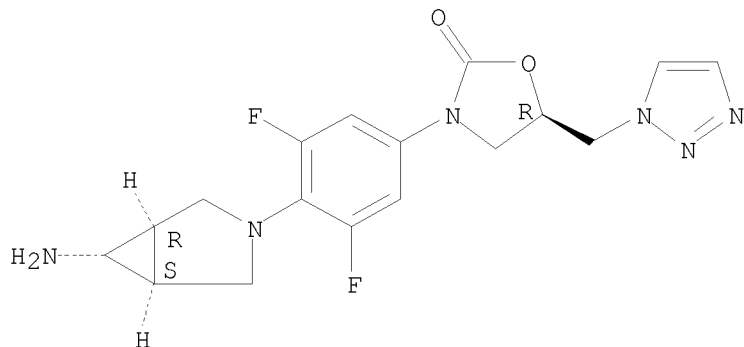
RN 828252-94-4 HCAPLUS

Updated Search

10536687

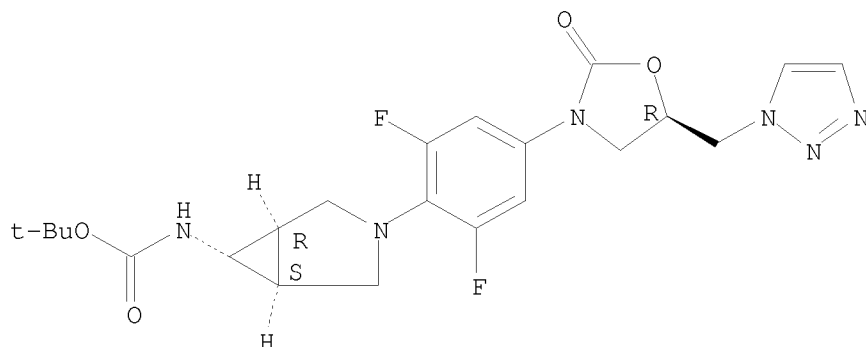
CN 2-Oxazolidinone, 3-[4-(6-amino-3-azabicyclo[3.1.0]hex-3-yl)-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 828252-99-9P 828253-00-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aryloxazolidin-2-one derivs. as antibacterial agents)
RN 828252-99-9 HCAPLUS
CN Carbamic acid, [(1 α ,5 α ,6 α)-3-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-azabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

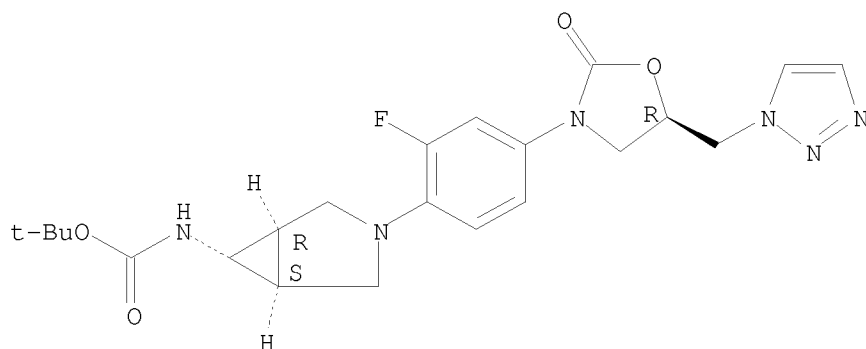


RN 828253-00-5 HCAPLUS
CN Carbamic acid, [(1 α ,5 α ,6 α)-3-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-azabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

10536687



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:58198 HCAPLUS

DOCUMENT NUMBER: 142:155938

TITLE: Preparation of cyclopropyl group substituted oxazolidinones as antibiotics

INVENTOR(S): Fukuda, Yasumichi

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Kyorin Pharmaceutical Co., Ltd.

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005005420	A1	20050120	WO 2004-US20737	20040629
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004256085	A1	20050120	AU 2004-256085	20040629
AU 2004256085	B2	20071206		
CA 2529293	A1	20050120	CA 2004-2529293	20040629
EP 1654259	A1	20060510	EP 2004-777199	20040629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
CN 1816545	A	20060809	CN 2004-80018905	20040629
JP 2007521283	T	20070802	JP 2006-517739	20040629
US 20070203187	A1	20070830	US 2007-655840	20070122
US 20070185132	A1	20070809	US 2007-559869	20070319

Updated Search

10536687

PRIORITY APPLN. INFO.:	US 2003-483904P	P 20030702
	US 2004-546980P	P 20040224
	US 2004-546984P	P 20040224
	US 2004-878637	A3 20040629
	WO 2004-US20737	W 20040629

OTHER SOURCE(S): CASREACT 142:155938; MARPAT 142:155938

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Oxazolidinones I and II [wherein R1, R2 = independently H, NH2, CH3 and derivs., CHO and derivs., CONH2 and derivs., SO2H and derivs., (un)substituted heterocyclyl, etc.; Y, Z = (un)substituted arylene, heteroarylene; R1a = defined as R1 less H, V = O, H, OH, or halo; A = C or N with provisos; Rx = H, alkyl; R3 =NHC(:O)H and derivs., NHSO2H and derivs., (un)substituted NH-heteroaryl, etc.; B = (CH2)n; n = 0-1; and their enantiomers, diastereomers, or their pharmaceutically acceptable salts, esters, hydrates or prodrugs] are effective against aerobic and anaerobic pathogens such as multi-resistant Staphylococci, Streptococci and Enterococci, Bacteroides, Clostridia, as well as acid-fast organisms such as Mycobacterium tuberculosis, and other mycobacterial species. Thus, reacting N-[[(5S)-3-(3-fluoro-4-iodophenyl)-2-oxooxazolidin-5-yl]methyl]acetamide with bis(pinacolato)diboron, and Pd-coupling with 5-bromo-2-(1-cyanocyclopropan-1-yl)pyridine gave oxazolidinone III. The prepared oxazolidinones were tested for antibacterial activity against a variety of strains, such as Staphylococcus aureus, Streptococcus pneumoniae and Enterococcus faecium. III inhibited Staphylococcus aureus Smith in vitro with a min. inhibitory concentration of 0.06 µg/mL.

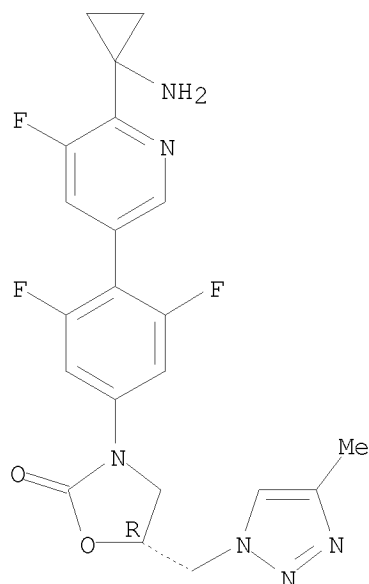
IT 827628-12-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antibacterial agent; preparation of cyclopropyl-oxazolidinones as antibiotics)

RN 827628-12-6 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[6-(1-aminocyclopropyl)-5-fluoro-3-pyridinyl]-3,5-difluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

10536687



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 22 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:55213 HCAPLUS

DOCUMENT NUMBER: 142:134582

TITLE: Preparation of oxazolidinone antibacterials

INVENTOR(S): Hammond, Milton L.; Fukuda, Yasumichi

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Kyorin Pharmaceutical Co., Ltd.

SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

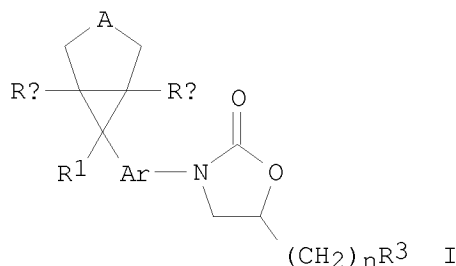
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005005399	A1	20050120	WO 2004-US20736	20040629
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004256084	A1	20050120	AU 2004-256084	20040629
AU 2004256084	B2	20071018		

Updated Search

10536687

CA 2529292	A1	20050120	CA 2004-2529292	20040629
EP 1656357	A1	20060517	EP 2004-777198	20040629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
CN 1816534	A	20060809	CN 2004-80018878	20040629
JP 2007521282	T	20070802	JP 2006-517738	20040629
US 20060247286	A1	20061102	US 2006-559845	20060505
IN 2008DN04135	A	20080815	IN 2008-DN4135	20080515
PRIORITY APPLN. INFO.:			US 2003-483905P	P 20030702
			US 2004-546947P	P 20040224
			US 2004-553963P	P 20040318
			WO 2004-US20736	W 20040629
			IN 2005-DN5722	A3 20051209

OTHER SOURCE(S): MARPAT 142:134582
GI



AB Title compds. [I; R1 = H, NR5R6, CR7R8R9, COR13, (substituted) heterocyclyl, etc.; A = NR, O, S, SO, SO2; Ar = (substituted) aryl, heteroaryl, heterocyclyl; R3 = NR13(C:X2)R12, NR13SO2R14, (substituted) heteroaryl, etc.; n = 0, 1; Rx = H, alkyl; R5, R6 = H, (substituted) alkyl, acyl, heterocyclyl, etc.; R5R6, R7R8 = atoms to form a 3-7 membered heterocyclic ring; R7 = H, halo, cyano, CHO, OH, alkyl, alkoxy, alkenyl, etc.; R8, R9 = H, cyano, (substituted) alkyl, Ph; R13 = H, alkyl, aryl, NR5R6, cyano, OH, alkylcarbonyl, CO2H, acyl, (substituted) (heterocyclic) ring, etc.; R14 = amino, alkyl, haloalkyl, (substituted) heterocyclyl, Ph; X2 = O, S, NH, NSO2R14], were prepared Thus, 1-benzyloxycarbonylamino-4-[(1 α , 5 α , 6 β)-(6-cyanobicyclo[3.1.0]hexan-6-yl)]benzene in THF at -78° was treated with BuLi and then with (R)-glycidyl butyrate followed by stirring for 2 h gave 5(R)-3-[4-[(1 α , 5 α , 6 β)-(6-cyanobicyclo[3.1.0]hexan-6-yl)]phenyl]-5-hydroxymethyloxazolidin-2-one. The latter was stirred 15 min. with Et3N and MeSO2Cl in CH2Cl2 at 0° to give a residue which was stirred at 70° with NaN3 in DMF to give 5(R)-azidomethyl-3-[4-[(1 α , 5 α , 6 β)-(6-cyanobicyclo[3.1.0]hexan-6-yl)]phenyl]oxazolidin-2-one. The latter was hydrogenated in THF/MeOH over Lindlar catalyst to give 5(R)-aminomethyl-3-[4-[(1 α , 5 α , 6 β)-(6-cyanobicyclo[3.1.0]hexan-6-yl)]phenyl]oxazolidin-2-one. This was stirred with Et3N and Ac2O in THF at 0°-room temperature to give N-[5(S)-3-[4-[(1 α , 5 α , 6 β)-(6-cyanobicyclo[3.1.0]hexan-6-yl)]phenyl]oxazolidin-5-ylmethyl]acetamide. The latter showed a min. inhibitory concentration of 0.25 μ g/mL against Staphylococcus Aureus Smith.

IT 827014-75-5P 827014-76-6P 827015-45-2P

Updated Search

10536687

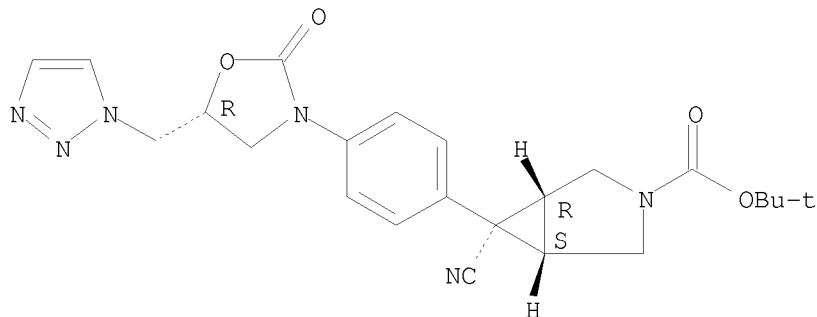
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of oxazolidinone antibacterials)

RN 827014-75-5 HCAPLUS

CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6-cyano-6-[4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

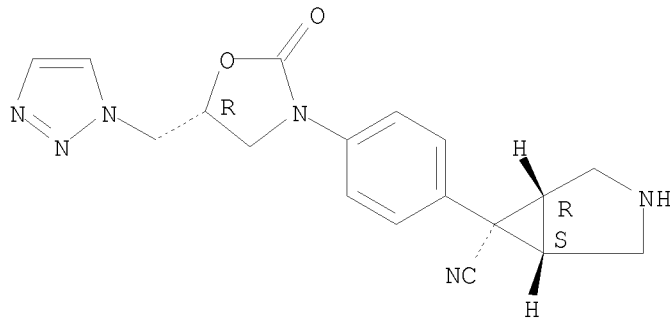
Absolute stereochemistry.



RN 827014-76-6 HCAPLUS

CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



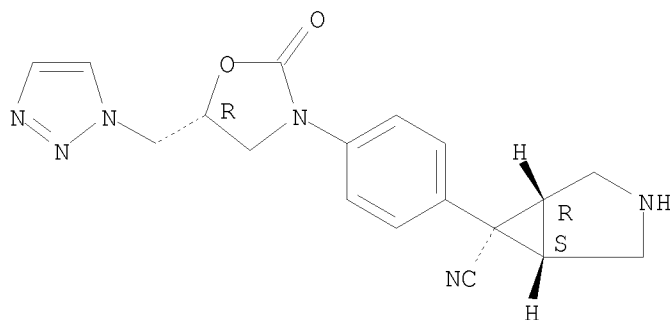
RN 827015-45-2 HCAPLUS

CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

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● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

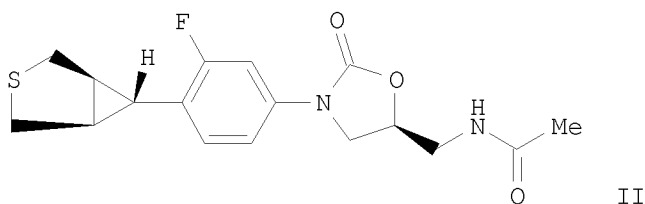
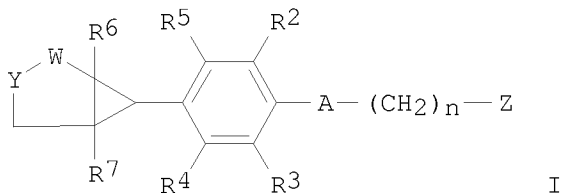
L7 ANSWER 23 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:872795 HCAPLUS
DOCUMENT NUMBER: 141:366217
TITLE: Preparation of [3.1.0]bicyclohexylphenyloxazolidinone derivatives as antimicrobials
INVENTOR(S): Renslo, Adam Robert; Gordeev, Mikhail Fedor; Patel, Dinesh Vinoobhai; Gao, Hongwu; Josyula, Vara Prasad Venkata Nagendra
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company. LLC, USA
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089943	A1	20041021	WO 2004-IB1135	20040330
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2521685	A1	20041021	CA 2004-2521685	20040330
EP 1615916	A1	20060118	EP 2004-724333	20040330
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
BR 2004009217	A	20060328	BR 2004-9217	20040330
JP 2006522791	T	20061005	JP 2006-506470	20040330

Updated Search

10536687

US 20050192325	A1	20050901	US 2004-815589	20040401
US 7279494	B2	20071009		
US 20080090884	A1	20080417	US 2007-868332	20071005
PRIORITY APPLN. INFO.:			US 2003-461134P	P 20030409
			EP 2004-724333	A 20040330
			WO 2004-IB1135	W 20040330
			US 2004-815589	A1 20040401
OTHER SOURCE(S):	MARPAT 141:366217			
GI				



AB Title compds. I [A = oxazolyl, isoxazolyl, etc.; n = 0-1; Y = SO₀-2, O, amino; Z = formyl, thioformyl, acyl, etc.; W = CH₂, CO, oximino, etc.; R₁ = H, OH, amino, etc.; R₂-3 = H, F; R₄-5 = H, Cl, F, Me, NH₂, OH; R₆-7 = H, alkyl] are prepared For example, II is prepared in 9 steps from 2-fluoro-4-nitrobenzaldehyde. II has MIC = 4 µg/mL for S. aureus (UC9213). I are antibacterial agents.

IT 777088-83-2P 777089-15-3P 777089-16-4P
777089-23-3P 777089-24-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [3.1.0]bicyclohexylphenyloxazolidinone derivs. as antimicrobials)

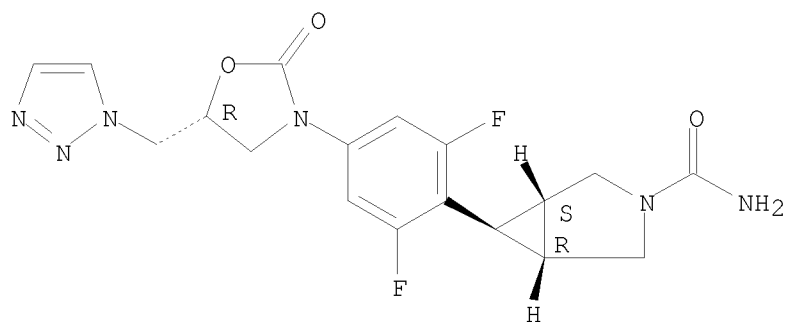
RN 777088-83-2 HCAPLUS

CN 3-Azabicyclo[3.1.0]hexane-3-carboxamide, 6-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

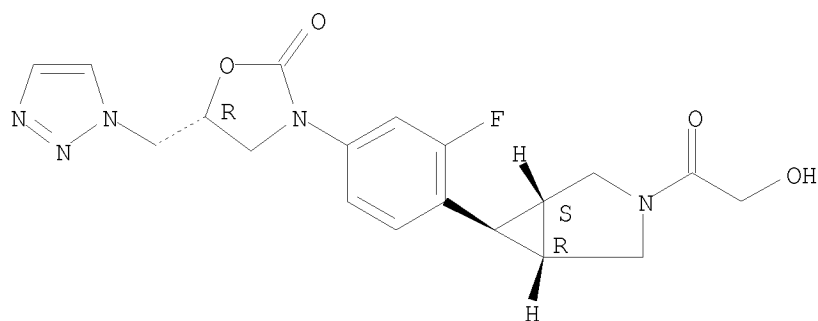
Updated Search

10536687



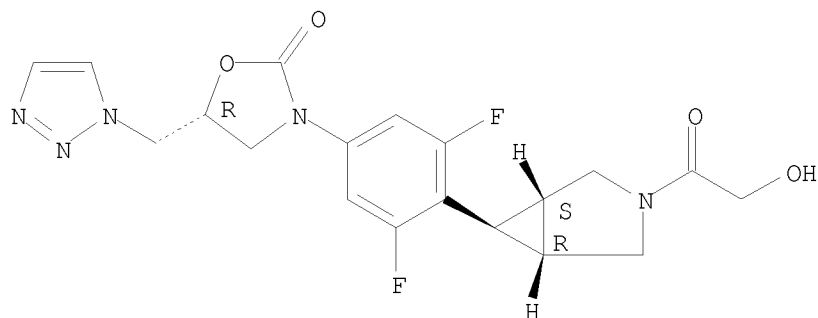
RN 777089-15-3 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[3-(2-hydroxyacetyl)-3-azabicyclo[3.1.0]hex-6-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 777089-16-4 HCAPLUS
CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[3-(2-hydroxyacetyl)-3-azabicyclo[3.1.0]hex-6-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

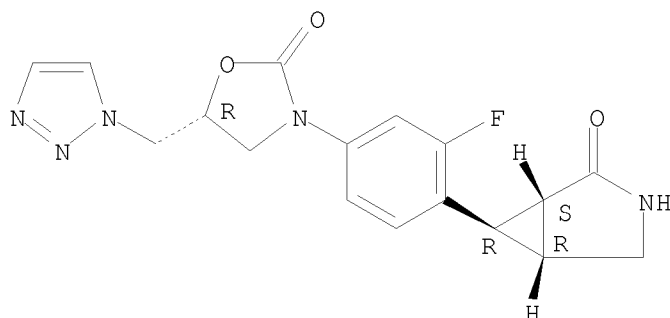


RN 777089-23-3 HCAPLUS
CN 3-Azabicyclo[3.1.0]hexan-2-one, 6-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, (1S, 5R, 6R)- (CA INDEX NAME)

Updated Search

10536687

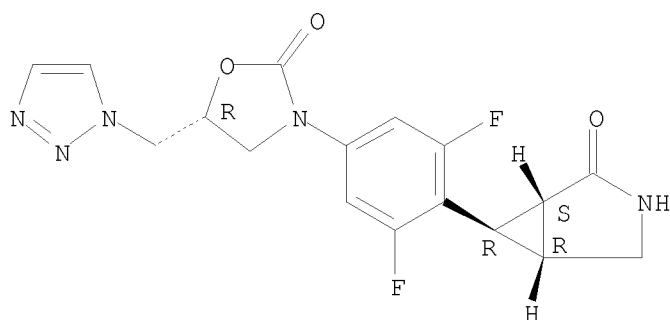
Absolute stereochemistry.



RN 777089-24-4 HCAPLUS

CN 3-Azabicyclo[3.1.0]hexan-2-one, 6-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, (1S,5R,6R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 777090-03-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [3.1.0]bicyclohexylphenyloxazolidinone derivs. as antimicrobials)

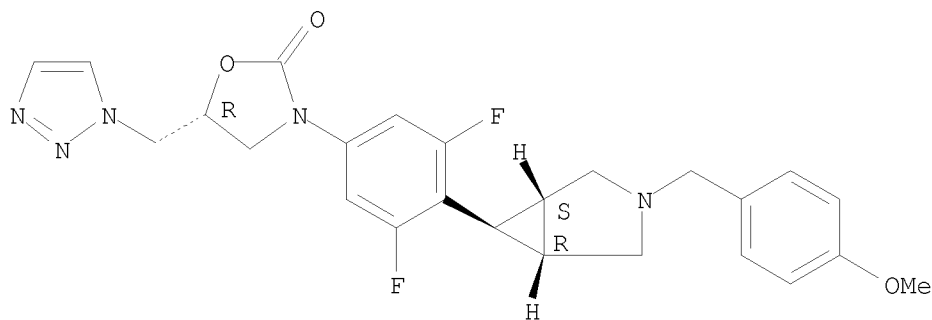
RN 777090-03-6 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[3-[(4-methoxyphenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

10536687



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 24 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:727763 HCAPLUS

DOCUMENT NUMBER: 143:59934

TITLE: Synthesis and in vitro antibacterial activities of new 3,5-disubstituted oxazolidinone compounds

AUTHOR(S): Meng, Qingguo; Wang, Qi; Liu, Jun

CORPORATE SOURCE: Institute of Medicinal Biotechnology, Chinese Academy of Medical Sciences and Peking Union Medical College, Beijing, 100050, Peop. Rep. China

SOURCE: Yaoxue Xuebao (2003), 38(10), 754-759

CODEN: YHHPAL; ISSN: 0513-4870

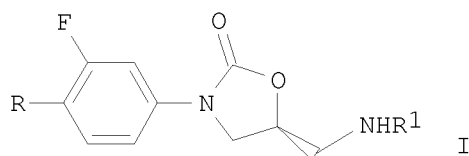
PUBLISHER: Yaoxue Xuebao Bianjibu

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

OTHER SOURCE(S): CASREACT 143:59934

GI



AB The new oxazolidinone antibacterial agents were designed and synthesized. Oxazolidinones I (R = 4-morpholinyl, 4-phenyl-1-piperazinyl, 4-(4-methoxyphenyl)-1-piperazinyl, 4-benzyl-1-piperidinyl, 1-piperidinyl; R1 = acetamido, 1,2,4-triazol-4-yl, camphorimido, succinimido) were synthesized based on the SAR reported in the literature and their antibacterial activities in vitro were determined. 18 New objective compds. were synthesized, and their structures were determined by IR, ¹HNMR, and FAB-MS. Among the 18 new objective compds., 16 compds. showed antibacterial activity in vitro and I (R = 4-phenyl-1-piperazinyl, R1 = acetamido), I (R = 4-(4-methoxyphenyl)-1-piperazinyl, R1 = acetamido), and I (R = 4-(4-methoxyphenyl)-1-piperazinyl, R1 = camphorimido) showed better antibacterial activities in vitro than ciprofloxacin, sultamicillin, and vancomycin. Compds. I (R = 4-phenyl-1-piperazinyl, R1 =

Updated Search

10536687

1,2,4-triazol-4-yl) and I (R = 4-benzyl-1-piperidiny1, R1 = succinimido) had no antibacterial activity in vitro at all.

IT 556801-57-1P 556801-58-2P 556801-59-3P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);

BIOL (Biological study); PREP (Preparation)

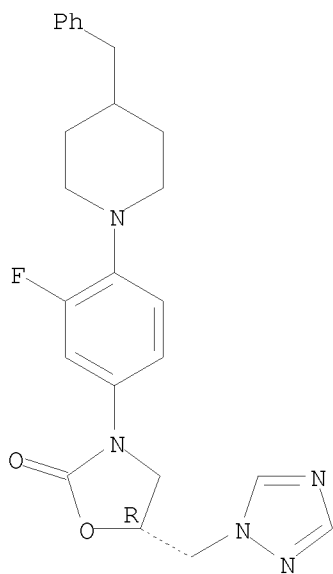
(synthesis and in vitro antibacterial activities of new

3,5-disubstituted oxazolidinone compds.)

RN 556801-57-1 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(phenylmethyl)-1-piperidiny1]phenyl]-5-(1H-1,2,4-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

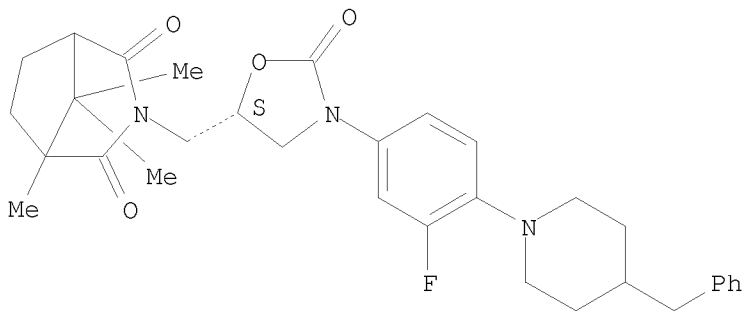
Absolute stereochemistry.



RN 556801-58-2 HCAPLUS

CN 3-Azabicyclo[3.2.1]octane-2,4-dione, 3-[[(5S)-3-[3-fluoro-4-[4-(phenylmethyl)-1-piperidiny1]phenyl]-2-oxo-5-oxazolidiny1]methyl]-1,8,8-trimethyl- (CA INDEX NAME)

Absolute stereochemistry.



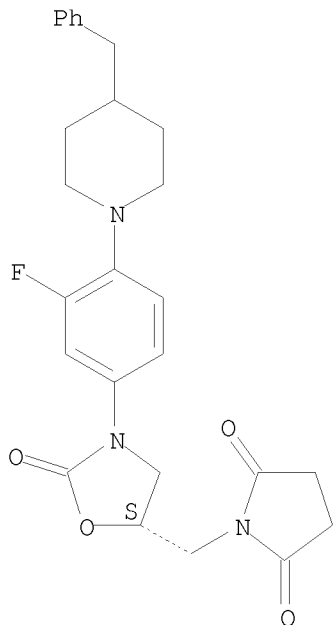
RN 556801-59-3 HCAPLUS

Updated Search

10536687

CN 2,5-Pyrrolidinedione, 1-[[[(5S)-3-[3-fluoro-4-[4-(phenylmethyl)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 25 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:333714 HCAPLUS
DOCUMENT NUMBER: 140:357327
TITLE: Preparation of bicyclic[3.1.0]oxazolidinones and related compounds as antibacterial agents
INVENTOR(S): Gordeev, Mikhail Fedor; Renslo, Adam; Patel, Dinesh Vinoobhai
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004033451	A1	20040422	WO 2003-US28560	20031003
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,			

Updated Search

10536687

FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 20040127530 A1 20040701 US 2003-677451 20031002
US 6875784 B2 20050405
CA 2501352 A1 20040422 CA 2003-2501352 20031003
AU 2003278791 A1 20040504 AU 2003-278791 20031003
EP 1549641 A1 20050706 EP 2003-770310 20031003
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
BR 2003015106 A 20050809 BR 2003-15106 20031003
JP 2006508934 T 20060316 JP 2004-543288 20031003
MX 2005PA03780 A 20050608 MX 2005-PA3780 20050408
PRIORITY APPLN. INFO.: US 2002-417735P P 20021009
WO 2003-US28560 W 20031003
OTHER SOURCE(S): MARPAT 140:357327
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R2, R3 = H, F; R4, R5 = H, Cl, F, etc.; R6, R7 = H, F, OH, etc.; R8 = H, F, OH, etc.; A = 5-methyl-2-oxazolidinonyl, 4,5-dihydro-5-Me-oxazolyl, dihydro-5-Me-2(3H)-furanonyl, etc.; B = (CH₂)_n; n = 0-1; X = N, CH; Y = N, O, S; Z = NHCOR1, NHCSR1, CONHR1, etc.; R1 = H, NH₂, NH-alkyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, condensation of CBZ-protected benzenamine II, e.g., prepared from benzyl 3-pyrroline-1-carboxylate in 5-steps, and (S)-acetic acid 2-acetylamino-1-chloromethylethyl ester afforded oxazolidinone III in 62% yield. In *S. aureus* Min. Inhibitory Concentration (MIC) growth studies, 6-examples of compds. I exhibited MIC values ranging from 1-8 µg/mL, i.e., the MIC value of oxazolidinone III was 1 µg/mL. Compds. I are claimed useful for the treatment of skin and eye infections.

IT 681424-73-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic[3.1.0]oxazolidinones and related compds. as antibacterial agents)

RN 681424-73-7 HCAPLUS

CN 3-Azabicyclo[3.1.0]hexane-6-carboxylic acid, 3-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

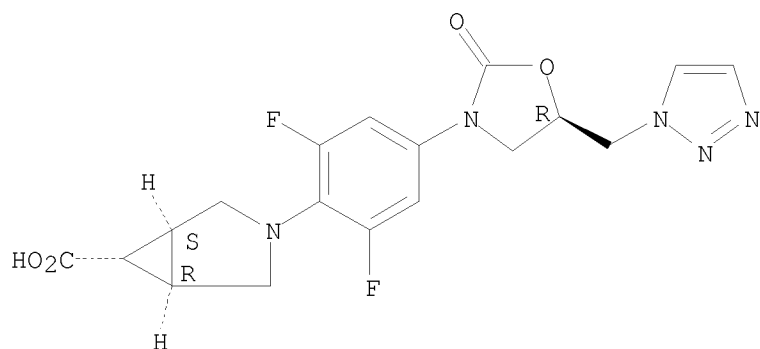
CRN 681424-72-6

CMF C18 H17 F2 N5 O4

Absolute stereochemistry.

Updated Search

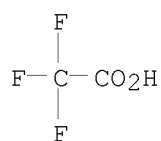
10536687



CM 2

CRN 76-05-1

CMF C2 H F3 O2



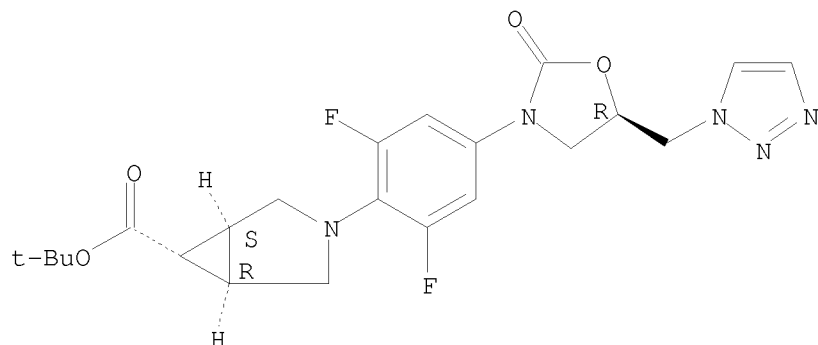
IT 681425-60-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bicyclic[3.1.0]oxazolidinones and related compds. as antibacterial agents)

RN 681425-60-5 HCAPLUS

CN 3-Azabicyclo[3.1.0]hexane-6-carboxylic acid, 3-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

9

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Updated Search

10536687

L7 ANSWER 26 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:143139 HCAPLUS

DOCUMENT NUMBER: 140:181437

TITLE: Preparation of N-aryl-2-oxazolidinones and their derivatives as antibacterial agents

INVENTOR(S): Gadwood, Robert C.; Ochoada, Jason M.

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

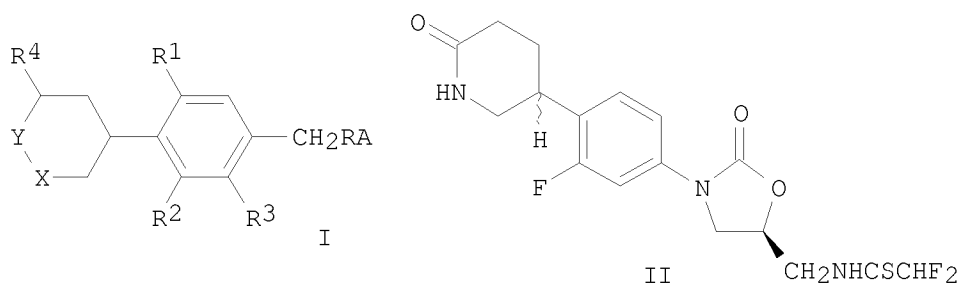
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014897	A1	20040219	WO 2003-US22486	20030801
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2491287	A1	20040219	CA 2003-2491287	20030801
AU 2003259159	A1	20040225	AU 2003-259159	20030801
US 20040072873	A1	20040415	US 2003-632742	20030801
US 7094900	B2	20060822		
EP 1539745	A1	20050615	EP 2003-784775	20030801
EP 1539745	B1	20060329		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013400	A	20050712	BR 2003-13400	20030801
JP 2005537301	T	20051208	JP 2004-527615	20030801
AT 321760	T	20060415	AT 2003-784775	20030801
ES 2258234	T3	20060816	ES 2003-784775	20030801
MX 2005PA01558	A	20050908	MX 2005-PA1558	20050208
PRIORITY APPLN. INFO.:			US 2002-402783P	P 20020812
			WO 2003-US22486	W 20030801

OTHER SOURCE(S): MARPAT 140:181437

GI

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AB Antibacterial agents of formula I [A = 2-oxazolidinone, isoxazoline, furanone, etc.; X, Y = CO, (substituted) NH; R = acylamino, heterocyclo, etc.; R1-R3 = H, halo, Me; R4 = H, alkyl, alkoxy, alkylthio, alkylamino] are prepared. Thus, II was prepared and had MIC of 1 μ g/mL.

IT 658073-58-6P

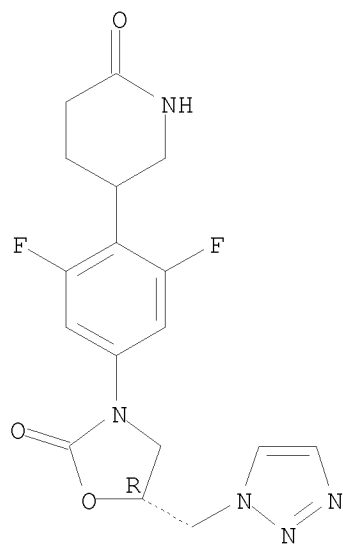
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-aryloxazolidinones as antibacterial agents)

RN 658073-58-6 HCAPLUS

CN 2-Piperidinone, 5-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 27 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:60503 HCAPLUS

DOCUMENT NUMBER: 140:128407

TITLE: Preparation of (piperidinophenyl)oxazolidinones

Updated Search

10536687

targeting multiple ribonucleoprotein sites as
antibacterial agents

INVENTOR(S): Patel, Mahesh V.; Deshpande, Prasad K.; Sindkhedkar,
Milind D.; Gupte, Shrikant V.; Chugh, Yati; Shetty,
Nitin; Shukla, Milind C.; Yeole, Ravindra D.; De
Souza, Noel J.

PATENT ASSIGNEE(S): India

SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2

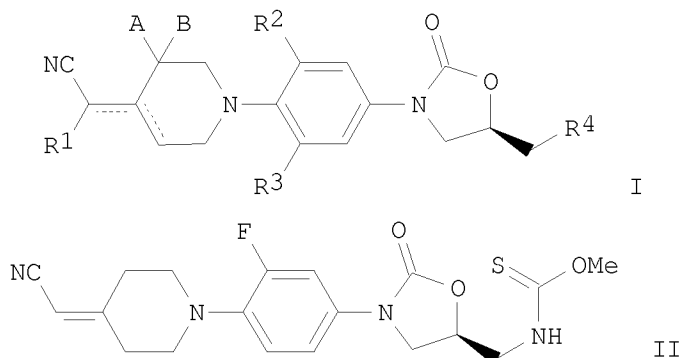
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

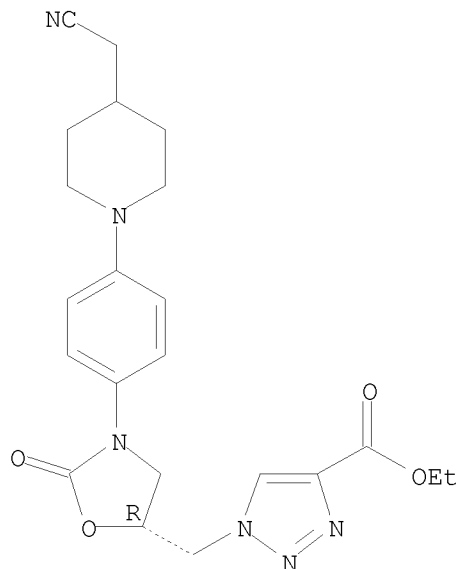
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007489	A2	20040122	WO 2003-IN238	20030710
WO 2004007489	A3	20040318		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2492194	A1	20040122	CA 2003-2492194	20030710
AU 2003272071	A1	20040202	AU 2003-272071	20030710
EP 1565461	A2	20050824	EP 2003-753912	20030710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
IN 2005MN00003	A	20070504	IN 2005-MN3	20050104
PRIORITY APPLN. INFO.:				
			US 2002-395164P	P 20020711
			WO 2003-IN238	W 20030710
OTHER SOURCE(S): CASREACT 140:128407; MARPAT 140:128407				
GI				



10536687

- AB Title compds. I [wherein R1 = H, (un)substituted (cyclo)alkyl, (un)substituted alkanoyl, arylmercapto, heterocyclylthiocarbonyl, etc.; R2, R3 = H, halogen; R4 = alkylsulfonyloxy, (un)substituted alkylthiocarbonylamino, (un)substituted ureido, carbamato, etc.; A, B = independently selected from H, alkyl, CO2Et, halogen; and salts or solvates thereof] were prepared as antibacterial agents. For example, reaction of (S)-N-[3-[4-(4-cyanomethylidenepiperidin-1-yl)-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]amine with carbon disulfide (69%), followed by addition of sodium methoxide, gave II in 77% yield. II showed 1.56-6.25 µg/mL (MIC) against linezolid resistant strains, such as *S. aureus* MRSA-32, *S. pneumoniae* SPN744 and *E. faecium* 367, 0.2 µg/mL (MIC) against linezolid sensitive strains, such as *S. aureus* MRSA-32 and *S. pneumoniae* 49619, 1.56 (MPC) for the treatment of *E. faecalis* 416 infection, and etc. 3D quant. structure activity relationship (3D-QSAR) showed that the steric contributions of I are over one and half times more than the electrostatic contributions, compared to literature compds. Thus, I and their pharmaceutical compds. targeting multiple ribonucleoprotein sites are useful as antimicrobial agents for treating bacterial infections.
- IT 648920-06-3P 648920-10-9P 648920-11-0P
648920-33-6P 648920-34-7P 648920-35-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyanomethylenepiperidinophenyl oxazolidinones targeting multiple RNA sites as antibacterial agents)
- RN 648920-06-3 HCAPLUS
- CN 1H-1,2,3-Triazole-4-carboxylic acid, 1-[[[(5R)-3-[4-[4-(cyanomethyl)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



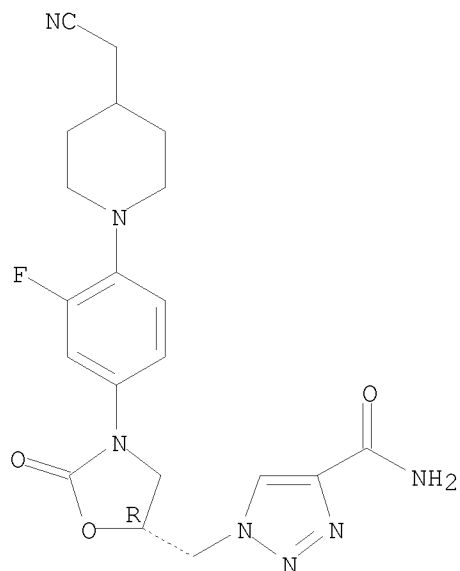
RN 648920-10-9 HCAPLUS

Updated Search

10536687

CN 1H-1,2,3-Triazole-4-carboxamide, 1-[[(5R)-3-[4-[4-(cyanomethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl]- (CA INDEX NAME)

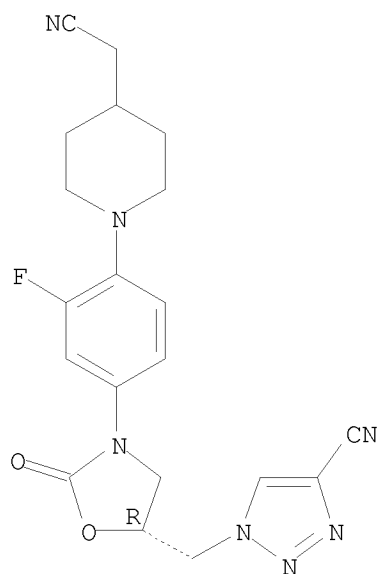
Absolute stereochemistry.



RN 648920-11-0 HCAPLUS

CN 4-Piperidineacetonitrile, 1-[4-[(5R)-5-[(4-cyano-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]- (CA INDEX NAME)

Absolute stereochemistry.



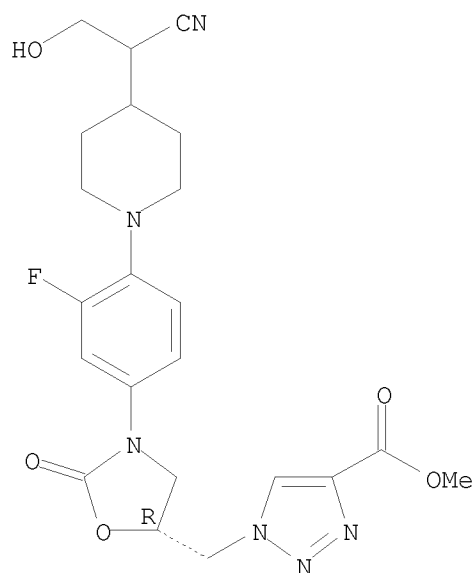
Updated Search

10536687

RN 648920-33-6 HCAPLUS

CN 1H-1,2,3-Triazole-4-carboxylic acid, 1-[[(5R)-3-[4-[4-(1-cyano-2-hydroxyethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, methyl ester (CA INDEX NAME)

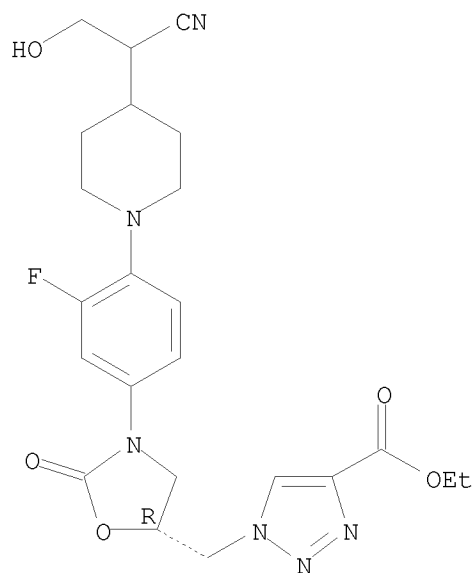
Absolute stereochemistry.



RN 648920-34-7 HCAPLUS

CN 1H-1,2,3-Triazole-4-carboxylic acid, 1-[[(5R)-3-[4-[4-(1-cyano-2-hydroxyethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



Updated Search

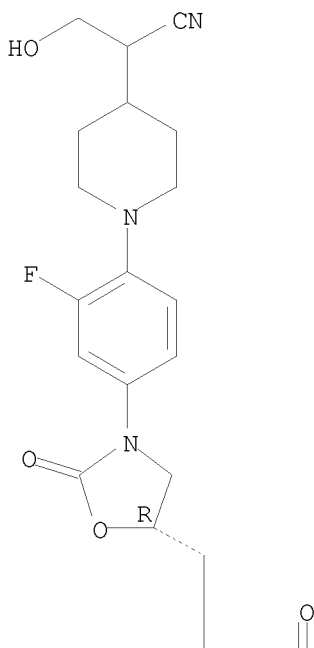
10536687

RN 648920-35-8 HCAPLUS

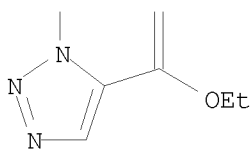
CN 1H-1,2,3-Triazole-5-carboxylic acid, 1-[[[(5R)-3-[4-[4-(1-cyano-2-hydroxyethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



L7 ANSWER 28 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:576097 HCAPLUS

DOCUMENT NUMBER: 139:85332

TITLE: Preparation of oxazolidone derivatives as antibacterial agents

INVENTOR(S): Liu, Jun; Meng, Qingguo; Jin, Jie; Wu, Yanbin

PATENT ASSIGNEE(S): Institute of Medical and Biological Technology, Chinese Academy of Medical Sciences, Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 50 pp.

CODEN: CNXXEV

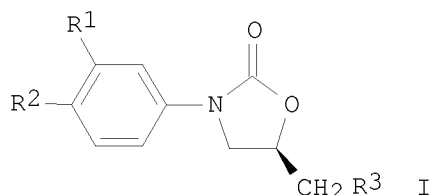
DOCUMENT TYPE: Patent

Updated Search

10536687

LANGUAGE: Chinese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1355165	A	20020626	CN 2001-144613	20011219
PRIORITY APPLN. INFO.:			CN 2001-144613	20011219
OTHER SOURCE(S):	CASREACT 139:85332; MARPAT 139:85332			
GI				



AB Title compds. I (R1 = H, halo, alkyl, or haloalkyl; R2 = morpholinyl, piperidinyl or its derivative, or 4-substituted piperazinyl; R3 = OH, SH, acyloxy, sulfonyloxy, acylamino, diacylimino, pentabasic heterocyclic group or its derivs.; and when R1 = F, R2 or R3 = morpholinyl or acetamido), useful as antibacterial agents against Gram-pos. bacteria, are prepared For example, (R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-(hydroxynethyl)-2-oxazolidinone was converted to mesylate, condensed with potassium phthalimide, and treated with aqueous MeNH2 to give the bactericide linezolid.

IT 556801-55-9P 556801-57-1P 556801-58-2P
 556801-59-3P

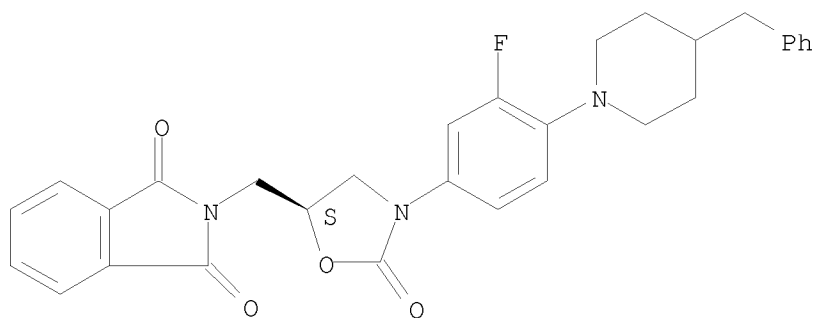
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxazolidone derivs. as antibacterial agents)

RN 556801-55-9 HCAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[[[(5S)-3-[3-fluoro-4-[4-(phenylmethyl)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



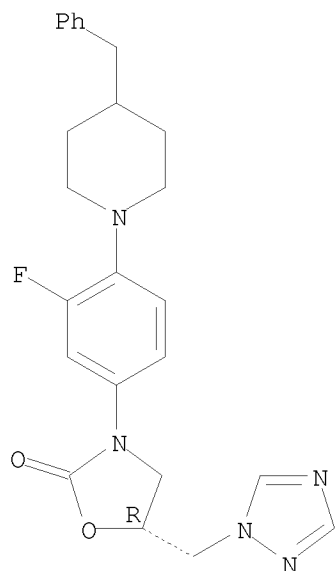
Updated Search

10536687

RN 556801-57-1 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[4-(phenylmethyl)-1-piperidinyl]phenyl]-5-(1H-1,2,4-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

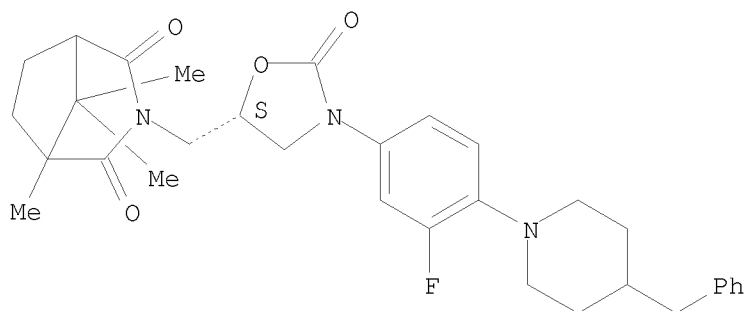
Absolute stereochemistry.



RN 556801-58-2 HCAPLUS

CN 3-Azabicyclo[3.2.1]octane-2,4-dione, 3-[[(5S)-3-[3-fluoro-4-[4-(phenylmethyl)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-1,8,8-trimethyl- (CA INDEX NAME)

Absolute stereochemistry.



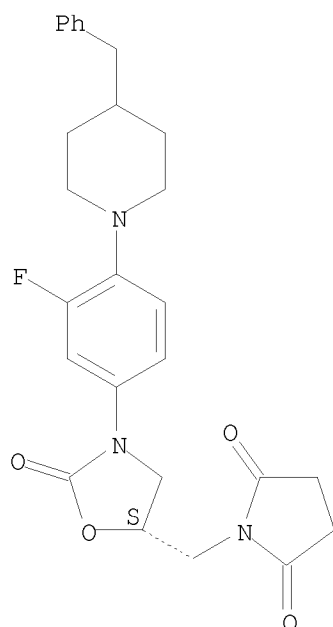
RN 556801-59-3 HCAPLUS

CN 2,5-Pyrrolidinedione, 1-[[(5S)-3-[3-fluoro-4-[4-(phenylmethyl)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

10536687

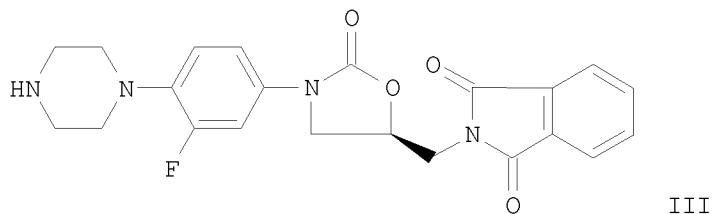
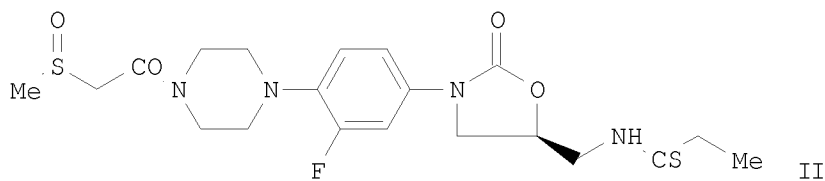
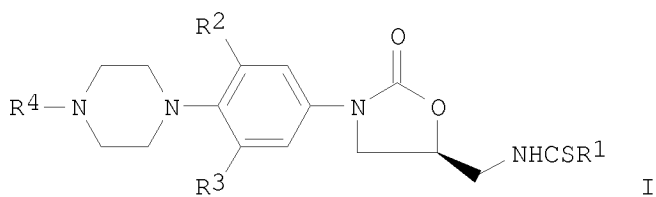


L7 ANSWER 29 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:736895 HCAPLUS
DOCUMENT NUMBER: 137:247686
TITLE: Preparation of oxazolidinone thioamides with
piperazine amide substituents for pharmaceutical use
in the treatment of microbial infections
INVENTOR(S): Hester, Jackson B.
PATENT ASSIGNEE(S): Pharmacia and Upjohn Co., USA
SOURCE: U.S. Pat. Appl. Publ., 22 pp., Cont.-in-part of U.S.
Ser. No. 778,603, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020137754	A1	20020926	US 2002-42916	20020109
US 6642238	B2	20031104		
US 20010047004	A1	20011129	US 2001-778603	20010207
PRIORITY APPLN. INFO.:			US 2000-181640P	P 20000210
			US 2001-778603	B2 20010207
OTHER SOURCE(S):	MARPAT	137:247686		
GI				

Updated Search

10536687



AB Oxazolidinone thioamides, such as I [R1 = H, NH2, alkylamino, alkenyl, alkyloxy, alkylthio, cycloalkyl, alkyl; R2, R3 = H, F, Cl, alkyl; R4 = CN, acyl, thioacyl, alkyloxyacyl, sulfonylmethylacyl, etc.] which have potent activities against gram-pos. and gram-neg. bacteria, were prepared for therapeutic use in the treatment of bacterial infections particularly of the skin and eye. Thus, PNU 255889 (II) was prepared via a multistep synthetic sequence which included N-acylation of III with MeSCH2CO2H, S-oxidation with sodium periodate, conversion of the phthalimido group to NH2 and N-thioacylation with MeCH2CS2Me. The prepared oxazolidinone thioamides were evaluated for min. inhibitory concns. of antibacterial activity against bacterial strains such as *Staphylococcus aureus*, *S. epidermidis*, *Streptococcus pneumoniae*, *Enterococcus faecalis*, *Moraxella catarrhalis* and *H. influenzae*. Pharmaceutical formulations for oral, topical, transdermal, and parenteral delivery were discussed.

IT 354578-46-4P 354578-47-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

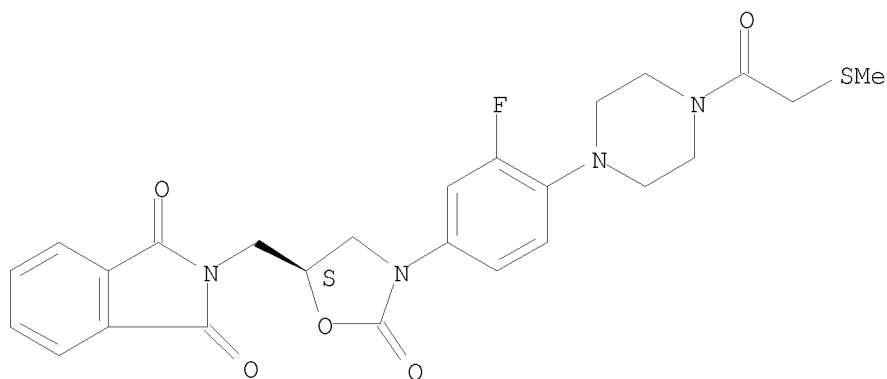
RN 354578-46-4 HCAPLUS

CN 1H-Isindole-1,3(2H)-dione, 2-[[(5S)-3-[3-fluoro-4-[4-[2-(methylthio)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-
(CA INDEX NAME)

Absolute stereochemistry.

Updated Search

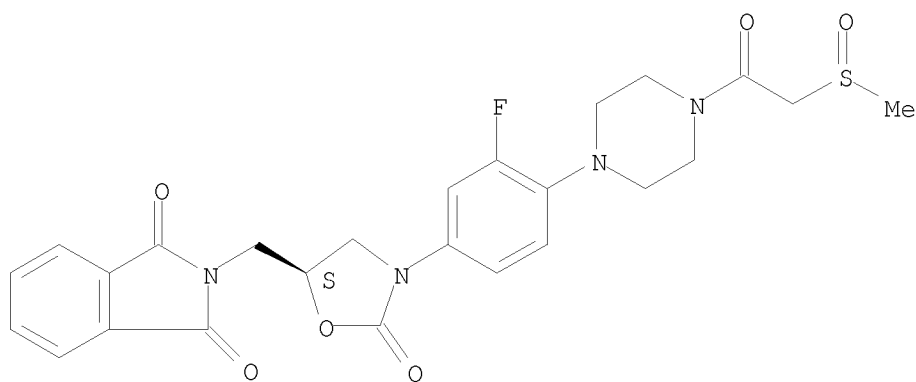
10536687



RN 354578-47-5 HCAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[[(5S)-3-[3-fluoro-4-[4-[2-(methylsulfinyl)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 174649-05-9

RL: RCT (Reactant); RACT (Reactant or reagent)

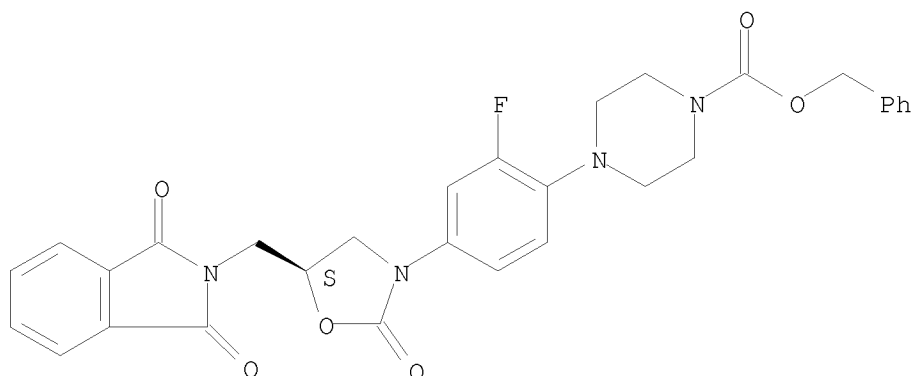
(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

RN 174649-05-9 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[(5S)-5-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.

Updated Search



L7 ANSWER 30 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:597972 HCAPLUS

DOCUMENT NUMBER: 135:180754

TITLE: Preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections

INVENTOR(S): Hester, Jackson B., Jr.

PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001058885	A1	20010816	WO 2001-US682	20010207
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2395648	A1	20010816	CA 2001-2395648	20010207
AU 2001034428	A	20010820	AU 2001-34428	20010207
BR 2001007645	A	20021008	BR 2001-7645	20010207
EP 1263742	A1	20021211	EP 2001-906529	20010207
EP 1263742	B1	20050824		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003522763	T	20030729	JP 2001-558436	20010207
NZ 520696	A	20040326	NZ 2001-520696	20010207
AT 302762	T	20050915	AT 2001-906529	20010207
ES 2248284	T3	20060316	ES 2001-906529	20010207
IN 2002MN00938	A	20050304	IN 2002-MN938	20020711
MX 2002PA07719	A	20021011	MX 2002-PA7719	20020809

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PRIORITY APPLN. INFO.:

US 2000-181640P

P 20000210

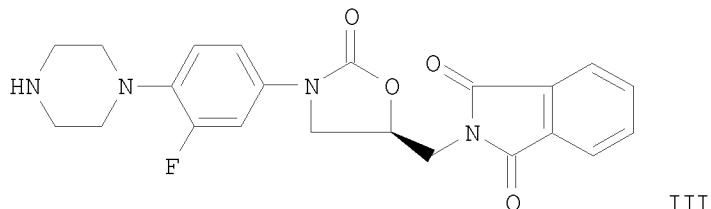
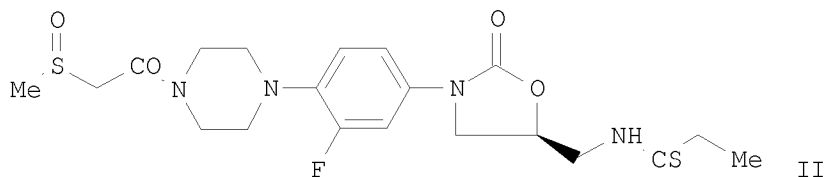
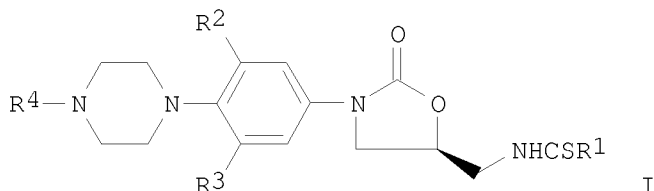
WO 2001-US682

W 20010207

OTHER SOURCE(S):

MARPAT 135:180754

GI



AB Oxazolidinone thioamides, such as I [R1 = H, NH2, alkylamino, alkenyl, alkyloxy, alkylthio, cycloalkyl, alkyl; R2, R3 = H, F, Cl, alkyl; R4 = CN, acyl, thioacyl, alkyloxyacyl, sulfonylmethylacyl, etc.] which have potent activities against gram-pos. and gram-neg. bacteria, were prepared for therapeutic use in the treatment of bacterial infections particularly of the skin and eye. Thus, PNU 255889 (II) was prepared via a multistep synthetic sequence which included N-acylation of III with MeSCH2CO2H, S-oxidation with sodium periodate, conversion of the phthalimido group to NH2 and N-thioacylation with MeCH2CS2Me. The prepared oxazolidinone thioamides were evaluated for min. inhibitory concns. of antibacterial activity against bacterial strains such as Staphylococcus aureus, S. epidermidis, Streptococcus pneumoniae, Enterococcus faecalis Moraxella catarrhalis and H. influenzae. Pharmaceutical formulations for oral, topical, transdermal, and parenteral delivery were discussed.

IT 354578-46-4P 354578-47-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of oxazolidinone thioamides with piperazine amide substituents for pharmaceutical use in the treatment of microbial infections)

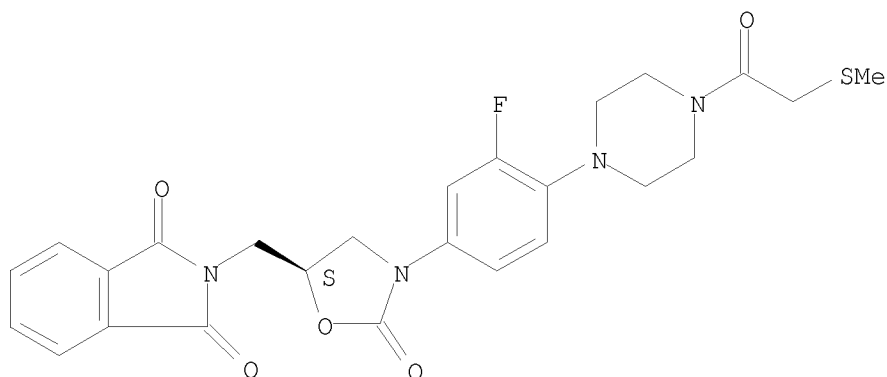
RN 354578-46-4 HCAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[[[(5S)-3-[3-fluoro-4-[4-[2-(methylthio)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]]- (CA INDEX NAME)

Updated Search

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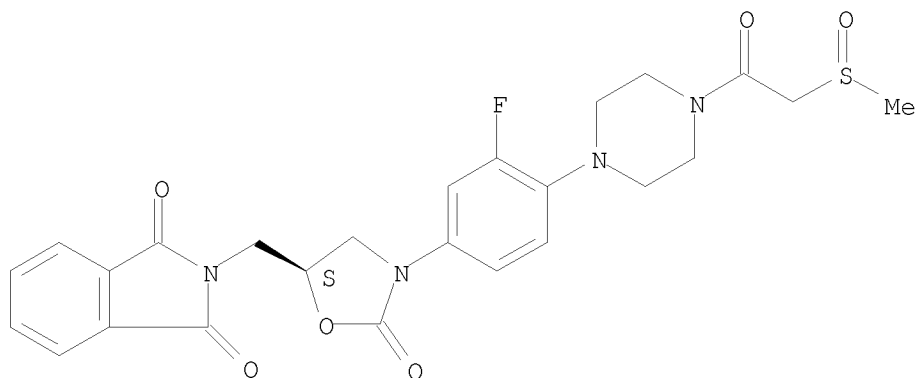
Absolute stereochemistry.



RN 354578-47-5 HCAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[[[(5S)-3-[3-fluoro-4-[4-[2-(methylsulfinyl)acetyl]-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]-
(CA INDEX NAME)

Absolute stereochemistry.



IT 174649-05-9

RL: RCT (Reactant); RACT (Reactant or reagent)

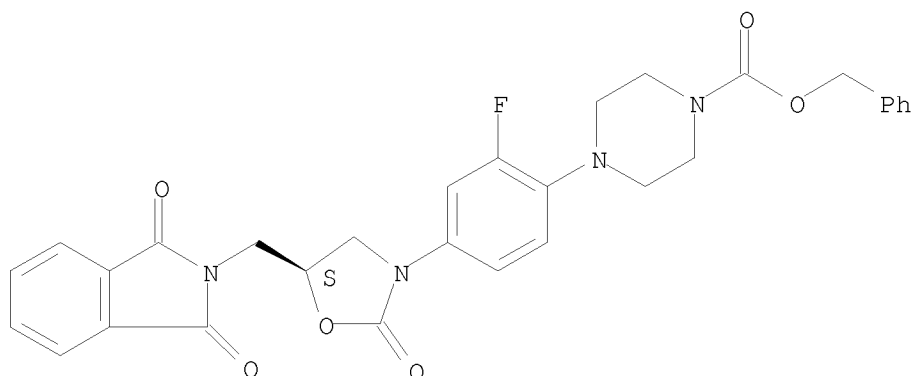
(preparation of oxazolidinone thioamides with piperazine amide substituents
for pharmaceutical use in the treatment of microbial infections)

RN 174649-05-9 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[(5S)-5-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-, phenylmethyl
ester (CA INDEX NAME)

Absolute stereochemistry.

Updated Search



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 31 OF 31 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:58412 HCAPLUS

DOCUMENT NUMBER: 124:232297

ORIGINAL REFERENCE NO.: 124:43034h, 43035a

TITLE: Synthesis and Antibacterial Activity of U-100592 and U-100766, Two Oxazolidinone Antibacterial Agents for the Potential Treatment of Multidrug-Resistant Gram-Positive Bacterial Infections

AUTHOR(S): Brickner, Steven J.; Hutchinson, Douglas K.; Barbachyn, Michael R.; Manninen, Peter R.; Ulanowicz, Debra A.; Garmon, Stuart A.; Grega, Kevin C.; Hendges, Susan K.; Toops, Dana S.; et al.

CORPORATE SOURCE: Upjohn Laboratories, Upjohn Company, Kalamazoo, MI, 49001, USA

SOURCE: Journal of Medicinal Chemistry (1996), 39(3), 673-9
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

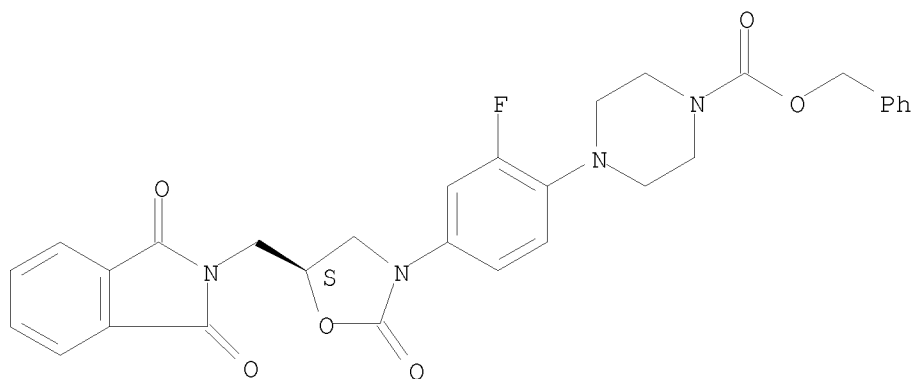
LANGUAGE: English

AB Bacterial resistance development has become a very serious clin. problem for many classes of antibiotics. The 3-aryl-2-oxazolidinones are a relatively new class of synthetic antibacterial agents, having a new mechanism of action which involves very early inhibition of bacterial protein synthesis. Two potent, synthetic oxazolidinones, U-100592 [i.e., (S)-N-[[3-[3-fluoro-4-[4-(hydroxyacetyl)-1-piperazinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide] and U-100766 [i.e., (S)-N-[[3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]acetamide] were prepared, which are currently in clin. development for the treatment of serious multidrug-resistant Gram-pos. bacterial infections caused by strains of staphylococci, streptococci, and enterococci. The in vitro and in vivo (po and i.v.) activities of U-100592 and U-100766 against representative strains are similar to those of vancomycin. U-100592 and U-100766 demonstrate potent in vitro activity against Mycobacterium tuberculosis. A novel and practical asym. synthesis of (5S)-(acetamidomethyl)-2-oxazolidinones was developed and was employed for the synthesis of U-100592 and U-100766. This involved the reaction of N-lithioarylcarbamates with (R)-glycidyl butyrate, resulting in excellent yields and high enantiomeric purity of the intermediate

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(R)-5-(hydroxymethyl)-2-oxazolidinones.
IT 174649-05-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and bactericidal activity of U-100592 and U-100766)
RN 174649-05-9 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[4-[(5S)-5-[(1,3-dihydro-1,3-dioxo-2H-
isoindol-2-yl)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-, phenylmethyl
ester (CA INDEX NAME)

Absolute stereochemistry.



=> file caold
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
212.41	399.35

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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This file supports REGISTRY for direct browsing and searching of

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all substance data from the REGISTRY file. Enter HELP FIRST for more information.

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- . November 22, 2008 - removed from database clusters
- . December 31, 2008 - removed from STN

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(FILE 'HOME' ENTERED AT 16:42:15 ON 03 OCT 2008)

FILE 'REGISTRY' ENTERED AT 16:42:27 ON 03 OCT 2008

L1 STRUCTURE UPLOADED
L2 30 S LK1
L3 21 S L1
L4 367 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 16:47:13 ON 03 OCT 2008

L5 37 S L4
L6 6 S L5 AND GRAVESTOCK, M?/AU
L7 31 S L5 NOT L6
L8 0 S L7 AND HALES, N?/AU
L9 0 S L7 AND RECK, F?/AU
L10 0 S L7 AND ZHOU, F?/AU

FILE 'CAOLD' ENTERED AT 16:49:21 ON 03 OCT 2008

=> s l4

L11 0 L4

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.46	399.81
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-29.60

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STRUCTURE FILE UPDATES: 2 OCT 2008 HIGHEST RN 1056546-78-1
DICTIONARY FILE UPDATES: 2 OCT 2008 HIGHEST RN 1056546-78-1

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L12 STRUCTURE UPLOADED

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SAMPLE SCREEN SEARCH COMPLETED - 1509 TO ITERATE

100.0% PROCESSED 1509 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
PROJECTED ITERATIONS: 27850 TO 32510
PROJECTED ANSWERS: 0 TO 0

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DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
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FULL SCREEN SEARCH COMPLETED - 30821 TO ITERATE

100.0% PROCESSED 30821 ITERATIONS 0 ANSWERS
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L14 0 SEA SSS FUL L12

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L15 STRUCTURE UPLOADED

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Updated Search

10536687

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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 27850 TO 32510
PROJECTED ANSWERS: 0 TO 0

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SEARCH TIME: 00.00.01

L17 0 SEA SSS FUL L15

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L18 STRUCTURE UPLOADED

=> s l18
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100.0% PROCESSED 147 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

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BATCH **COMPLETE**
PROJECTED ITERATIONS: 2213 TO 3667
PROJECTED ANSWERS: 0 TO 0

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Updated Search